09/964,161 1/2

09/ 964,161

too many references - some are w/ Examiner

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 19	APOLLIT offering free connect time in April 2003
NEWS 28	Mar 20	EVENTLINE will be removed from STN
NEWS 29	Mar 24	PATDPAFULL now available on STN
NEWS 30	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 31	Mar 24	Indexing from 1957 to 1966 added to records in CA/CAPLUS
NEWS 32	Apr 11	Display formats in DGENE enhanced
NEWS 33	Apr 14	MEDLINE Reload

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:19:33 ON 15 APR 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:19:54 ON 15 APR 2003
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 APR 2003 HIGHEST RN 502958-40-9
DICTIONARY FILE UPDATES: 14 APR 2003 HIGHEST RN 502958-40-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

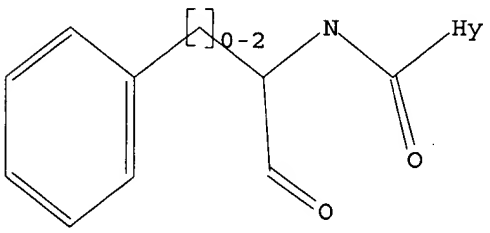
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09964161.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

09/ 964,161

SAMPLE SEARCH INITIATED 14:20:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 27906 TO ITERATE

3.6% PROCESSED 1000 ITERATIONS 6 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 548156 TO 568084
PROJECTED ANSWERS: 2572 TO 4124

L2 6 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 14:20:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 556490 TO ITERATE

71.9% PROCESSED 400000 ITERATIONS 1840 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.27

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 556490 TO 556490
PROJECTED ANSWERS: 2408 TO 2710

L3 1840 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.55 148.76

FILE 'CAPLUS' ENTERED AT 14:21:02 ON 15 APR 2003
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FILE COVERS 1907 - 15 Apr 2003 VOL 138 ISS 16
FILE LAST UPDATED: 14 Apr 2003 (20030414/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.42 149.18

FILE 'REGISTRY' ENTERED AT 14:21:13 ON 15 APR 2003

09/ 964,161

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STRUCTURE FILE UPDATES: 14 APR 2003 HIGHEST RN 502958-40-9
DICTIONARY FILE UPDATES: 14 APR 2003 HIGHEST RN 502958-40-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d his

(FILE 'HOME' ENTERED AT 14:19:33 ON 15 APR 2003)

FILE 'REGISTRY' ENTERED AT 14:19:54 ON 15 APR 2003
L1 STRUCTURE UPLOADED
L2 6 S L1
L3 1840 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:21:02 ON 15 APR 2003

FILE 'REGISTRY' ENTERED AT 14:21:13 ON 15 APR 2003

=> s l1 not pms
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by
structure-building or screen commands and text search terms. L#s
created via the STRUCTURE or SCREEN commands must be searched in the
structures files separately from text terms or profiles. The L#
answer sets from structure searches can be used in crossover searches
and can be combined with text terms.

=> s l1/pms
QUALIFICATION NOT VALID FOR L1
Field code qualifications can only be applied to text
terms.

=> s pms/ci
L4 961376 PMS/CI

=> s l1 not l4
L4 MAY NOT BE USED HERE
The L-number entered was not created by a STRUCTURE or SCREEN command.

=> s l1 sub=l4
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 14:23:42 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 2122 TO ITERATE

100.0% PROCESSED 2122 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

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```
L5             1 SEA SUB=L4 SSS FUL L1

=> s l3 not l5
L6          1840 L3 NOT L5

=> file caplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     153.97     303.15

FILE 'CAPLUS' ENTERED AT 14:24:24 ON 15 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 15 Apr 2003  VOL 138 ISS 16
FILE LAST UPDATED: 14 Apr 2003  (20030414/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l6
L7           547 L6

=> s l7 not (poly? or polymer?0
UNMATCHED LEFT PARENTHESIS 'NOT (POLY?'
The number of right parentheses in a query must be equal to the
number of left parentheses.

=> s l7 not (poly? or polymer?)
       3242324 POLY?
       1559788 POLYMER?
L8           493 L7 NOT (POLY? OR POLYMER?)

=> s l8/thu
             547 L6
         1005407 POLY?/CT
          502600 THU/RL
           23606 POLY?/THU
                   (POLY?/CT (L) THU/RL)
          485737 POLYMER?/CT
          502600 THU/RL
            6661 POLYMER?/THU
                   (POLYMER?/CT (L) THU/RL)
L9           546 ((L6) NOT (POLY?/THU OR POLYMER?/THU))

=> s l9 (pyridinyl or pyridyl or pyrrol or pyrrolyl)
MISSING OPERATOR 'L9 (PYRIDINYL'
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.
```
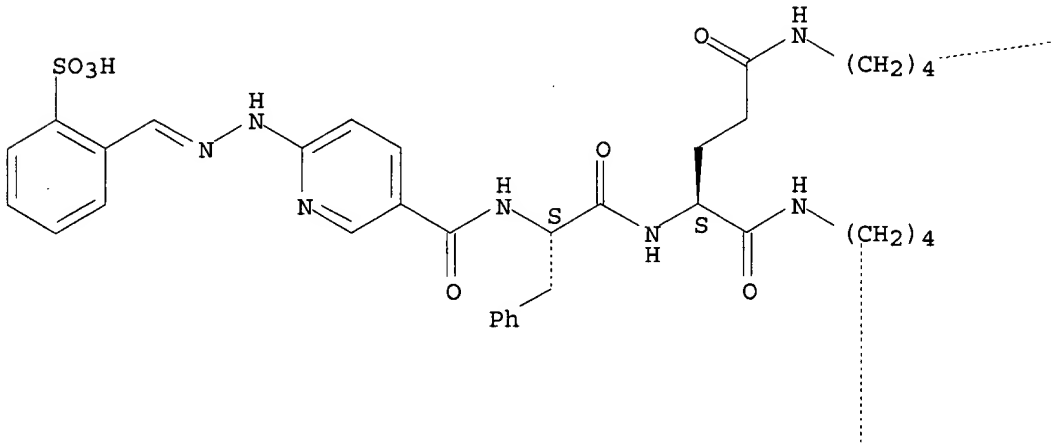

```
=> s l9 and (pyridinyl or pyridyl or pyrrol or pyrrolyl)
          5317 PYRIDINYL
         40295 PYRIDYL
          2617 PYRROL
          2893 PYRROLYL
L10         56 L9 AND (PYRIDINYL OR PYRIDYL OR PYRROL OR PYRROLYL)

=> d l10 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 56 ANSWERS - CONTINUE? Y/(N):y

L10  ANSWER 1 OF 56  CAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:         2003:235416  CAPLUS
TITLE:                    Pharmaceuticals for the imaging of angiogenic
                          disorders for use in combination therapy
INVENTOR(S):              Rajopadhye, Milind; Edwards, D. Scott; Barrett, John
                          A.; Carpenter, Alan P., Jr.; Harris, Thomas D.;
                          Heminway, Stuart J.; Liu, Shuang; Singh, Prahlad R.
PATENT ASSIGNEE(S):       Bristol-Myers Squibb Pharma Company, USA
SOURCE:                   U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 281,474.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   7
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
     ---------------   ----  --------       ---------------   --------
     US 6537520         B1   20030325       US 2000-599295    20000621
     US 6322770         B1   20011127       US 1999-281207    19990330
     US 2002001566      A1   20020103       US 1999-281474    19990330
     US 2002015680      A1   20020207       US 1999-281209    19990330
     US 6524553         B2   20030225
PRIORITY APPLN. INFO.:                  US 1998-80150P    P   19980331
                                        US 1998-112715P   P   19981218
                                        US 1999-281474    A2  19990330
                                        US 1998-112732P   P   19981218
                                        US 1998-112829P   P   19981218
                                        US 1998-112831P   P   19981218
AB   Compds. (Q)d-(Ln)m-Ch (Q is a peptide, d = 1-10, Ln is a linking group, m
     = 0-1, Ch is a metal-bonding unit) were prepd. for use in the diagnosis
     and treatment of cancer in combination therapy in a patient. The present
     invention also provides novel compds. useful for monitoring therapeutic
     angiogenesis treatment and destruction of new angiogenic vasculature. The
     pharmaceuticals are comprised of a targeting moiety that binds to a
     receptor that is upregulated during angiogenesis, an optional linking
     group, and a therapeutically effective radioisotope or diagnostically
     effective imageable moiety. Thus, cyclo{Arg-Gly-Asp-D-Tyr(N-[2-[[[5-
     [carbonyl]-2-pyridinyl]hydrazono]methyl]benzenesulfonic
     acid]-3-aminopropyl)-Val} was prepd. by acylation of cyclo{Arg-Gly-Asp-D-
     Tyr(3-aminopropyl)-Val} with 2-[[[5-[[(2,5-dioxo-1-
     pyrrolidinyl)oxy]carbonyl]-2-pyridinyl
     ]hydrazono]methyl]benzenesulfonic acid monosodium salt and converted into
     radiopharmaceutical 99mTc(VnA)(tricine)(phosphine), where VnA represents
     the vitronectin receptor antagonist.
IT   250611-84-8P 250611-85-9P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (prepn. of peptide derivs. for the imaging of angiogenic disorders and
        the treatment of cancer in combination therapy)
RN   250611-84-8  CAPLUS
CN   Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),
```

09/ 964,161

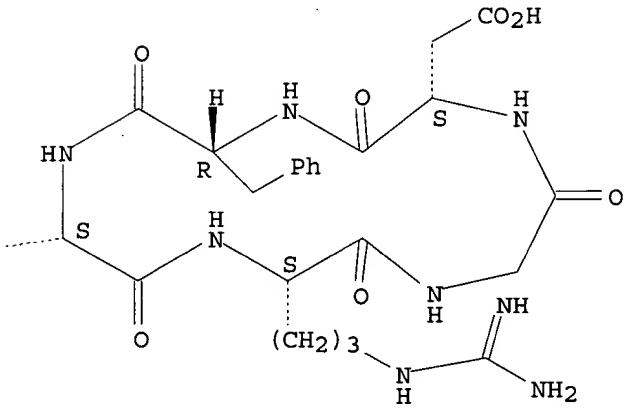
5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

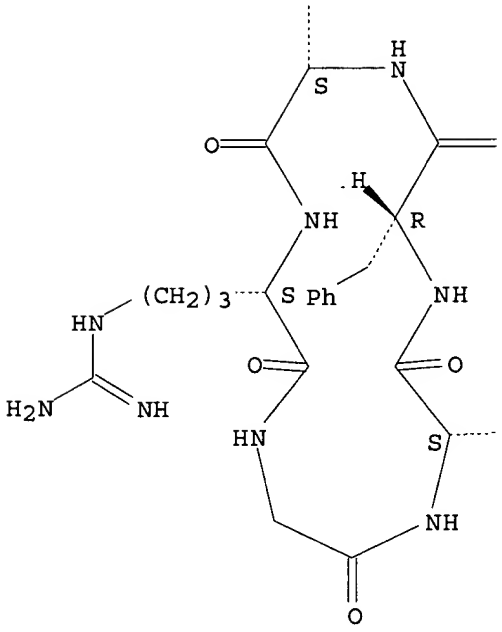
PAGE 1-A



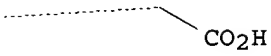
PAGE 1-B



PAGE 2-A



PAGE 2-B



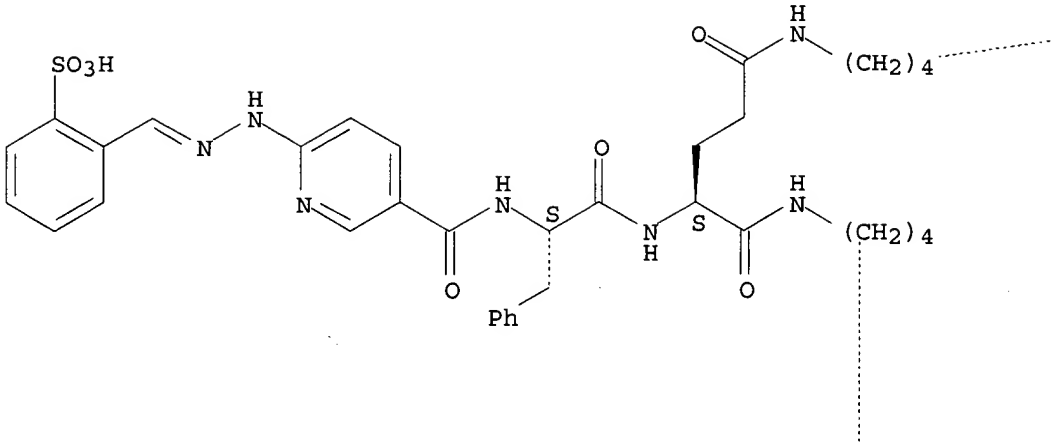
RN 250611-85-9 CAPLUS
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

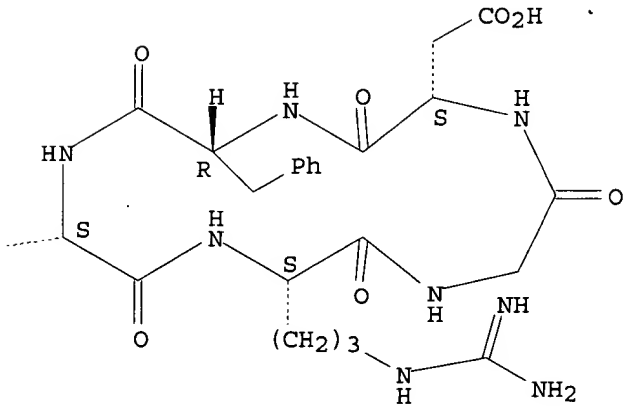
CRN 250611-84-8
CMF C81 H105 N23 O21 S

Absolute stereochemistry.
Double bond geometry unknown.

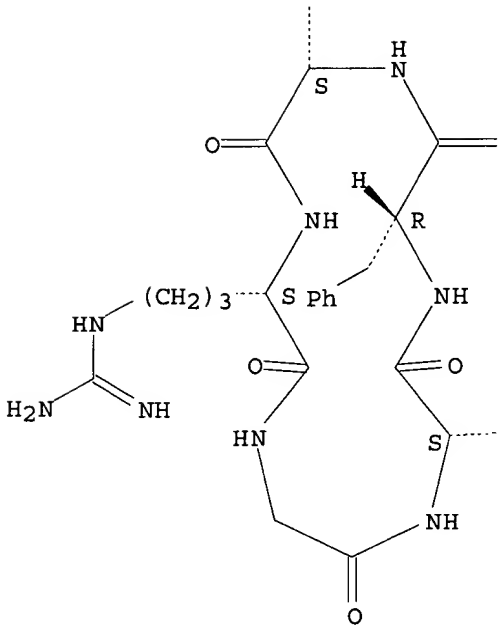
PAGE 1-A



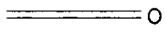
PAGE 1-B

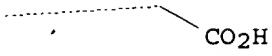


PAGE 2-A



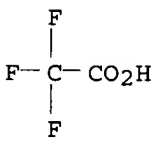
PAGE 2-B





CM 2

CRN 76-05-1
CMF C2 H F3 O2



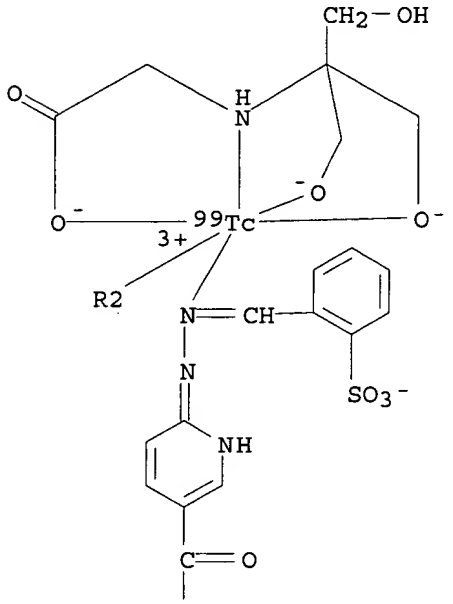
IT **250614-25-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

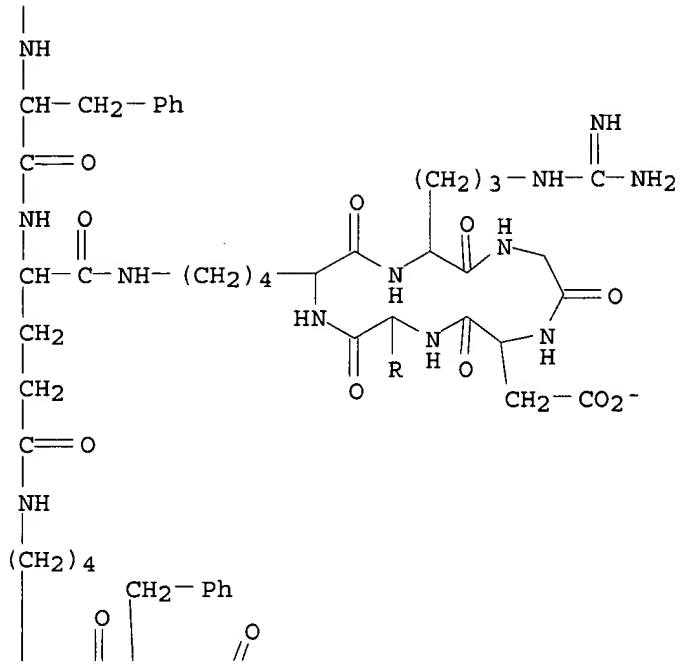
RN 250614-25-6 CAPLUS

CN Technetate(6-)-99Tc, [N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(3-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)][[5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino-.kappa.N2]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](3-)]-, trisodium trihydrogen (9CI) (CA INDEX NAME)

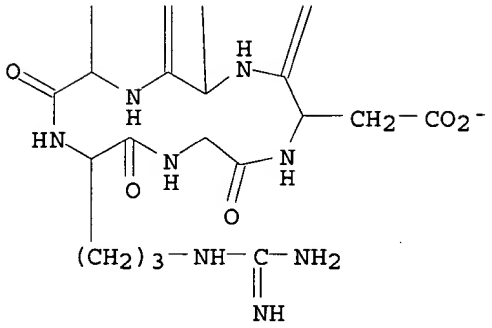
PAGE 1-A

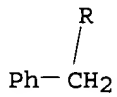


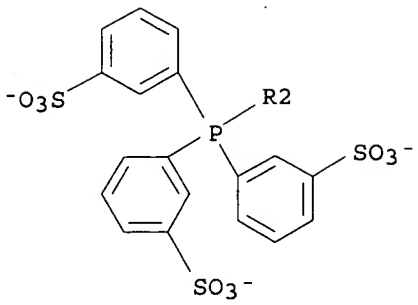
PAGE 2-A



PAGE 3-A







O3 H+

O3 Na+

REFERENCE COUNT: 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:97304 CAPLUS
DOCUMENT NUMBER: 138:137330
TITLE: Preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes
INVENTOR(S): Fotsch, Christopher H.; Arasasingham, Premilla; Bo, Yunxin; Chen, Ning; Goldberg, Martin H.; Han, Nianhe; Hsieh, Feng-Yin; Kelly, Michael G.; Liu, Qingyian; Norman, Mark H.; Smith, Duncan M.; Stec, Markian; Tamayo, Nuria; Xi, Ning; Xu, Shimin
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

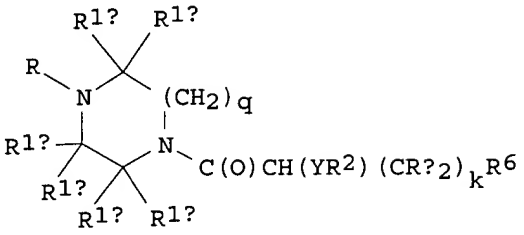
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003009850	A1	20030206	WO 2002-US23926	20020725

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-307831P P 20010725
US 2002-202823 A 20020724

09/ 964,161

GI



I

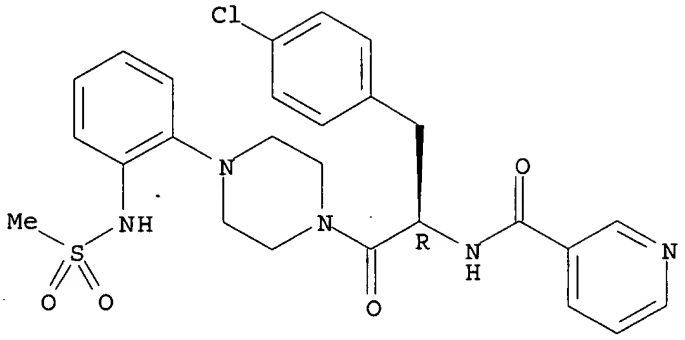
AB Selected substituted piperazine compds. (shown as I; variables defined below; e.g. (3S)-N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide) are effective for prophylaxis and treatment of diseases, such as obesity and the like. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving activation of the melanocortin receptor. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. For I: Y is -NH-, -CH2-, or -O-; R = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, and -(CH2)n-heterocyclyl; R1a, R1b, R1c, R1d, R1e, and R1f = R4; or R1a and R1b or R1d and R1c form oxo; or wherein R1e and R1c form an alkylenyl or alkenylenyl bridge; or R1a, R1b, R1c, R1d together with the piperazine ring forms an optionally substituted 1,2,3,4-tetrahydroquinoxalinyl ring. R2 = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, -SO2R8, -C(O)R8; R4 = H, alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, halo, -(CH2)n-OR9, -NR9SO2R7, -[C(R7)2]pNR9SO2R7, -[C(R7)2]pNR9C(O)R7, -N(R9)2, -C(O)NR9R9, -NR9C(O)R7, -NR9CO2R7, cyano, -COOR9, -(CH2)n-C:OR7, -(CH2)n-C(S)R7, -(CH2)n-C(:NR9)R7, -NR9C(:NR7)N(R9)2, - [C(R7)2]pN(R9)2, nitro, -SO2N(R9)2, -S(O)mR7, -C(R7)2SO2CF3, hydroxyalkyl, haloalkyl and haloalkoxy. R6 = aryl and heteroaryl; Ra = H, and alkyl or the two Ra's together form cycloalkyl; k is 0 or 1; m is 0, 1 or 2; n is 0, 1, 2, 3 or 4; p is 1 or 2; and q is 1 or 2; provisos and addnl. definitions are provided. In measurements of fast-induced food intake in mice, 6 examples of I caused a redn. in feeding at concns. .ltoreq.30 mg/kg. Although the methods of prepn. are not claimed, 24 example prepns. of intermediates and >400 of I are included.

IT **494781-83-8P**, N-[(1R)-1-[(4-Chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazin-1-yl]-2-oxoethyl]pyridine-3-carboxamide **494781-84-9P**, N-[(1R)-1-[(4-Chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazin-1-yl]-2-oxoethyl]pyridine-2-carboxamide **494781-85-0P**, N-[(1R)-1-[(4-Chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazin-1-yl]-2-oxoethyl]pyridine-4-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes)

RN 494781-83-8 CAPLUS

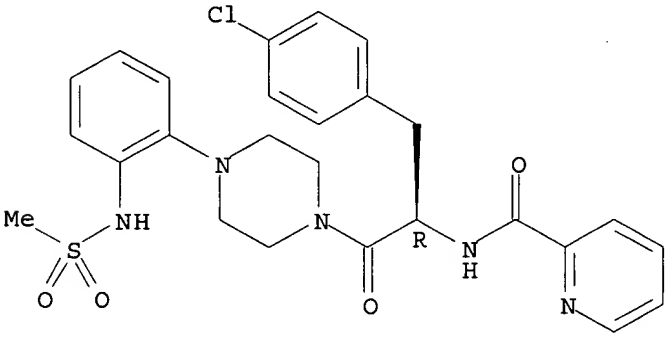
CN 3-Pyridinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



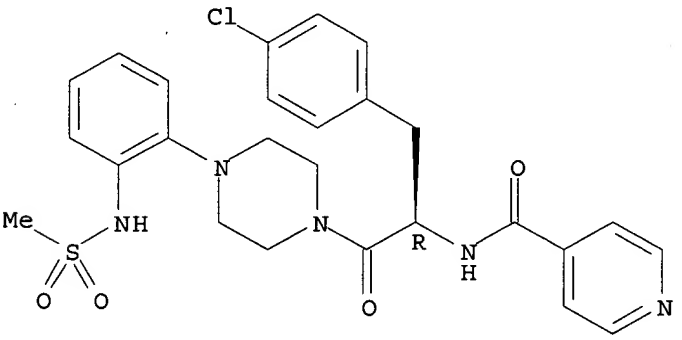
RN 494781-84-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 494781-85-0 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:889677 CAPLUS
DOCUMENT NUMBER: 138:122831

09/ 964,161

TITLE: Solid-Phase Synthesis of Polyamine Toxin Analogues: Potent and Selective Antagonists of Ca2+-Permeable AMPA Receptors

AUTHOR(S): Kromann, Hasse; Krikstolaityte, Sonata; Andersen, Anne J.; Andersen, Kim; Krogsgaard-Larsen, Povl; Jaroszewski, Jerzy W.; Egebjerg, Jan; Stromgaard, Kristian

CORPORATE SOURCE: Department of Medicinal Chemistry and NeuroScience PharmaBiotec Research Center, Royal Danish School of Pharmacy, Copenhagen, DK-2100, Den.

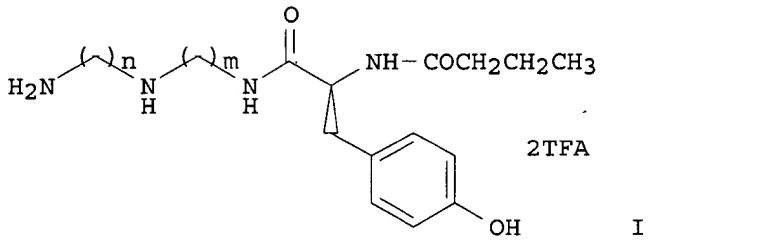
SOURCE: Journal of Medicinal Chemistry (2002), 45(26), 5745-5754
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

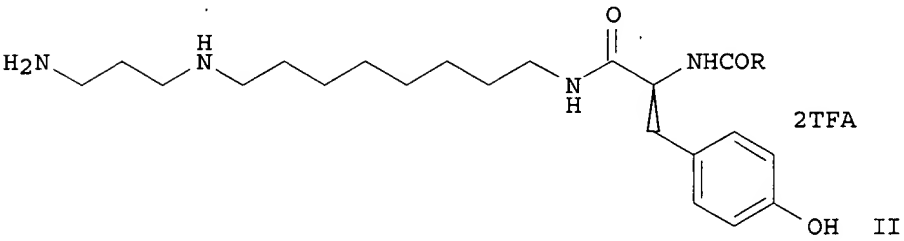
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

AB The authors report the solid-phase synthesis of polyamine tyrosinamide bistrifluoroacetate salts I (m = 3, n = 8; m = 4, n = 7; m = 5, n = 6; m = 6, n = 5; m = 7, n = 4; m = 8, n = 3; m = 9, n = 2) and II [R = Ph, CH2Ph, (CH2)2Ph, CH:CHPh, 2-**pyridyl**, 3-**pyridyl**, 4-**pyridyl**, cyclohexyl, Me, Et, pentyl, CMe3] as analogs of (RS)-PhTX-83, I (m = 3, n = 8, racemic Tyr). In I, a systematic variation of the distance between the secondary amine group and the arom. headgroup moiety was performed. In II, the butanoyl moiety of PhTX-83 was replaced by acids of different size and lipophilicity. I and II were characterized by in vitro electrophysiol. on AMPA receptors comprised of homomeric GluR1 and heteromeric GluR1+GluR2 receptors, as well as kainate receptors consisting of homomeric GluR5-(Q) receptor subunits. PhTX-56, I (m = 5, n = 6), was shown to be a highly potent (Ki = 3.3 .+-. 0.78 nM) and voltage-dependent antagonist of homomeric GluR1 receptors and was more than 1000-fold less potent when tested on heteromeric GluR1+GluR2, as well as homomeric GluR5(Q) receptors, thus being selective for Ca2+-permeable AMPA receptors. Variation of the acyl group of PhTX-83 had only minor effect on antagonist potency at homomeric GluR1 receptors but led to a significant decrease in the voltage-dependence. In conclusion, PhTX-56 is a novel, very potent, and selective antagonist of Ca2+-permeable AMPA receptors and is a promising tool for structure/function studies of the ion channel of the AMPA receptor.

IT **401601-27-2P 401601-29-4P 401601-31-8P**

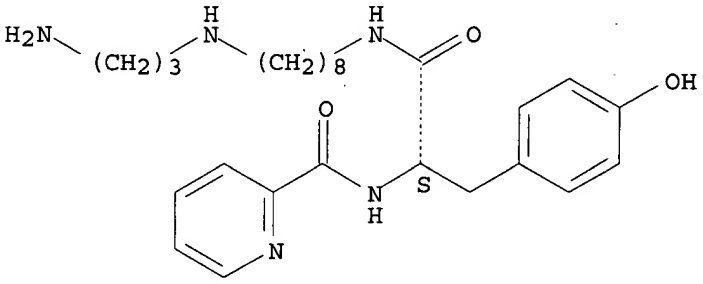
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase prepn. of philanthotoxin derivs. as potent and selective antagonists of Ca2+-permeable AMPA receptors)

RN 401601-27-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[(1S)-2-[[8-[(3-aminopropyl)amino]octyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

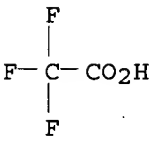
CRN 401601-26-1
CMF C26 H39 N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

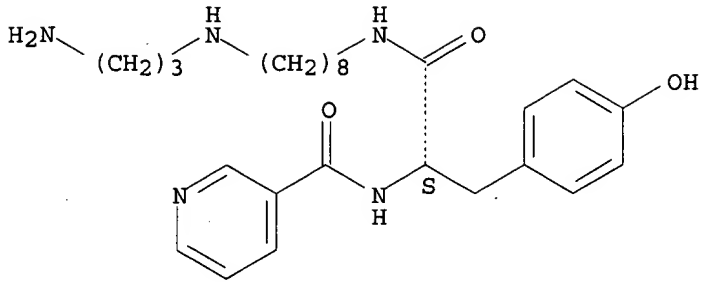


RN 401601-29-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1S)-2-[[8-[(3-aminopropyl)amino]octyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

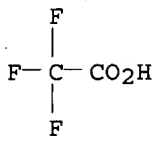
CRN 401601-28-3
CMF C26 H39 N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

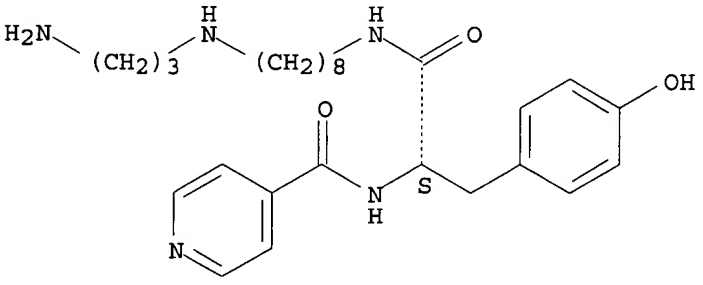


RN 401601-31-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-[[8-[(3-aminopropyl)amino]octyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

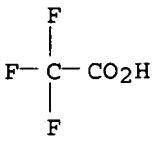
CRN 401601-30-7
CMF C26 H39 N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



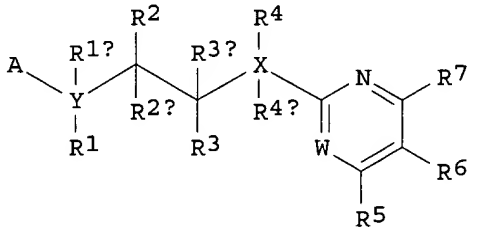
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:814853 CAPLUS
DOCUMENT NUMBER: 137:325431
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

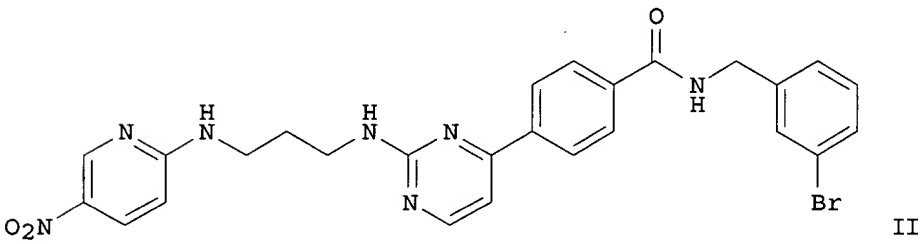
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002156087	A1	20021024	US 2001-949035	20010906
US 6417185	B1	20020709	US 1999-336038	19990618

PRIORITY APPLN. INFO.: US 1999-336038 A2 19990618
US 2000-230480P P 20000906
US 1998-89978P P 19980619

OTHER SOURCE(S): MARPAT 137:325431
GI



I



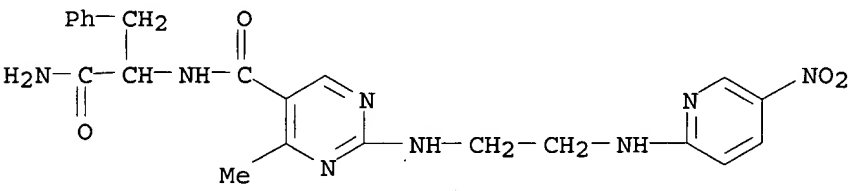
II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **403807-91-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-91-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-amino-2-oxo-1-(phenylmethyl)ethyl]-4-methyl-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 56 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:813909 CAPLUS

DOCUMENT NUMBER: 137:325416

TITLE: Preparation of substituted imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers

INVENTOR(S): Hongu, Mitsuya; Hosaka, Thoshihiro; Kashiwagi, Toshihiko; Kono, Rikako; Kobayashi, Hiroyuki

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 302 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

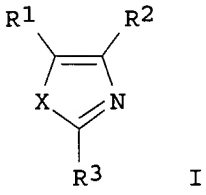
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083111	A2	20021024	WO 2002-JP3723	20020415

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM,
DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR,
LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SG, SI, SK,
TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 2001-116436 A 20010416
JP 2001-249671 A 20010820
OTHER SOURCE(S): MARPAT 137:325416
GI

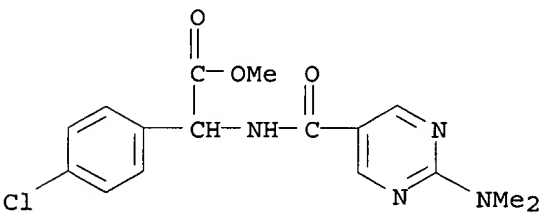


I

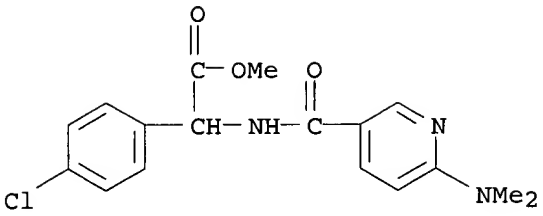
AB The title compds. [I; X = NR4, O, S; R1, R2 = H, halo, CO2H, etc.; R3 = aryl, heterocyclyl, alkyl; R4 = H, alkyl], useful in the prophylaxis and/or treatment for pollakiuria or urinary incontinence, were prepd. Thus, reacting 5-ethyl-2-iodo-4-(3-**pyridyl**)imidazole with 3-(hydroxymethyl)thiophene-2-boric acid in the presence of Pd(PPh3)4 and aq. 2M Na2CO3 in dimethoxyethane afforded I.2HCl [X = NH; R1 = Et; R2 = 3-**pyridyl**; R3 = 3-(hydroxymethyl)thien-2-yl] which showed 100% inhibition time of 10-20 min in test on the rhythmic bladder contractions induced by substance P in anesthetized rats.

IT **473693-46-8P 473693-47-9P 473693-72-0P**
473693-73-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers)

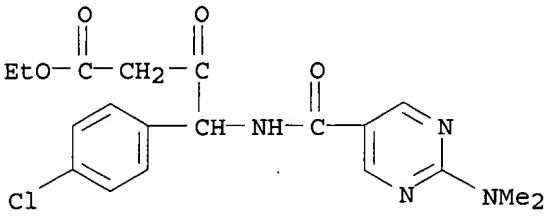
RN 473693-46-8 CAPLUS
CN Benzeneacetic acid, 4-chloro-.alpha.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



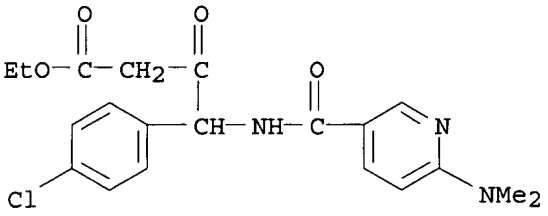
RN 473693-47-9 CAPLUS
CN Benzeneacetic acid, 4-chloro-.alpha.-[[[6-(dimethylamino)-3-pyridinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 473693-72-0 CAPLUS
CN Benzenebutanoic acid, 4-chloro-.gamma.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 473693-73-1 CAPLUS
CN Benzenebutanoic acid, 4-chloro-.gamma.-[[[6-(dimethylamino)-3-pyridinyl]carbonyl]amino]-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 6 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:595337 CAPLUS
DOCUMENT NUMBER: 137:140780
TITLE: Simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent
INVENTOR(S): Carpenter, Alan P.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 86 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002106325	A1	20020808	US 2001-995388	20011127

PRIORITY APPLN. INFO.: PH 2000-7201 A 20001127
OTHER SOURCE(S): MARPAT 137:140780
AB The invention describes a method of concurrent imaging in a mammal

comprising: (a) administering a vitronectin receptor targeted imaging agent and a perfusion imaging agent, (b) concurrently detecting the vitronectin target imaging agent bound at the vitronectin receptor and the perfusion imaging agent, and (c) forming an image from the detection of the vitronectin receptor targeted imaging agent and the perfusion imaging agent. Compds. claimed include those of formula (Q)d-Ln-Ch, where Q is a peptide, d is 1-10, Ln is a linking group, and Ch is a metal bonding unit. Thus, cyclo[Arg-Gly-Asp-D-Tyr[N-[2-[[[5-(carbonyl)-2-**pyridinyl**]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl]-Val] was prepd. and applied to the synthesis of complex 99mTc(VnA)(tricine)(TPPTS), where VnA represents the vitronectin receptor antagonist and TPPTS is P(m-C6H4SO3Na)3.

IT **250611-85-9P**
RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

RN 250611-85-9 CAPLUS

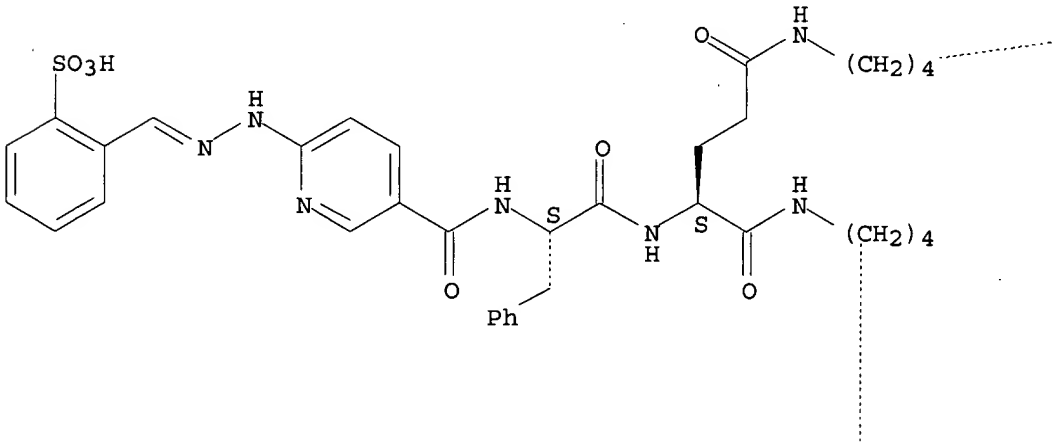
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 250611-84-8
CMF C81 H105 N23 O21 S

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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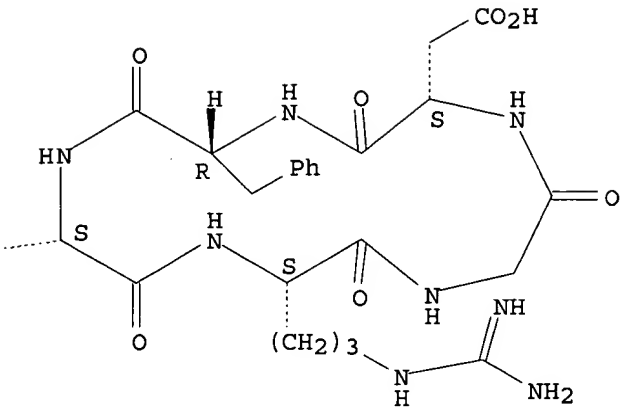

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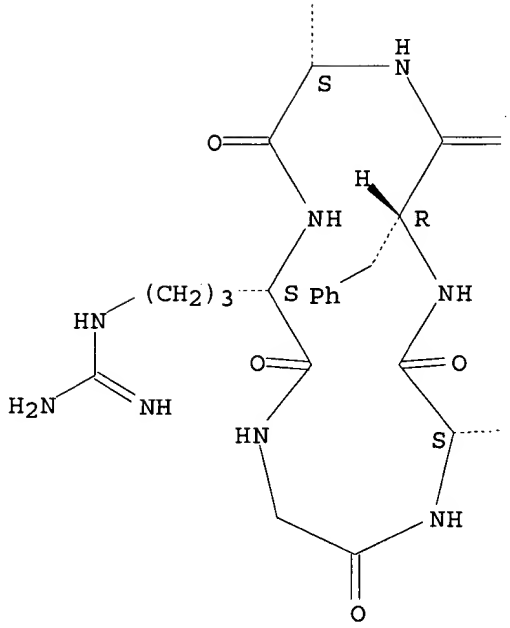

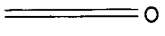

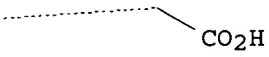

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CRN 76-05-1
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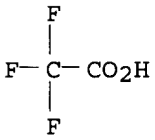
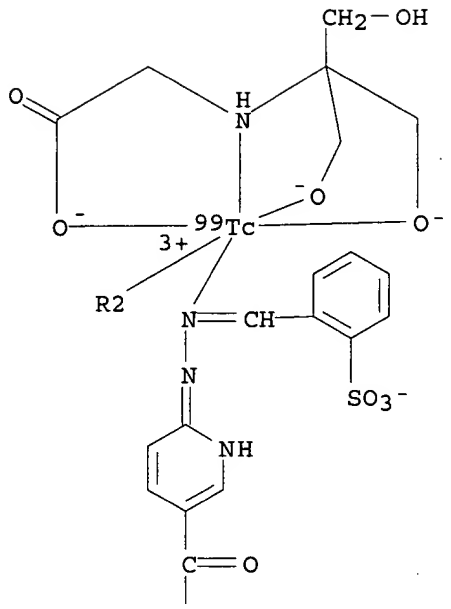


IT **250614-25-6P 443125-64-2P**
RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)
RN 250614-25-6 CAPLUS
CN Technetate(6-)-99Tc, [N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(3-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)][[5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino-.kappa.N2]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](3-)]-, trisodium trihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



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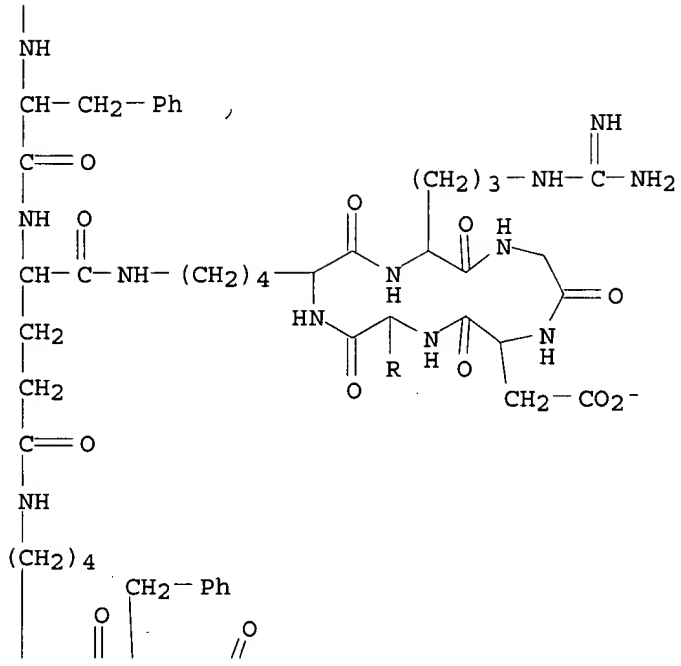

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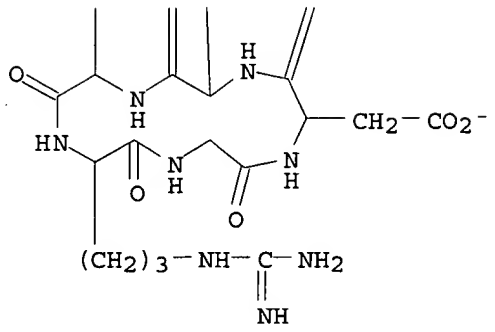

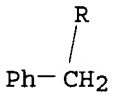

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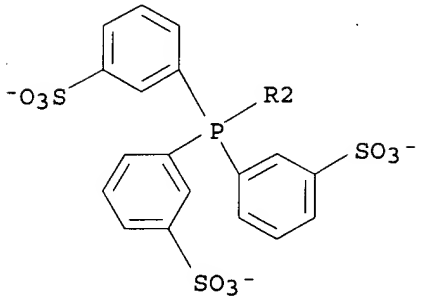


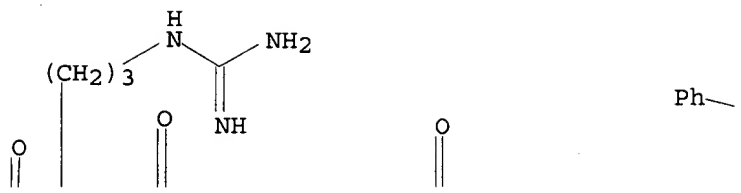
● 3 H^+

● 3 Na^+

RN 443125-64-2 CAPLUS

CN Technetate(5-)-99Tc, [[5,5'-[N-[[6-(diazenyl-.kappa.N2)-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](3-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium dihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



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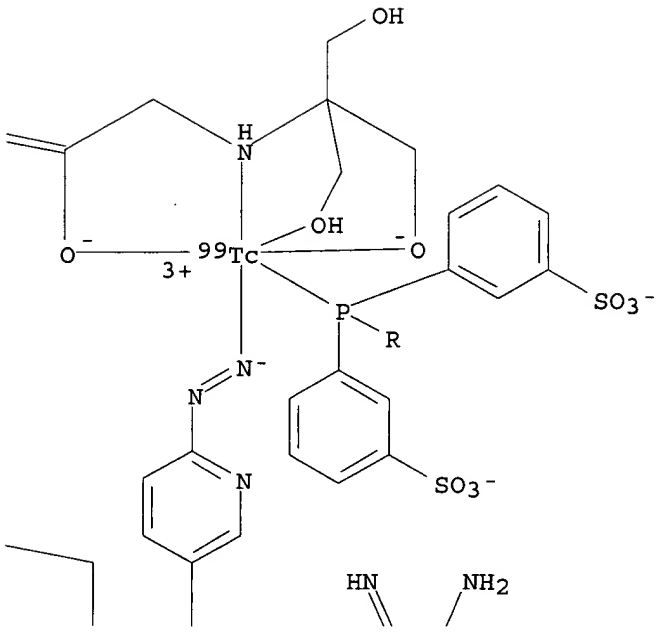

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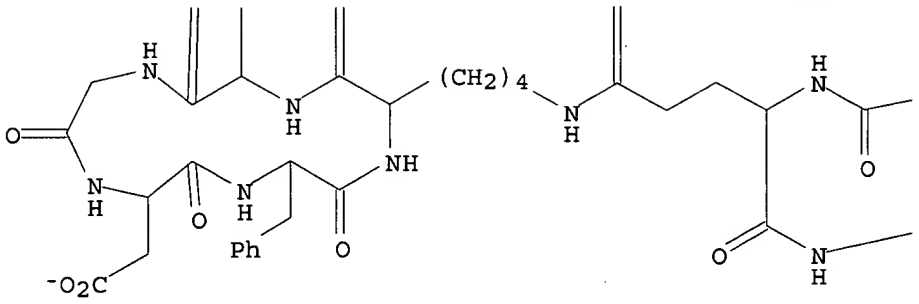

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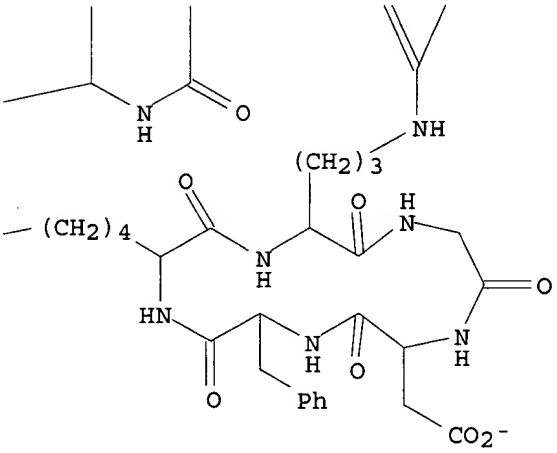

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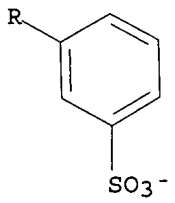


● 2 H^+

● 3 Na^+

L10 ANSWER 7 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:555497 CAPLUS
DOCUMENT NUMBER: 137:125392
TITLE: Preparation of N-acyl azabicyclic compounds as

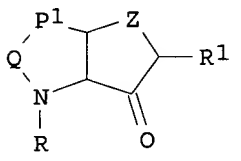
09/ 964,161

inhibitors of cruzipain and other cysteine proteases
INVENTOR(S): Quibell, Martin
PATENT ASSIGNEE(S): Incenta Limited, UK
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057270	A1	20020725	WO 2002-GB184	20020117

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-1179 A 20010117
US 2001-275359P P 20010313
OTHER SOURCE(S): MARPAT 137:125392
GI



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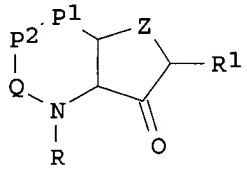


II

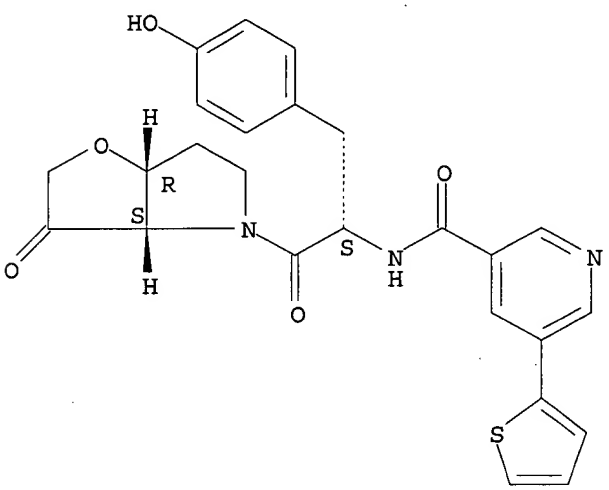
AB Title compds. I and II [R1 = H, alkyl, cycloalkyl, aryl, arylalkyl; Z = O, S, CR2R3, NR4; P1 = CR5R6; P2 = CR7R8; Q = CR9R10, NR11; R = U-Vm-Wn-Xm'-Y, where Y = CR12R13CO; X = CR14R15; W = O, S, CO, SO, SO2, NR16; V = CO, CS, SO, SO2, SO2NH, O2C, NHCO, NHSO, NHSO2, O2CNH, CONH, CR17R18; m, m' = 0-3, n = 0 or 1; U = a stable 5- to 7-membered monocyclic or 8- to 11-membered bicyclic ring contg. 0-4 heteroatoms; R4, R11-R18 = any group given for R1; R2, R3, R5-R10 = any group given for R1, OH, (cyclo)alkoxy, arylalkyl, alkylamino, etc (provided that for m > 1, Vm contains a max. of one carbonyl or sulfonyl group)] were prepd. as inhibitors cruzipain (a gene product of Trypanosoma cruzi parasite) and other cysteine proteases for use as therapeutic agents, for example in the treatment of Chagas' disease. Thus, N-(4-tert-butylbenzoyl)-L-tyrosine (3aS,6aR)-[3-oxohexahydrofuro[3,2-b]**pyrrol**-4-yl]amide was prepd. and assayed for inhibition of cruzipain, bovine cathepsin S, and human cathepsins L and K (Ki = 0.2, >100, >35, and >5 .mu.M, resp.).

IT **443897-73-2P 443897-74-3P 443898-28-0P 443898-34-8P 443898-36-0P 443898-41-7P 443898-75-7P 443898-76-8P 443898-91-7P 443898-94-0P 443898-95-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminocyclopentanecarboxylic acid-derived bicyclic compds. as inhibitors of cruzipain and other cysteine proteases)

RN 443897-73-2 CAPLUS

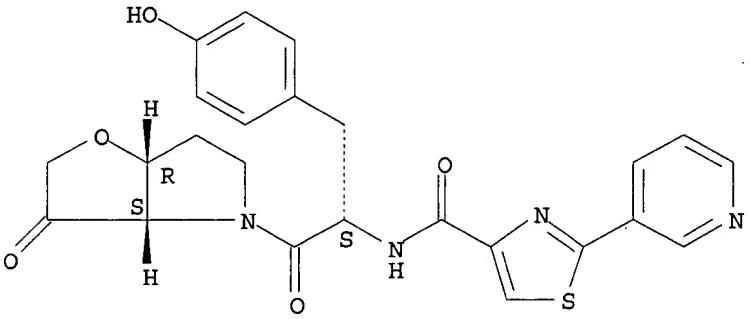
CN 3-Pyridinecarboxamide, N-[(1S)-2-[(3aS,6aR)-hexahydro-3-oxo-4H-furo[3,2-b]pyrrol-4-yl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-5-(2-thienyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443897-74-3 CAPLUS
CN 4-Thiazolecarboxamide, N-[(1S)-2-[(3aS,6aR)-hexahydro-3-oxo-4H-furo[3,2-b]pyrrol-4-yl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443898-28-0 CAPLUS
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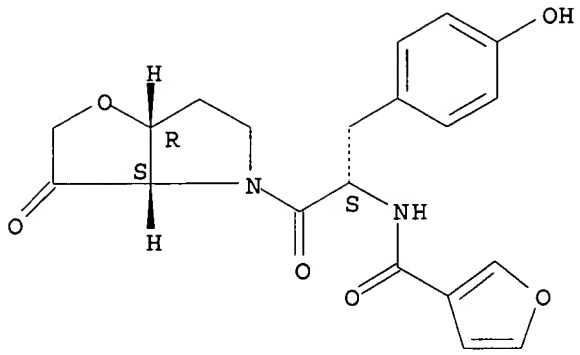
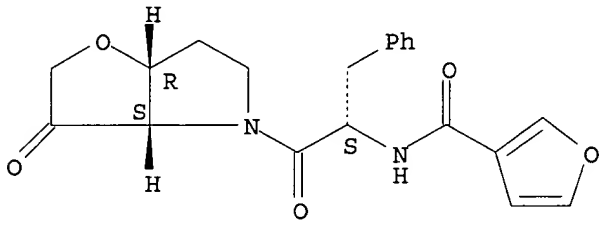
Absolute stereochemistry.



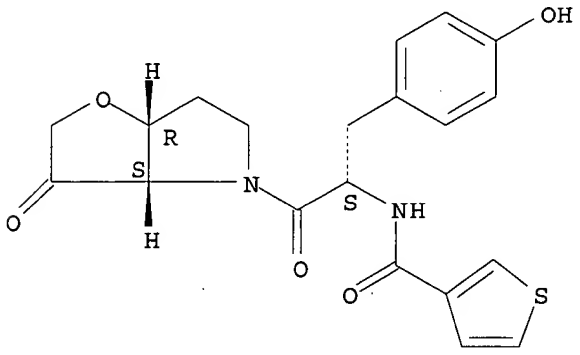
RN 443898-34-8 CAPLUS
CN 3-Furancarboxamide, N-[(1S)-2-[(3aS,6aR)-hexahydro-3-oxo-4H-furo[3,2-b]pyrrol-4-yl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



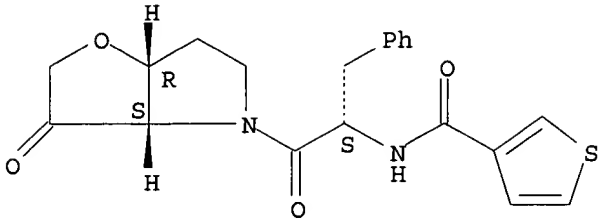
RN 443898-36-0 CAPLUS
CN 3-Thiophenecarboxamide, N-[(1S)-2-[(3aS,6aR)-hexahydro-3-oxo-4H-furo[3,2-b]pyrrol-4-yl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



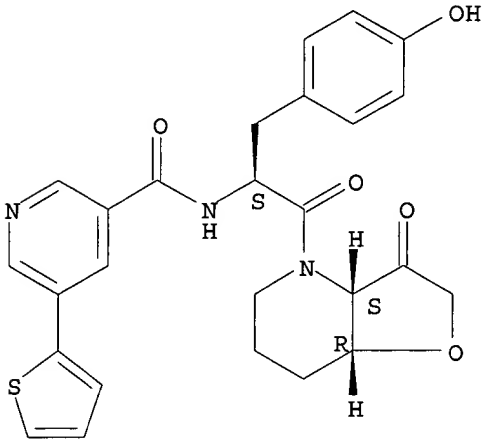
RN 443898-41-7 CAPLUS
CN 3-Thiophenecarboxamide, N-[(1S)-2-[(3aS,6aR)-hexahydro-3-oxo-4H-furo[3,2-b]pyrrol-4-yl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



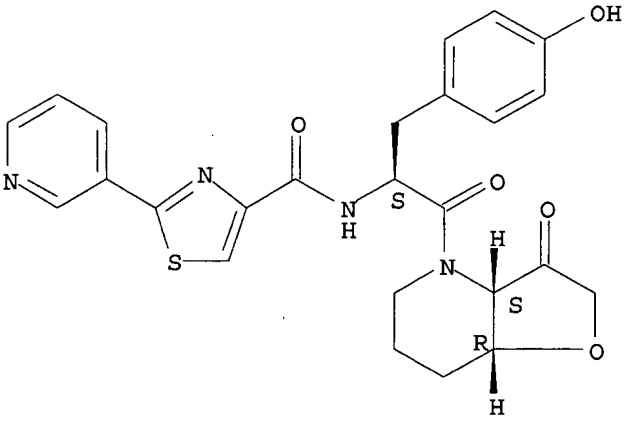
RN 443898-75-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1S)-2-[(3aS,7aR)-hexahydro-3-oxofuro[3,2-b]pyridin-4(2H)-yl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-5-(2-thienyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



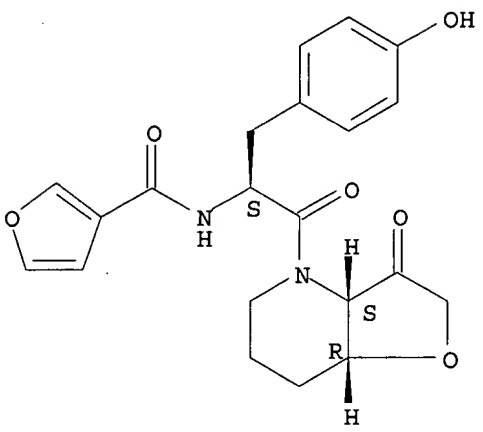
RN 443898-76-8 CAPLUS
CN 4-Thiazolecarboxamide, N-[(1S)-2-[(3aS,7aR)-hexahydro-3-oxofuro[3,2-b]pyridin-4(2H)-yl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



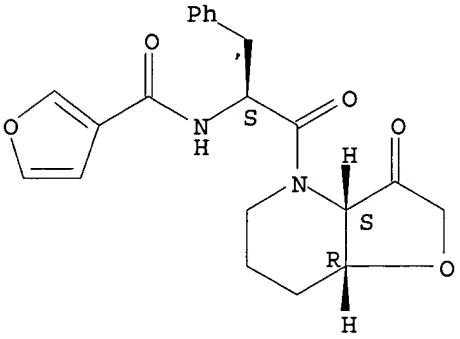
RN 443898-91-7 CAPLUS
CN 3-Furancarboxamide, N-[(1S)-2-[(3aS,7aR)-hexahydro-3-oxofuro[3,2-b]pyridin-4(2H)-yl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



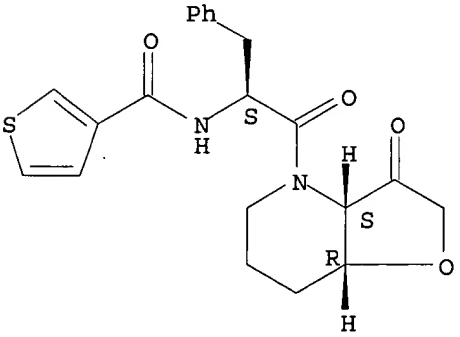
RN 443898-94-0 CAPLUS
CN 3-Furancarboxamide, N-[(1S)-2-[(3aS,7aR)-hexahydro-3-oxofuro[3,2-b]pyridin-4(2H)-yl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443898-95-1 CAPLUS
CN 3-Thiophenecarboxamide, N-[(1S)-2-[(3aS,7aR)-hexahydro-3-oxofuro[3,2-b]pyridin-4(2H)-yl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:539558 CAPLUS
DOCUMENT NUMBER: 137:109487
TITLE: Simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent
INVENTOR(S): Carpenter, Alan P., Jr.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Medical Imaging, Inc., USA
SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055111	A2	20020718	WO 2001-US44155	20011126
WO 2002055111	A3	20021010		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-253324P P 20001127
OTHER SOURCE(S): MARPAT 137:109487

AB The invention describes a method of concurrent imaging in a mammal comprising: (a) administering a vitronectin receptor targeted imaging agent and a perfusion imaging agent, (b) concurrently detecting the vitronectin target imaging agent bound at the vitronectin receptor and the perfusion imaging agent, and (c) forming an image from the detection of the vitronectin receptor targeted imaging agent and the perfusion imaging agent. Compds. claimed include those of formula (Q)d-Ln-Ch, where Q is a peptide, d is 1-10, Ln is a linking group, and Ch is a metal bonding unit. Thus, cyclo[Arg-Gly-Asp-D-Tyr[N-[2-[[[5-(carbonyl)-2-**pyridinyl**]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl]-Val] was prepd. and applied to the synthesis of complex 99mTc(VnA)(tricine)(TPPTS), where VnA represents the vitronectin receptor antagonist and TPPTS is P(m-C6H4SO3Na)3.

IT **250611-85-9P**
RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

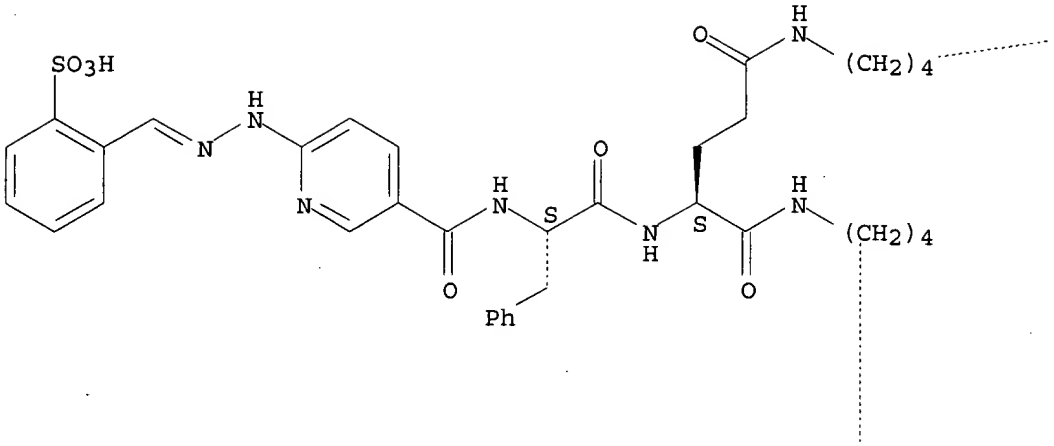
RN 250611-85-9 CAPLUS
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 250611-84-8
CMF C81 H105 N23 O21 S

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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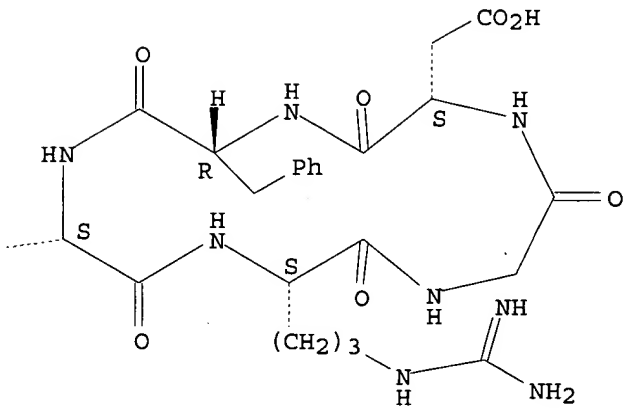
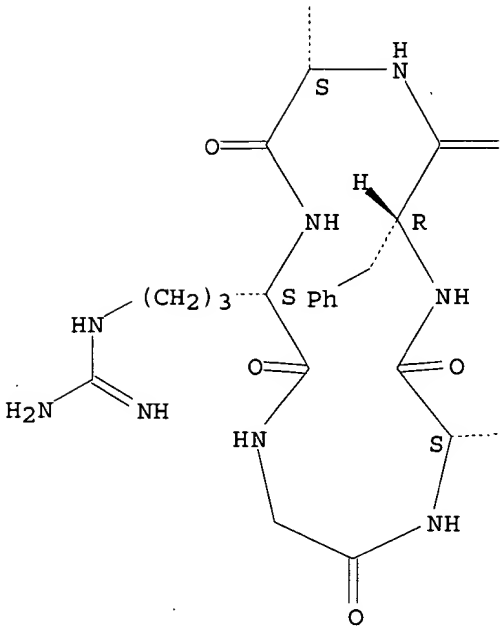


PAGE 2-A



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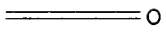

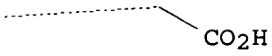

CM 2

CRN 76-05-1
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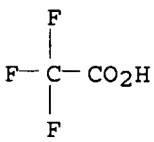


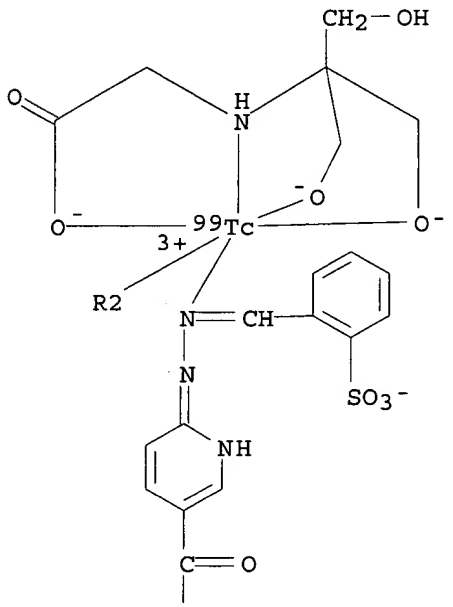
IT **250614-25-6P 443125-64-2P**

RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

RN 250614-25-6 CAPLUS

CN Technetate(6-)-99Tc, [N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(3-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)][[5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino-.kappa.N2]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](3-)]-, trisodium trihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



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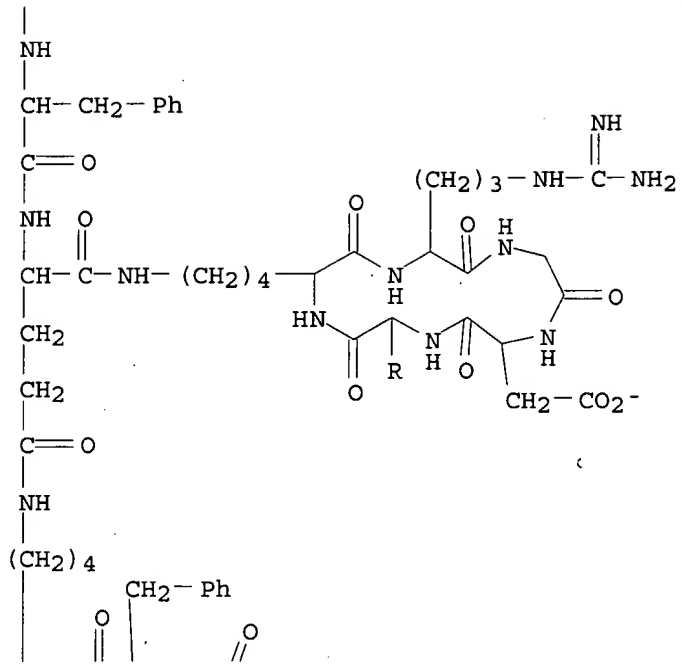
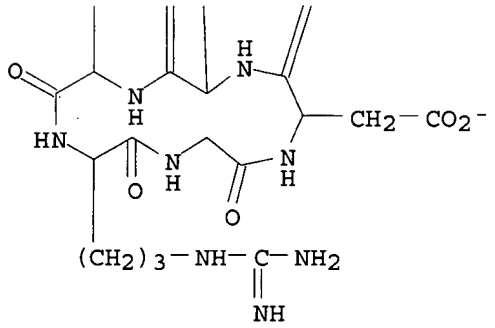
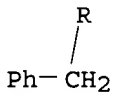


PAGE 3-A





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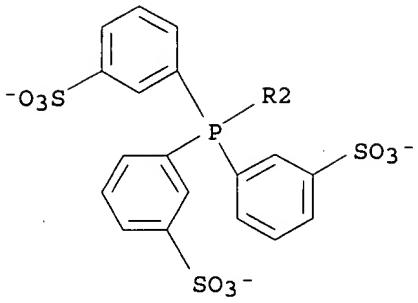
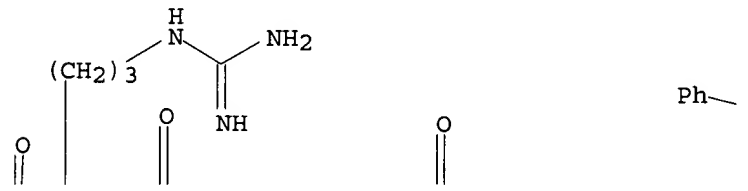


● 3 H^+

● 3 Na^+

RN 443125-64-2 CAPLUS
CN Technetate(5-)-99Tc, [[5,5'-[N-[[6-(diazenyl-.kappa.N2)-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](3-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium dihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



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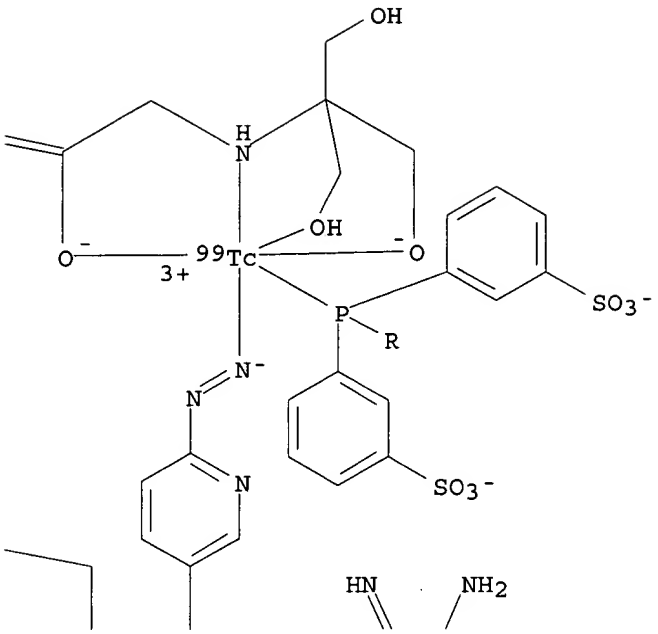

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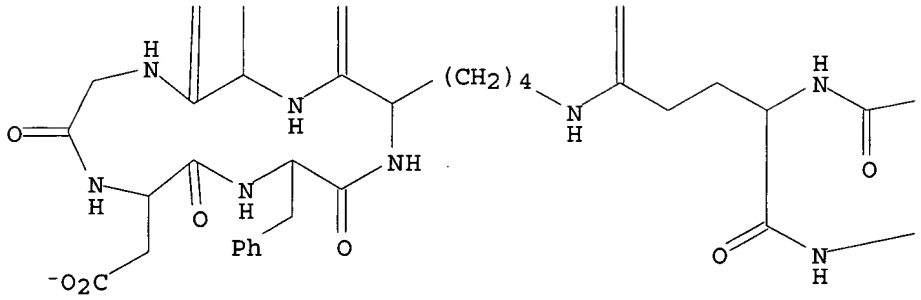

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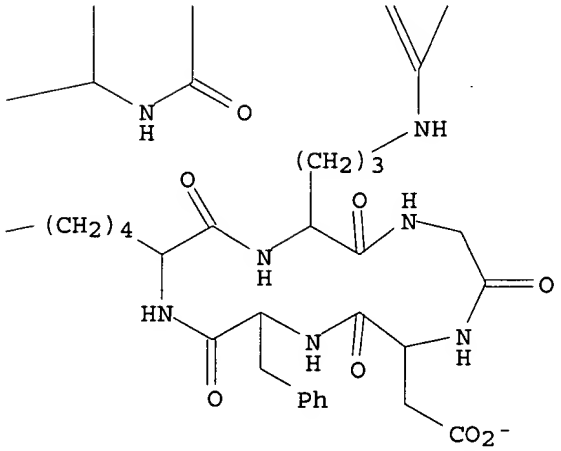
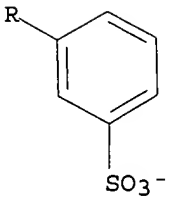




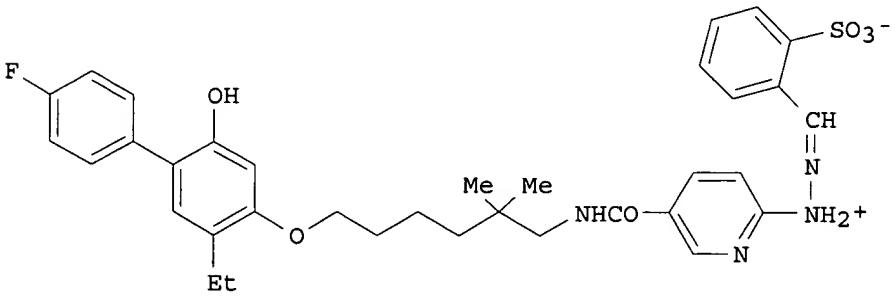
●2 H+

●3 Na+

L10 ANSWER 9 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:516582 CAPLUS
DOCUMENT NUMBER: 137:87495
TITLE: Radiopharmaceuticals for imaging infection and inflammation
INVENTOR(S): Barrett, John A.; Cheesman, Edward H.; Harris, Thomas D.; Liu, Shuang; Rajopadhye, Milind; Sworin, Michael
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: U.S., 128 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6416733	B1	20020709	US 1997-943659	19971003
US 2003007927	A1	20030109	US 2002-109374	20020327

PRIORITY APPLN. INFO.: US 1996-27955P P 19961007
US 1997-943659 A1 19971003
OTHER SOURCE(S): MARPAT 137:87495
GI



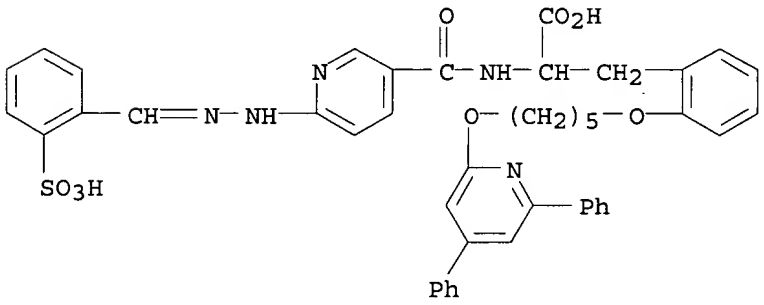
I

AB The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for prepg. the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases assocd. with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases assocd. with infection and inflammation. Thus, the leukotriene antagonist (I) was prepd. and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compd. I was used to prep. 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-**pyridinyl**]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models.

IT **206263-50-5P**, Phenylalanine, 2-[[5-[(4,6-diphenyl-2-**pyridinyl**)oxy]pentyl]oxy]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-**pyridinyl**]carbonyl]- **206263-78-7P**, Benzenesulfonic acid, 2-[[[5-[[[(1S)-2-[[6-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-**pyridinyl**]oxy]-2,2-dimethylhexyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]-2-**pyridinyl**]hydrazono]methyl]- **206263-87-8P**, L-Phenylalanine, 2-[[5-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-**pyridinyl**]oxy]pentyl]oxy]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-**pyridinyl**]carbonyl]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and complexation with 99mTc as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

RN 206263-50-5 CAPLUS

CN Phenylalanine, 2-[[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]oxy]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

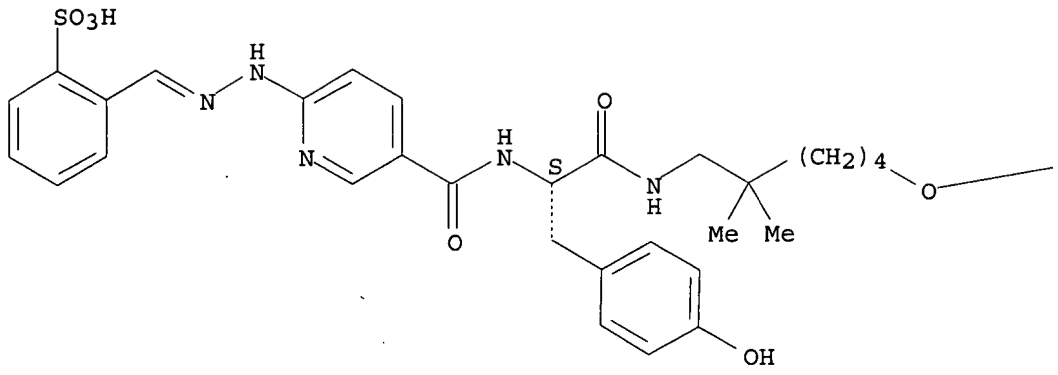


RN 206263-78-7 CAPLUS

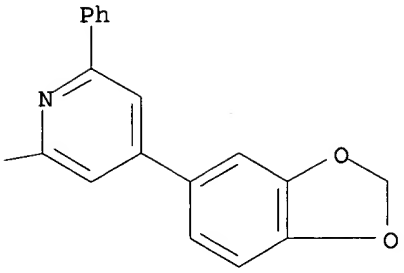
CN Benzenesulfonic acid, 2-[[[5-[[[(1S)-2-[[6-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]-2,2-dimethylhexyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]-2-pyridinyl]hydrazono]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



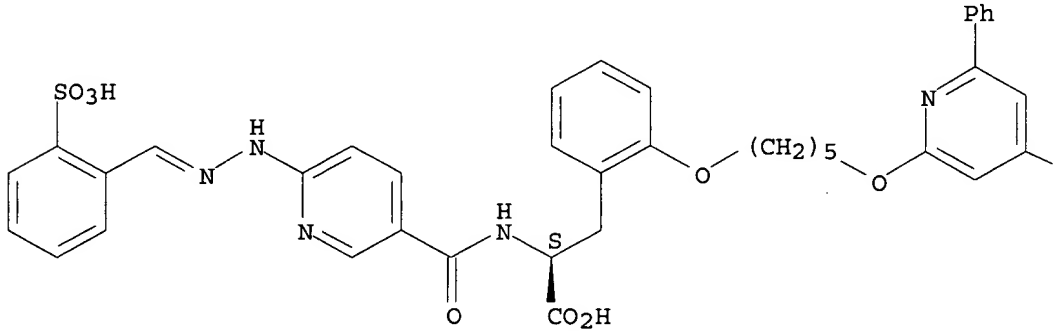
PAGE 1-B



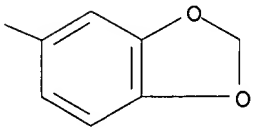
RN 206263-87-8 CAPLUS
CN L-Phenylalanine, 2-[[5-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]pentyl]oxy]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



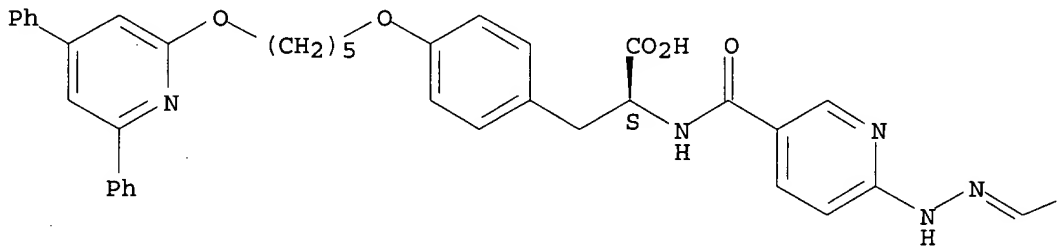
IT **206263-48-1P**, L-Tyrosine, O-[5-[(4,6-diphenyl-2-**pyridinyl**)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-**pyridinyl**]carbonyl]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

RN 206263-48-1 CAPLUS

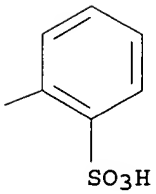
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B

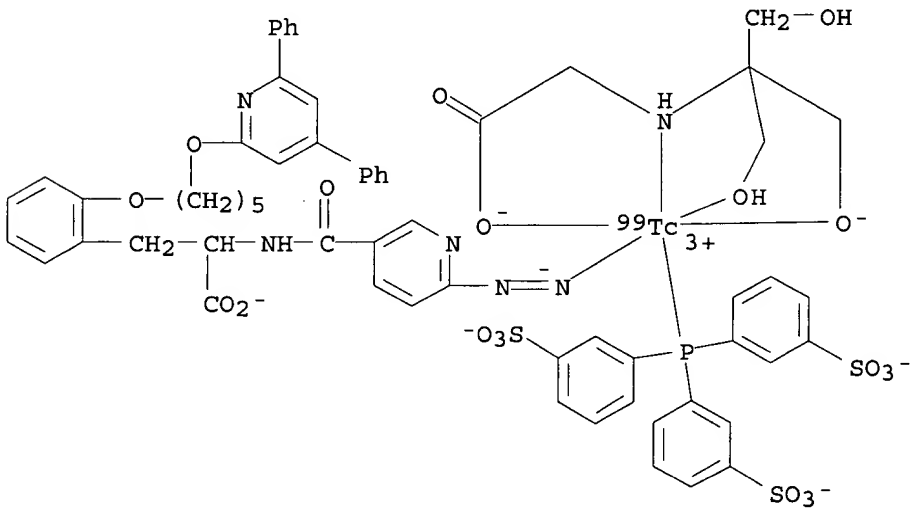


IT **206264-30-4P**, Technetate(4-)-99Tc, [N-[[6-(diazenyl-.kappa.N2)-3-**pyridinyl**]carbonyl]-2-[[5-[(4,6-diphenyl-2-**pyridinyl**)oxy]pentyl]oxy]phenylalaninato(2-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium hydrogen **206264-45-1P**, Technetate(3-)-99Tc, [N-[2-[[6-[[4-(1,3-benzodioxol-

5-yl)-6-phenyl-2-**pyridinyl**]oxy]-2,2-dimethylhexyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-6-(diazenyl-.kappa.N2)-3-pyridinecarboxamidato][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium **206264-58-6P**, Technetate(4-)-99Tc, [2-[[5-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-**pyridinyl**]oxy]pentyl]oxy]-N-[[6-(diazenyl-.kappa.N2)-2-**pyridinyl**]carbonyl]phenylalaninato(2-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium hydrogen
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 99mTc complexes with leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

RN 206264-30-4 CAPLUS
CN Technetate(4-)-99Tc, [N-[[6-(diazenyl-.kappa.N2)-3-pyridinyl]carbonyl]-2-[[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]oxy]phenylalaninato(2-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium hydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

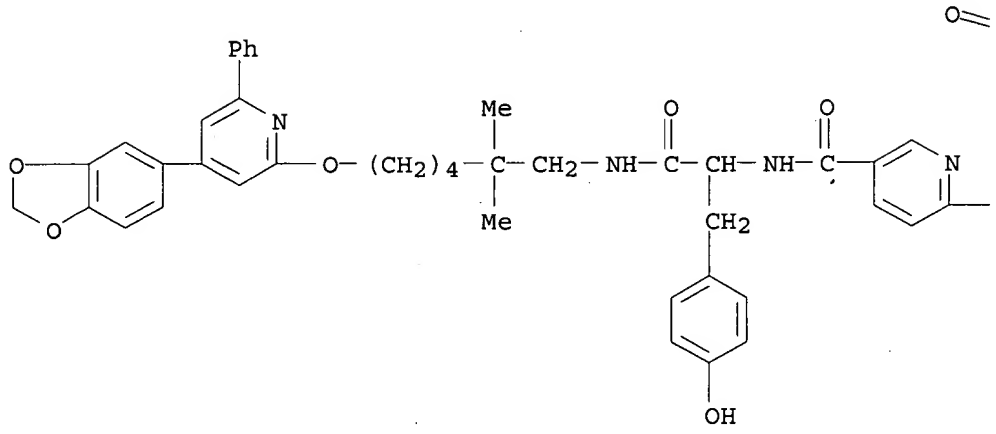
● H^+

● 3 Na^+

RN 206264-45-1 CAPLUS
CN Technetate(3-)-99Tc, [N-[2-[[6-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]-2,2-dimethylhexyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-6-(diazenyl-.kappa.N2)-3-pyridinecarboxamidato][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-

.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium (9CI) (CA INDEX NAME)

PAGE 1-A



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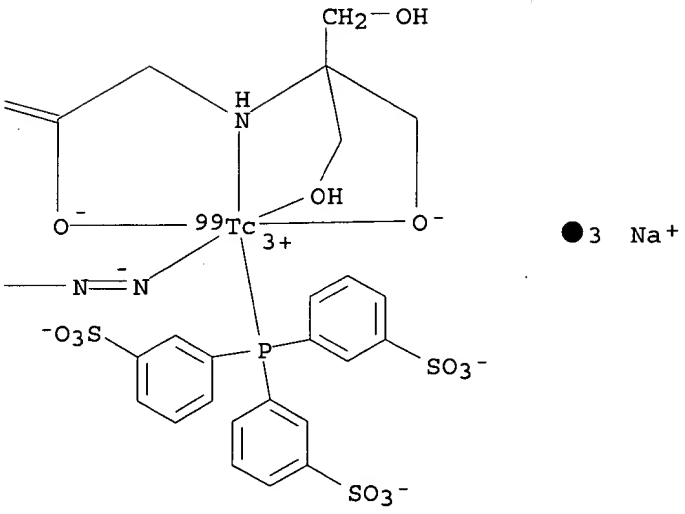
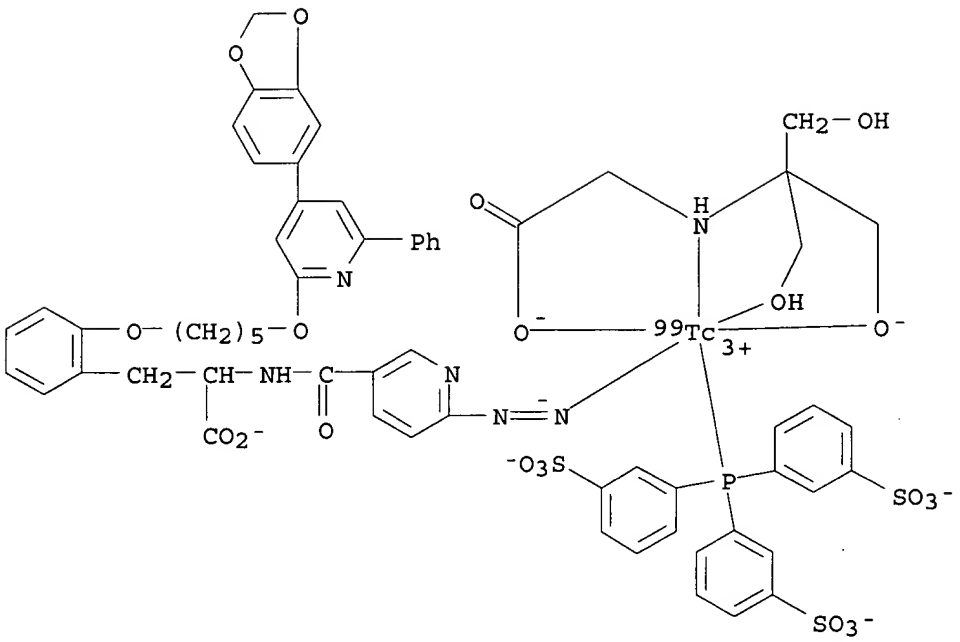


RN 206264-58-6 CAPLUS

CN Technetate(4-)-99Tc, [2-[[5-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]pentyl]oxy]-N-[[6-(diazenyl-.kappa.N2)-2-pyridinyl]carbonyl]phenylalaninato(2-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium hydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

● H^+

● 3 Na^+

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:353325 CAPLUS
DOCUMENT NUMBER: 136:362949
TITLE: Technetium-99m and indium-111 complexes for simultaneous dual isotope imaging of perfusion and inflammation
INVENTOR(S): Carpenter, Alan P., Jr.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: PCT Int. Appl., 439 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036173	A2	20020510	WO 2001-US46153	20011102
WO 2002036173	A3	20020926		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002030576	A5	20020515	AU 2002-30576		20011102
US 2003003049	A1	20030102	US 2001-2359		20011102
PRIORITY APPLN. INFO.:			US 2000-245554P	P	20001103
			WO 2001-US46153	W	20011102

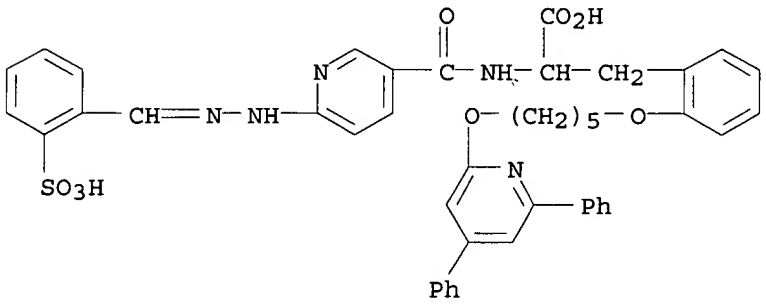
OTHER SOURCE(S): MARPAT 136:362949
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides novel diagnostic compns., e.g., 99mTc complex of I or 111In complex of II, comprising a radiolabeled LTB4 binding agent and a radiolabeled perfusion imaging agent, wherein the radiolabeled agents have spectrally separable energies, diagnostic kits comprising such compns., and methods of concurrent imaging in a mammal comprising administering a radiolabeled LTB4 binding agent and a radiolabeled perfusion imaging agent, and concurrently detecting the radiolabeled LTB4 binding agent bound at the LTB4 receptor and the radiolabeled perfusion imaging agent. The method is for use in concurrent imaging sites of inflammation and organ perfusion.

IT **206263-50-5P 206263-78-7P 206263-87-8P**
RL: BSU (Biological study, unclassified); DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and complexation with 99mTc as leukotriene antagonist ligands for simultaneous dual isotope imaging of perfusion and inflammation)

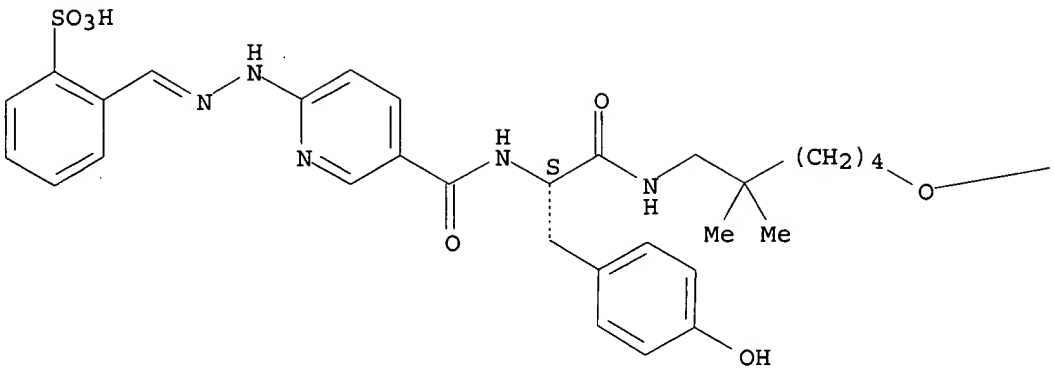
RN 206263-50-5 CAPLUS
CN Phenylalanine, 2-[[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]oxy]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



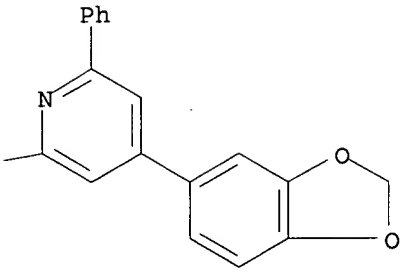
RN 206263-78-7 CAPLUS
CN Benzenesulfonic acid, 2-[[[5-[[[(1S)-2-[[6-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]-2,2-dimethylhexyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]-2-pyridinyl]hydrazono]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



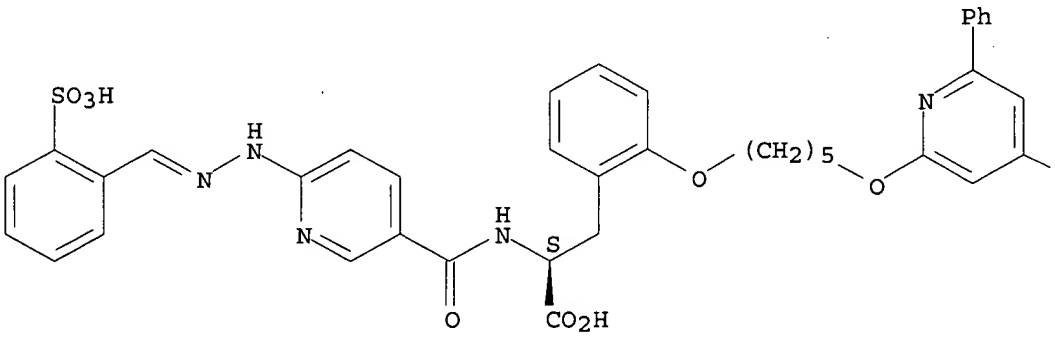
PAGE 1-B



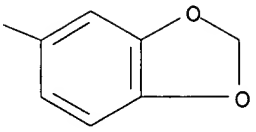
RN 206263-87-8 CAPLUS
CN L-Phenylalanine, 2-[[5-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]pentyl]oxy]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



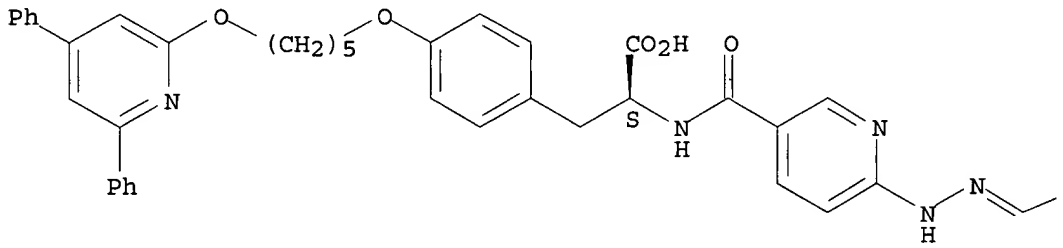
PAGE 1-B



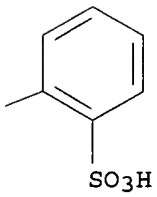
IT **206263-48-1P**
RL: BSU (Biological study, unclassified); DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as leukotriene antagonist ligands for simultaneous dual isotope imaging of perfusion and inflammation)
RN 206263-48-1 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



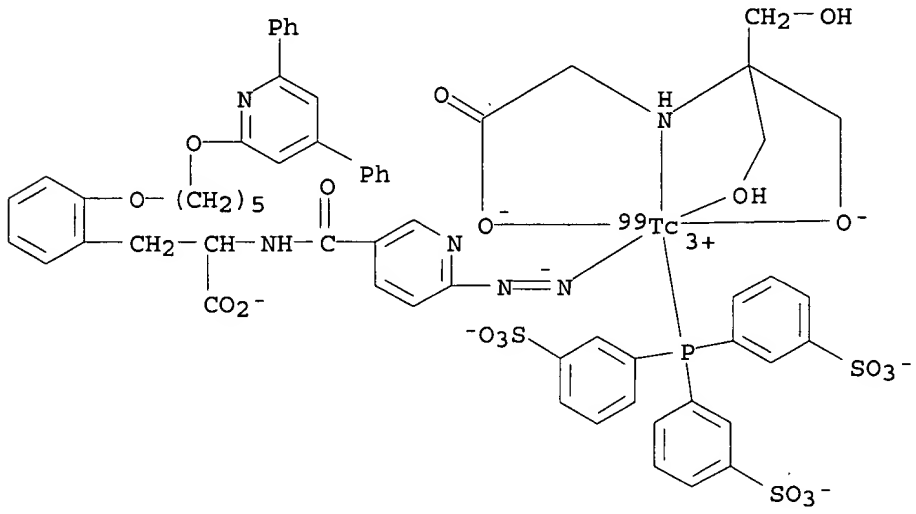
PAGE 1-B



IT **206264-30-4P 206264-45-1P 206264-58-6P**
RL: BSU (Biological study, unclassified); DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 99mTc complexes with leukotriene antagonist ligands for simultaneous dual isotope imaging of perfusion and inflammation)
RN 206264-30-4 CAPLUS
CN Technetate(4-)-99Tc, [N-[[6-(diazenyl-.kappa.N2)-3-pyridinyl]carbonyl]-2-

[[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]oxy]phenylalaninato(2-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium hydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

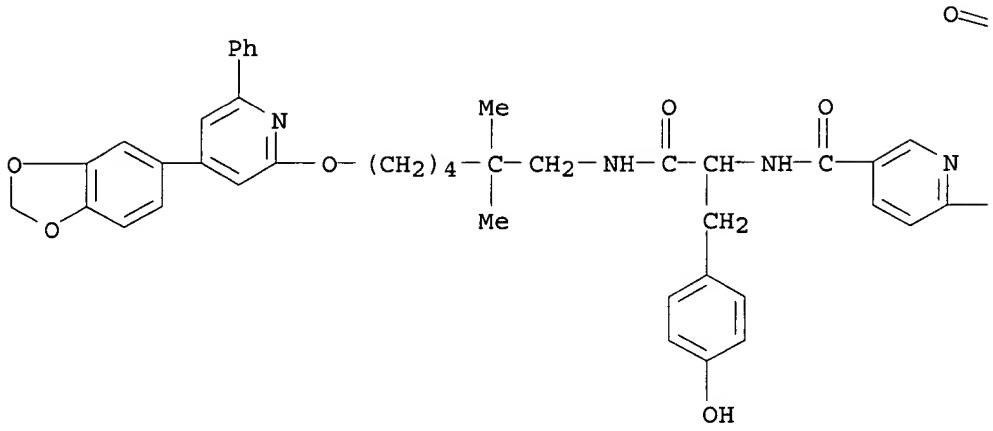
● H^+

● 3 Na^+

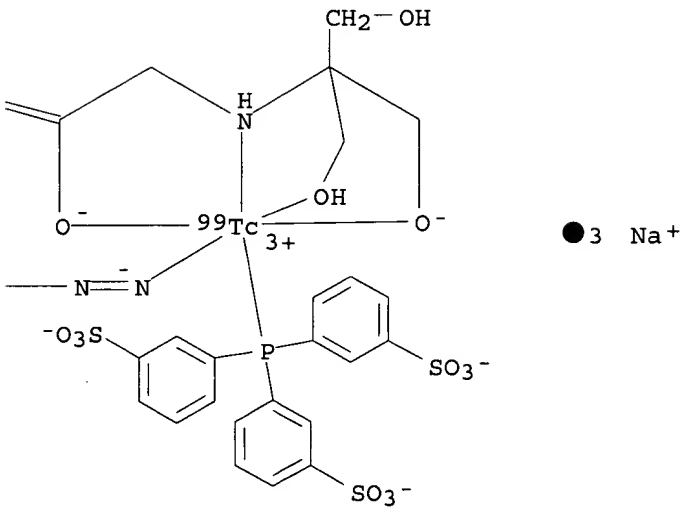
RN 206264-45-1 CAPLUS

CN Technetate(3-)-99Tc, [N-[2-[[6-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]-2,2-dimethylhexyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-6-(diazenyl-.kappa.N2)-3-pyridinecarboxamidato][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium (9CI) (CA INDEX NAME)

PAGE 1-A

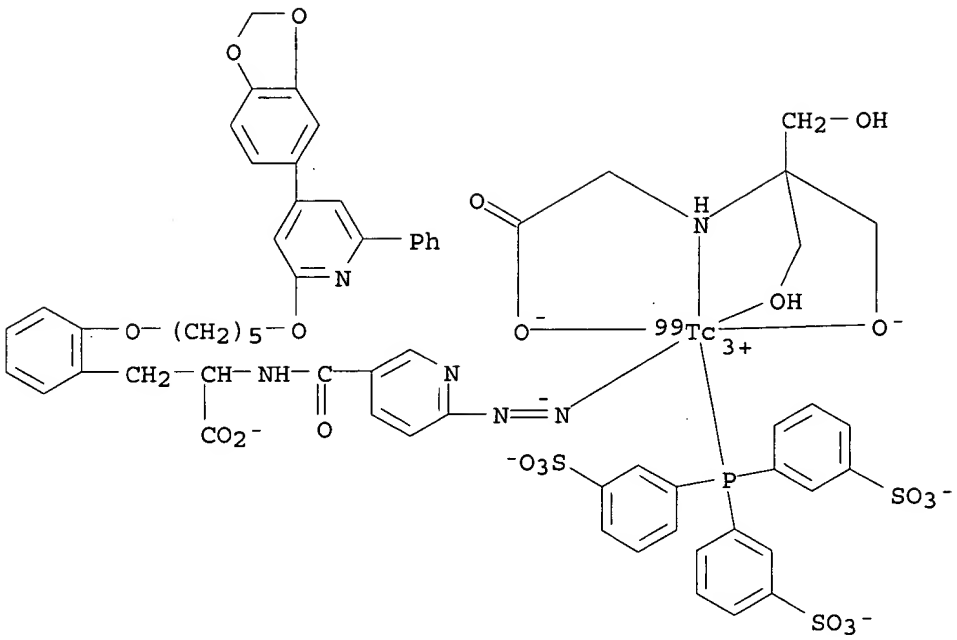


PAGE 1-B



RN 206264-58-6 CAPLUS
CN Technetate(4-)-99Tc, [2-[[5-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]pentyl]oxy]-N-[[6-(diazenyl-.kappa.N2)-2-pyridinyl]carbonyl]phenylalaninato(2-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium hydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

● H^+

● 3 Na^+

L10 ANSWER 11 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:256223 CAPLUS
DOCUMENT NUMBER: 136:295089
TITLE: Preparation of amino acid aromatic derivatives with HIV integrase inhibitory properties
INVENTOR(S): N'zemba, Blaise Magloire; Sauve, Gilles; Sevigny, Guy; Yelle, Jocelyn
PATENT ASSIGNEE(S): Pharmacor, Inc., Can.
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026697	A2	20020404	WO 2001-CA1367	20010925
WO 2002026697	A3	20020516		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001095310	A5	20020408	AU 2001-95310	20010925
US 6528655	B1	20030304	US 2001-963329	20010926
PRIORITY APPLN. INFO.:			CA 2000-2321348 A	20000927
			WO 2001-CA1367 W	20010925

OTHER SOURCE(S): MARPAT 136:295089

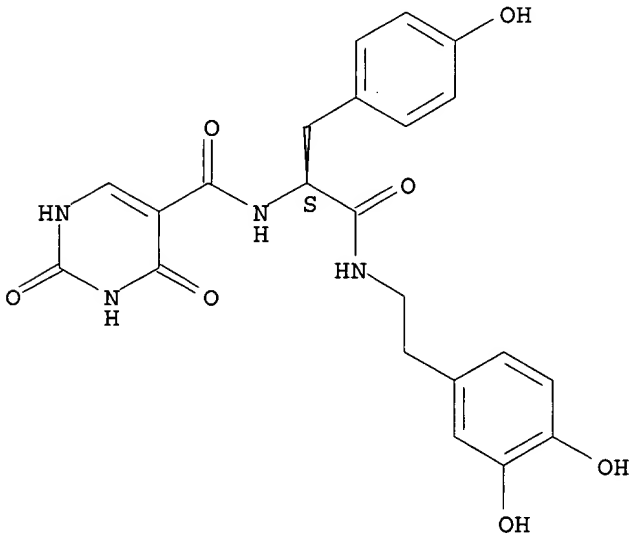
AB Amino acid derivs. R1CO-A-CONHR2 [A = NR3CR4R5, where R3, R4 = H or Me; R5 = H, alkyl, carboxyalkyl, benzyl, MeSCH2CH2, 1-indolylmethyl, 3,4-(HO)2C6H2CH2, etc.; R3R4 may be trimethylene, which may be substituted; R1, R2 are certain rings (Ph, 3-**pyridyl**, 2-quinolyl, 2-thienyl, etc.), which may be substituted and attached to alkyl; R2 may also be aroylamino] were prepd. as inhibitors of HIV integrase. Thus, N-[N.alpha.-(3,4-dihydroxybenzoyl)-N.tau.-trityl-L-histidinyl]dopamine was prepd. by coupling of N.alpha.-(9-fluorenylmethoxycarbonyl)-N.tau.-trityl-L-histidine with dopamine hydrochloride, deprotection, and acylation with 3,4-dihydroxybenzoic acid and showed anti-integrase activity IC50 = 65 nM.

IT **406727-48-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid arom. derivs. with HIV integrase inhibitory properties)

RN 406727-48-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(1S)-2-[[2-(3,4-dihydroxyphenyl)ethyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 12 OF 56 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	2002:185092 CAPLUS
DOCUMENT NUMBER:	136:247598
TITLE:	Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S):	Nuss, John M.; Harrison, Stephen D.; Ring, David B.;

09/ 964,161

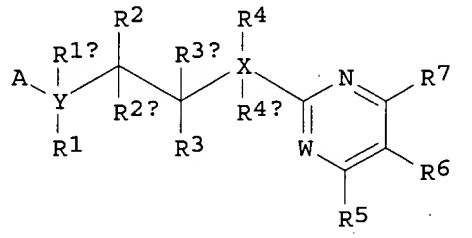
Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020495	A2	20020314	WO 2001-US42081	20010906
WO 2002020495	A3	20020620		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001095026	A5	20020322	AU 2001-95026		20010906
PRIORITY APPLN. INFO.:			US 2000-230480P	P	20000906
			WO 2001-US42081	W	20010906

OTHER SOURCE(S): MARPAT 136:247598
GI



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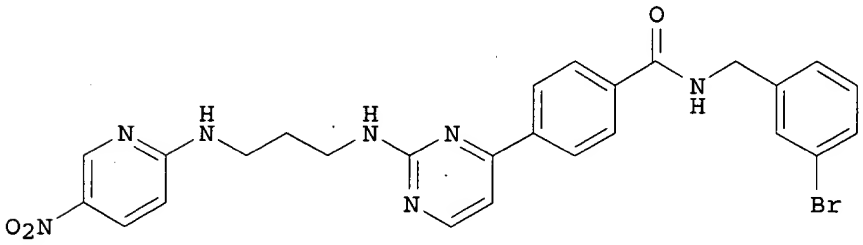


II

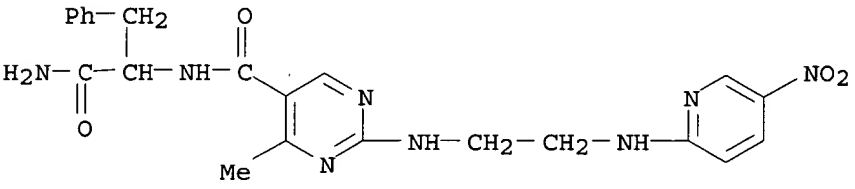
AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example,

2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **403807-91-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-91-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-amino-2-oxo-1-(phenylmethyl)ethyl]-4-methyl-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]- (9CI) (CA INDEX NAME)

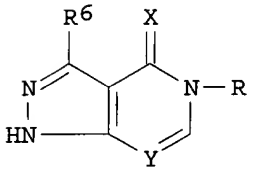


L10 ANSWER 13 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:157777 CAPLUS
DOCUMENT NUMBER: 136:216754
TITLE: Preparation of pyrazolo[3,4-d]pyrimidine derivatives, pharmaceutical compositions, and methods for modulating or inhibiting ERAB or HADH2 activity
INVENTOR(S): Abreo, Melwyn A.; Meng, Jerry J.; Agree, Charles Scott
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016365	A1	20020228	WO 2001-US41795	20010817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096854	A5	20020304	AU 2001-96854	20010817
US 2002065292	A1	20020530	US 2001-931166	20010817
US 2002132319	A1	20020919	US 2001-931186	20010817

EP 1223176 A2 20020717 EP 2001-307075 20010820
EP 1223176 A3 20021023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2002360269 A2 20021217 JP 2001-249448 20010820
PRIORITY APPLN. INFO.: US 2000-226123P P 20000818
WO 2001-US41795 W 20010817
OTHER SOURCE(S): MARPAT 136:216754

GI



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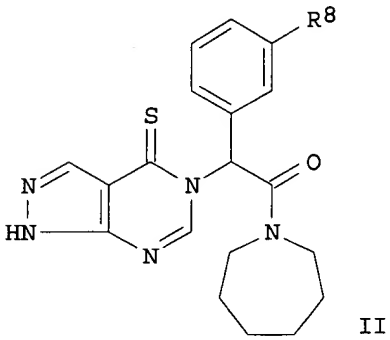


II

AB Pyrazole compds. represented by the formula [I; X = O, S; Y = N, CH; R6 = H, OH; R = CR1R7CONR2R3, CR1R7COR5, CR1R7CO2R4; wherein R1 = H, each (un)substituted alkyl, alkenyl, alkynyl, alkoxy, allyloxy, aryl, heteroaryl, cycloalkyl or heterocycloalkyl; R2, R3 = H, each (un)substituted alkyl, alkenyl, alkoxy, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl, or NR2R3 forms an (un)substituted 4- to 10-membered heterocycloalkyl or heteroaryl group contg. at least one N, S or 0 heteroatom; R4 = H, each (un)substituted alkyl, alkenyl, cycloalkyl, heterocycloalkyl, aryl, or heteroaryl; R5 = H, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, or heteroaryl; R7 = H, C1-3 alkyl, HO, C1-3 alkoxy] or pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, or pharmaceutically active metabolites of said compds., or pharmaceutically acceptable salts of said metabolites, are prepd. These pyrazole compds. and pharmaceutical compns. contg. them may be used in inhibiting endoplasmic reticulum-assocd. amyloid-.beta.-peptide binding protein (ERAB) or L-3-hydroxyacyl-CoA dehydrogenase type II (HADH2) activity and in treating ERAB, HADH2 or amyloid-.beta. mediated diseases and conditions, in particular Alzheimer's disease. Thus, O-(7-azabenzotriazol-1-yl)-1,1,3,3-tetratriethyluronium hexafluorophosphate (0.125 g, 0.33 mmol) was added to a soln. of (S)-2-phenyl-(4-thioxo-1,4-dihydropyrazolo[3,4-d]pyrimidin-5-yl)acetic acid (prepn. given) (0.064 g, 0.22 mmol) and hexamethyleneimine (0.023 g, 0.23 mmol) with 4-methylmorpholine (0.44 mmol) in 3 mL of DMF at 0.degree. and the resulting mixt. of yellow soln. was stirred overnight at 0.degree. to room temp. to give (S)-1-azepan-1-yl-2-phenyl-2-(4-thioxo-1,4-dihydro-1,4-dihydropyrazolo[3,4-d]pyrimidin-5-yl)ethanone [(S)-II; R8 = H]. (S)-II (R8 = H) and II (R8 = OH) showed IC50 of 0.097 and 0.051 .mu.M, resp., against L-3-hydroxyacyl-CoA dehydrogenase.

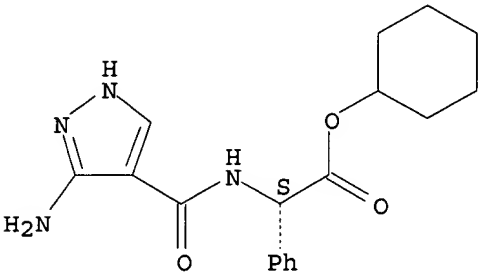
IT **401925-73-3P 401926-42-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of pyrazolo[3,4-d]pyrimidine derivs. for modulating or inhibiting ERAB or HADH2 activity in treating Alzheimer's disease)

RN 401925-73-3 CAPLUS

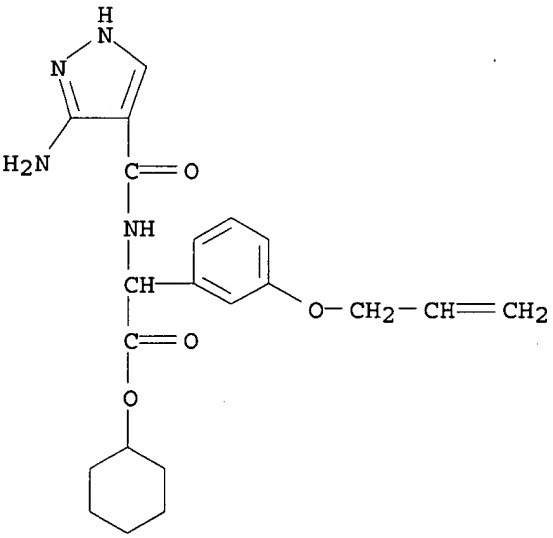
09/ 964,161

CN Benzeneacetic acid, .alpha.-[[(3-amino-1H-pyrazol-4-yl)carbonyl]amino]-, cyclohexyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401926-42-9 CAPLUS
CN Benzeneacetic acid, .alpha.-[[(3-amino-1H-pyrazol-4-yl)carbonyl]amino]-3-(2-propenyloxy)-, cyclohexyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:935599 CAPLUS
DOCUMENT NUMBER: 136:69801
TITLE: Insecticidal and acaricidal 2-(3,5-disubstituted-4-**pyridyl**)-4-(thienyl-, thiazolyl-, or arylphenyl)-1,3-oxazoline compounds
INVENTOR(S): Tisdell, Francis Eugene; Bis, Scott Jerome; Hegde, Vidyadhar Babu; Martin, Timothy Patrick; Perreault, Denise Marie; Yap, Maurice Chee Hoong; Guenthenspberger, Katherine Anne; Dripps, James Edwin; Gifford, James Michael; Schoonover, Joe Raymond; Karr, Laura Lee; Dintenfass, Leonard Paul; Neese, Paul Allen
PATENT ASSIGNEE(S): Dow Agrosciences Llc, USA; et al.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

09/ 964,161

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098296	A2	20011227	WO 2001-US20135	20010622
WO 2001098296	A3	20020606		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

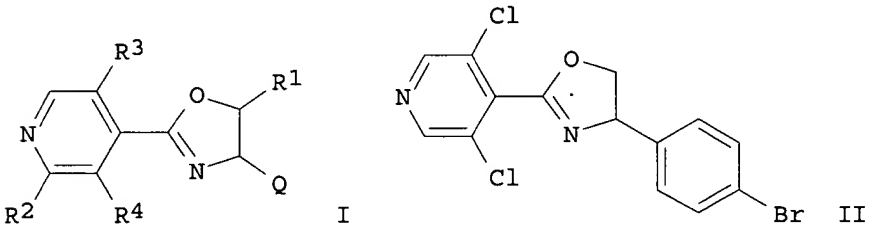
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1292593	A2	20030319	EP 2001-950425	20010622

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2000-213308P P 20000622
WO 2001-US20135 W 20010622

OTHER SOURCE(S): MARPAT 136:69801
GI

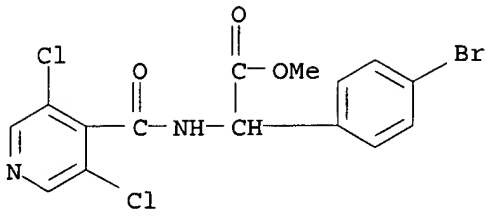


AB Oxazoline compds. having a 3,5-disubstituted-4-**pyridyl** group in the 2-position and a thienyl, thiazolyl or arylphenyl group in the 4-position are effective in controlling aphids, insects and mites. In particular, compds. I and their phytol. acceptable acid addn. salts and N-oxides are claimed [wherein: R1 = H, alkyl, haloalkyl, alkenyl, alkynyl, alkoxyalkyl; R2 = H, halo, alkyl, haloalkyl, alkoxy, haloalkoxy; R3, R4 = Cl, F, Me, halomethyl, OMe, halomethoxy; Q = certain (un)substituted Ph, thienyl, or thiazolyl]. I are useful against insects and mites, and methods of controlling whitefly, mites, and aphids are particularly claimed. For instance, 4-bromophenylglycine Me ester underwent amidation with 3,5-dichloro-4-pyridinylcarbonyl chloride, followed by redn. of the ester to an alc. with NaBH4 (57.5%), and cyclization of the hydroxy amide using DAST (75%), to give title oxazoline II. This compd. gave 90-100% control of both cotton aphid (50 ppm) and two-spotted spider mite (2.5 ppm). Prepn. data for 48 compds. and test results for each against up to 6 pests are provided.

IT **383363-37-9P**, N-[.alpha.-(Methoxycarbonyl)-4-bromobenzyl]-3,5-dichloro-4-pyridinecarboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of insecticidal and acaricidal **pyridyl** (thienyl-, thiazolyl-, or arylphenyl)oxazolines)

RN 383363-37-9 CAPLUS

CN Benzeneacetic acid, 4-bromo-.alpha.-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 15 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:935452 CAPLUS
DOCUMENT NUMBER: 136:70083
TITLE: Pharmaceuticals for the imaging of angiogenic disorders for use in combination therapy
INVENTOR(S): Rajopadhye, Milind; Edwards, D. Scott; Barrett, John A.; Carpenter, Alan P., Jr.; Heminway, Stuart J.; Liu, Shuang; Singh, Prahlad
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097860	A2	20011227	WO 2001-US20108	20010621
WO 2001097860	A3	20030227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-213206P P 20000621
OTHER SOURCE(S): MARPAT 136:70083

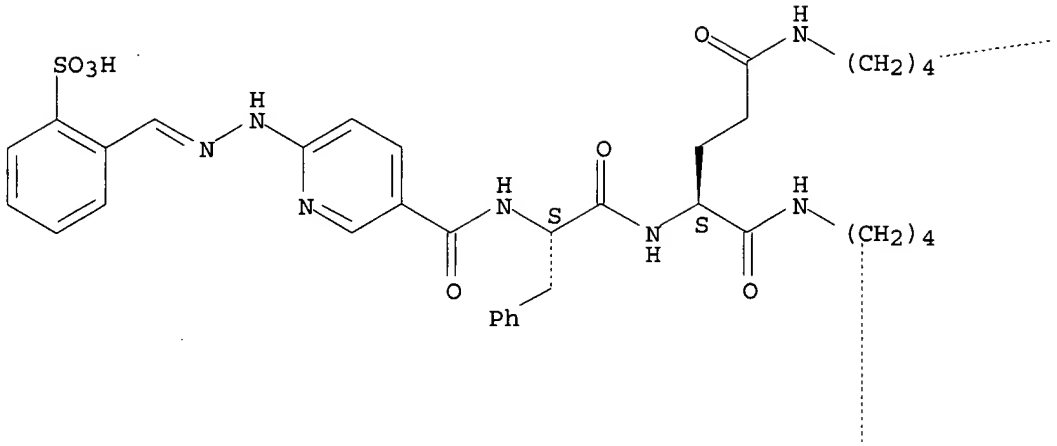
AB Compds. (Q)d-Ln-Ch (Q is a peptide, d = 1-10, Ln is a linking group, Ch is a metal-bonding unit) were prepd. for use in the diagnosis and treatment of cancer in combination therapy in a patient. The present invention also provides novel compds. useful for the treatment of rheumatoid arthritis (no data). Thus, cyclo{Arg-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-**pyridinyl**]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl)-Val} was prepd. by acylation of cyclo{Arg-Gly-Asp-D-Tyr(3-aminopropyl)-Val} with 2-[[[5-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-2-**pyridinyl**]hydrazono]methyl]benzenesulfonic acid monosodium salt and converted into radiopharmaceutical 99mTc(VnA)(tricine)(phosphine), where VnA represents the vitronectin receptor antagonist.

IT **250611-84-8P 250611-85-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

RN 250611-84-8 CAPLUS
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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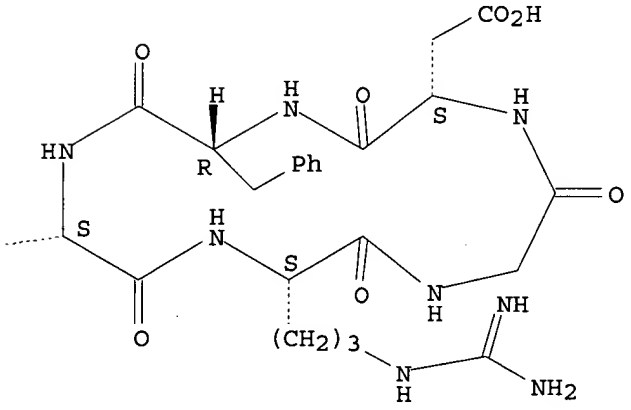
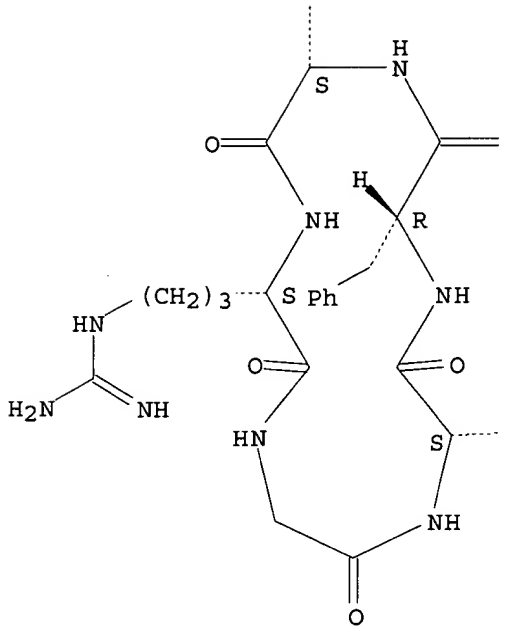


PAGE 2-A



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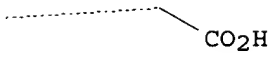


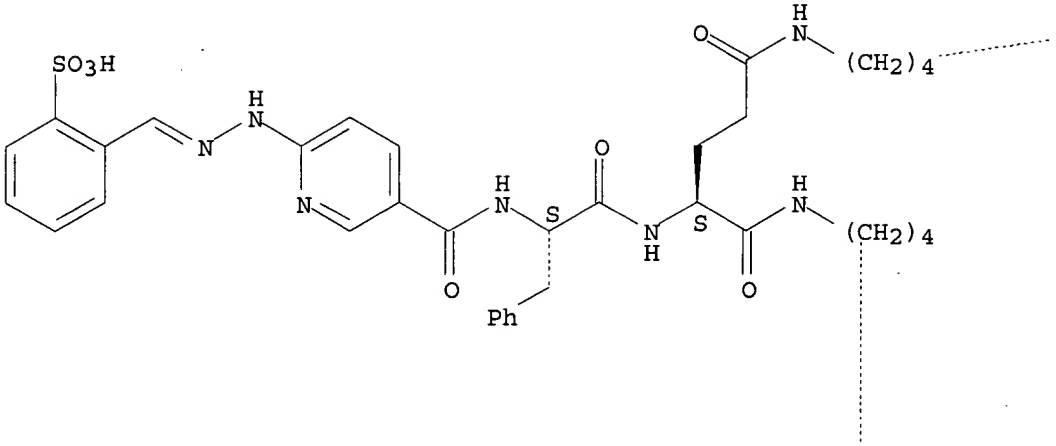
RN 250611-85-9 CAPLUS
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 250611-84-8
CMF C81 H105 N23 O21 S

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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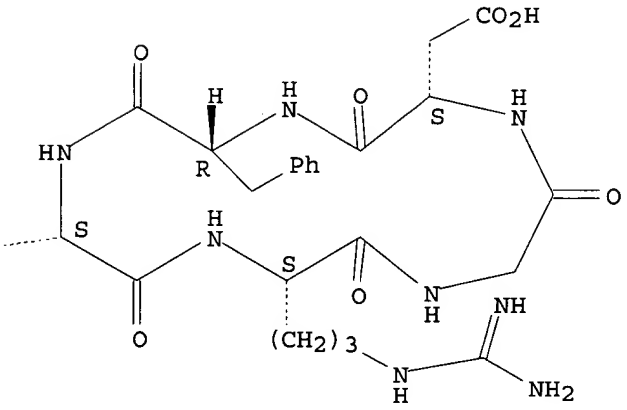

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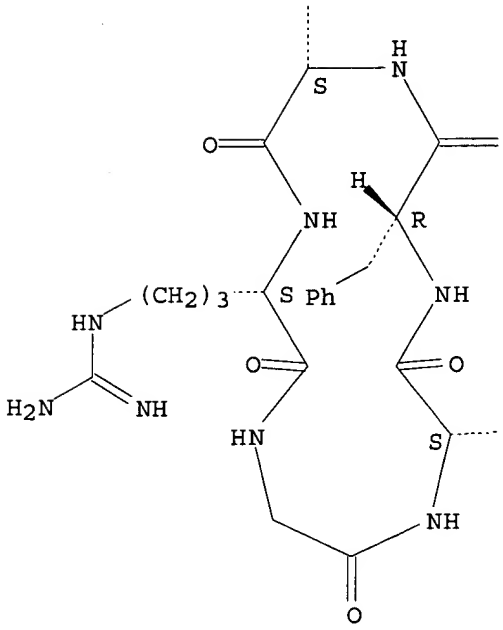

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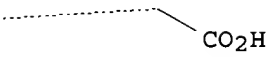
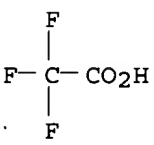


CM 2

CRN 76-05-1
CMF C2 H F3 O2



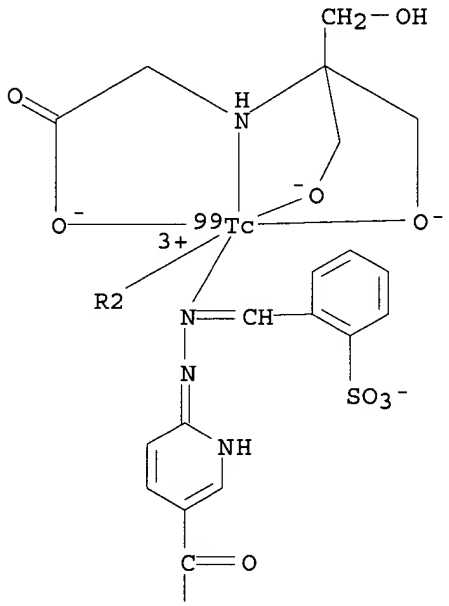
IT **250614-25-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

RN 250614-25-6 CAPLUS

CN Technetate(6-)-99Tc, [N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(3-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)][[5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino-.kappa.N2]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](3-)]-, trisodium trihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



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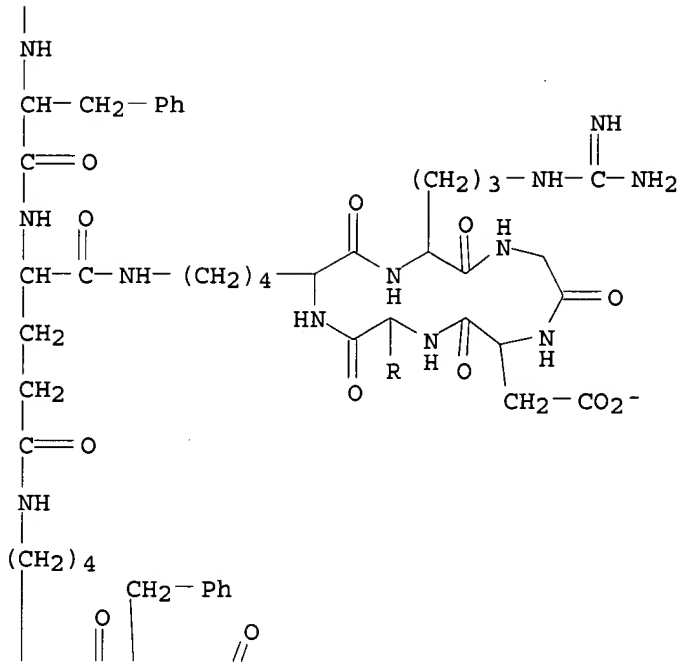

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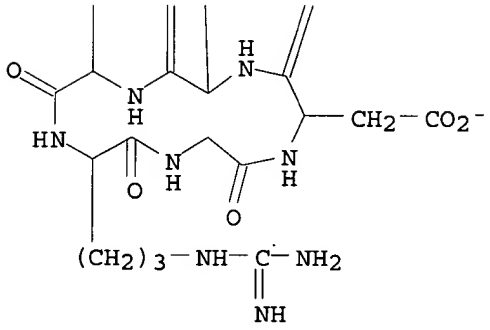

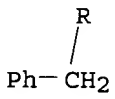

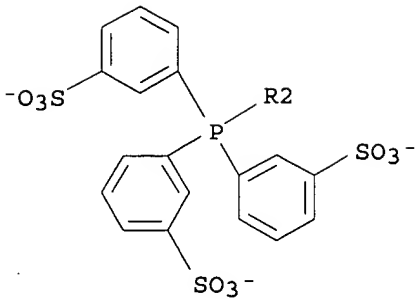

⊙3 H+

⊙3 Na+

L10 ANSWER 16 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:851126 CAPLUS
DOCUMENT NUMBER: 135:371760
TITLE: Preparation of pyrazolylpyrimidines and analogs as TNF-.alpha. signaling modulators
INVENTOR(S): Sneddon, Scott F.; Kane, John L.; Hirth, Bradford H.; Vinick, Fred; Qiao, Shuang; Nahill, Sharon R.
PATENT ASSIGNEE(S): Genzyme Corporation, USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087849	A2	20011122	WO 2001-US15027	20010510
WO 2001087849	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002119988	A1	20020829	US 2001-852965	20010510
EP 1294699	A2	20030326	EP 2001-933253	20010510
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NO 2002005405	A	20030109	NO 2002-5405	20021111

PRIORITY APPLN. INFO.: US 2000-203784P P 20000512
US 2000-205213P P 20000518
WO 2001-US15027 W 20010510

OTHER SOURCE(S): MARPAT 135:371760

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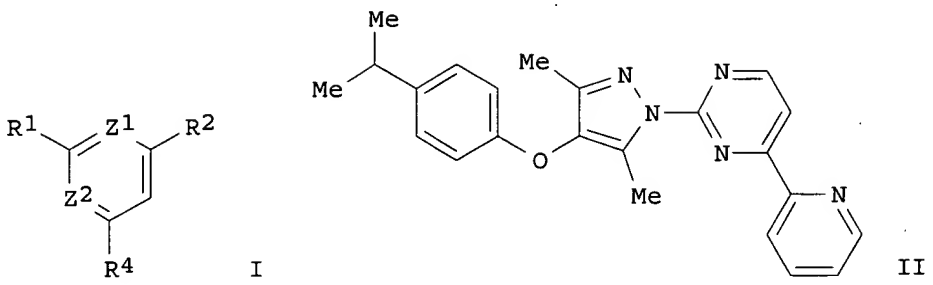


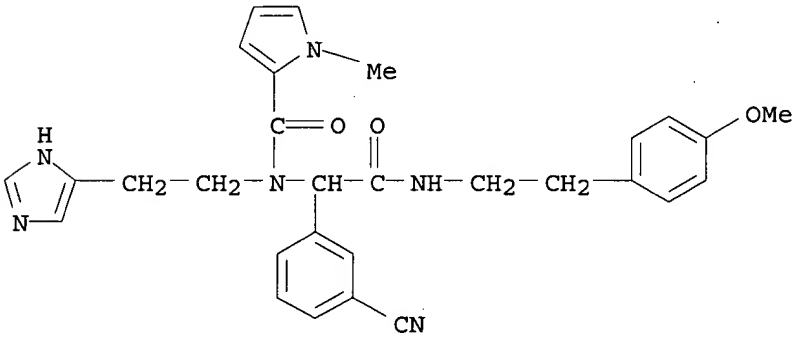
I II

AB Title compds. [I; R1 = H or NH2; R2 = ZZ3(CH2)nR; R = (un)substituted Ph or -heterocyclyl; R4 = (alkyl-substituted) 2-**pyridinyl** or -pyrazinyl; Z = (un)substituted pyrazole-1,4-diyl; Z1,Z2 = N or CH; Z3 = O, CH2, S, SO2; n = 0-2] were prepd. Thus, 4-(Me2HC)C6H4OH was condensed with (MeCO)2CHN2 and the product cyclocondensed with 4-(2-**pyridinyl**)-2-pyrimidinylhydrazine to give title compd. II. Data for biol. activity of I were given.

IT **374080-48-5P 374080-58-7P 374080-67-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolylpyrimidines and analogs as TNF-.alpha. signaling modulators)

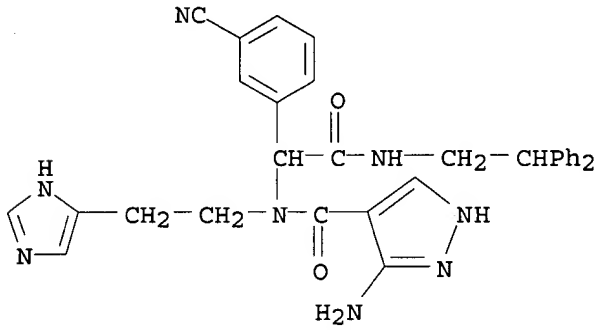
RN 374080-48-5 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[1-(3-cyanophenyl)-2-[[2-(4-methoxyphenyl)ethyl]amino]-2-oxoethyl]-N-[2-(1H-imidazol-4-yl)ethyl]-1-methyl- (9CI) (CA INDEX NAME)

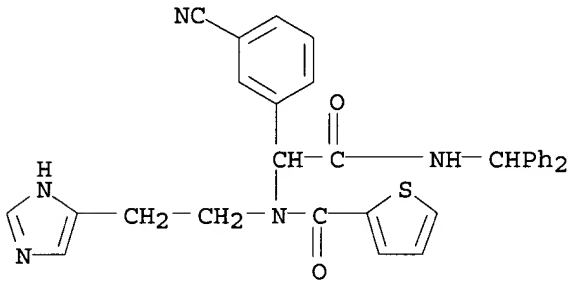


RN 374080-58-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-amino-N-[1-(3-cyanophenyl)-2-[(2,2-diphenylethyl)amino]-2-oxoethyl]-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 374080-67-8 CAPLUS
CN 2-Thiophenecarboxamide, N-[1-(3-cyanophenyl)-2-[(diphenylmethyl)amino]-2-oxoethyl]-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 17 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:780850 CAPLUS
DOCUMENT NUMBER: 135:331676
TITLE: Preparation of pyrrole-containing peptidomimetic compounds as antipicornaviral agents
INVENTOR(S): Johnson, Theodore O., Jr.; Hua, Ye; Luu, Hiep T.; Dragovich, Peter S.
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079167	A2	20011025	WO 2001-US12333	20010412
WO 2001079167	A3	20020228		
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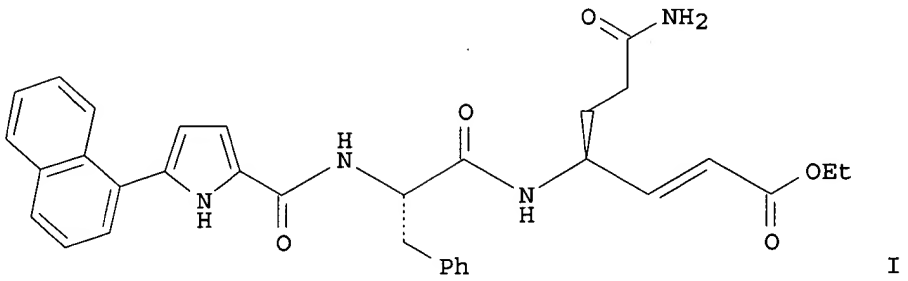
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002006943	A1	20020117	US 2001-834783	20010412
EP 1274682	A2	20030115	EP 2001-925037	20010412

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 2000-197796P P 20000414
US 2000-198497P P 20000418
WO 2001-US12333 W 20010412
OTHER SOURCE(S): MARPAT 135:331676
GI



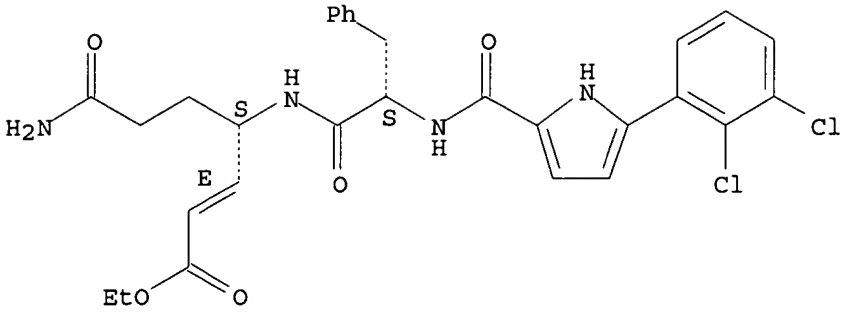
I

AB Peptidomimetic compds. RaCON(Rb)CHRcCRd:CZZ1 [Ra is alkyl-, cycloalkyl-, aryl- or heteroarylcarbonylalkyl, alkyl-, cycloalkyl-, heterocycloalkyl-, aryl- or heteroarylcarbonylaminoalkyl or -aminocarbonylalkyl, where each alkyl, cycloalkyl, heterocycloalkyl,aryl and heteroaryl may be substituted; Rb is H or (un)substituted alkyl; Rd is H, halo, OH, (un)substituted alkyl, alkoxy or alkylthio; Rc is CReRf-A1(R)-CO-A4-(A3)p-R, where R2 = (A2)m (m = 0 or 1; R = H for m = 0); Re, Rf = H, alkyl; p = 0-5; A1 = CH or N; A2 = CRgRhRi, NRgRi, SRg, S(O)Rg, SO2Rg, O(Rg) (Rg, Rh, Ri = H or alkyl); A3 = CRgRh, NRi, S, SO, SO2, O; A4 = NRjRk, CRgRhRi, O(Rk) (Rk = H or alkyl); Z, Z1 = H, F (un)substituted alkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl or CZZ1 is (hetero)cycloalkyl (with provisos)] were prepd. for inhibiting or blocking the biol. activity of the picornaviral 3C protease. Thus, compd. I was prepd. by coupling 5-(1-naphthyl)-1H-pyrrole-2-carboxylic acid chloride (prepn. given) with Phe-Gln-resin and showed Kobs/I = 30,800 M-1s-1 for inhibition of Rhinovirus 3C virus, EC50 = 0.109 .mu.M in the anticoxsackieviral cell culture assay, and CC50 (50% cytotoxic dose) >10 .mu.M.

IT **368206-18-2P 368206-24-0P 368206-27-3P**
368206-33-1P 368206-38-6P 368206-44-4P
368206-49-9P 368206-54-6P 368206-61-5P
368206-67-1P 368206-73-9P 368206-80-8P
368206-85-3P 368206-91-1P 368206-97-7P
368207-29-8P 368207-34-5P 368207-44-7P
368207-48-1P 368207-53-8P 368207-58-3P
368207-63-0P 368208-29-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrole-contg. peptidomimetic compds. as antipicornaviral agents)

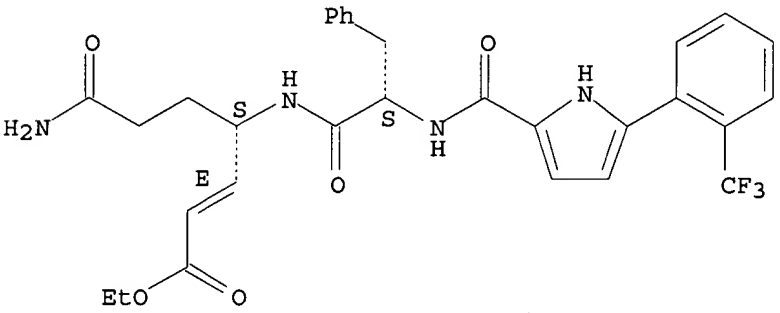
RN 368206-18-2 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(2,3-dichlorophenyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



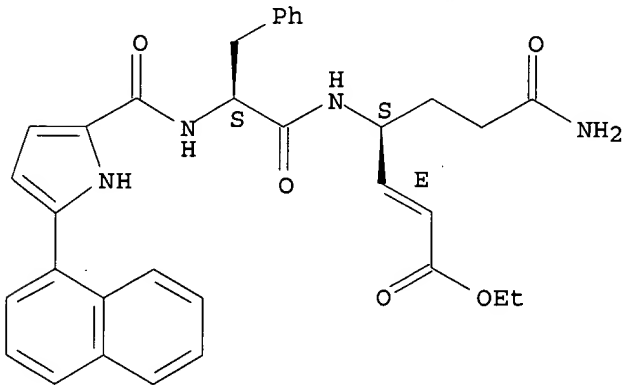
RN 368206-24-0 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-3-phenyl-2-[[[5-[2-(trifluoromethyl)phenyl]-1H-pyrrol-2-yl]carbonyl]amino]propyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



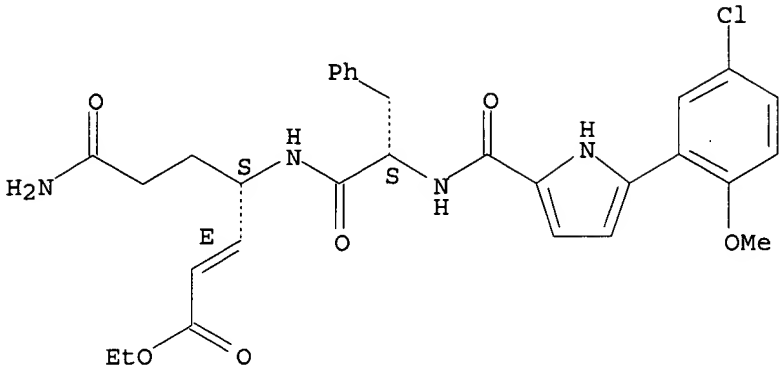
RN 368206-27-3 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(1-naphthalenyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



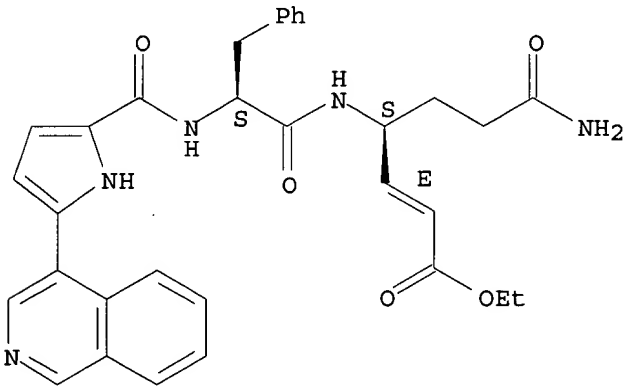
RN 368206-33-1 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(5-chloro-2-methoxyphenyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



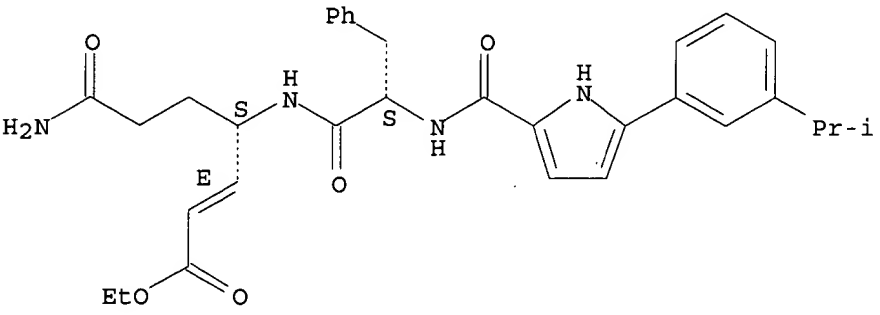
RN 368206-38-6 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(4-isoquinolinyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



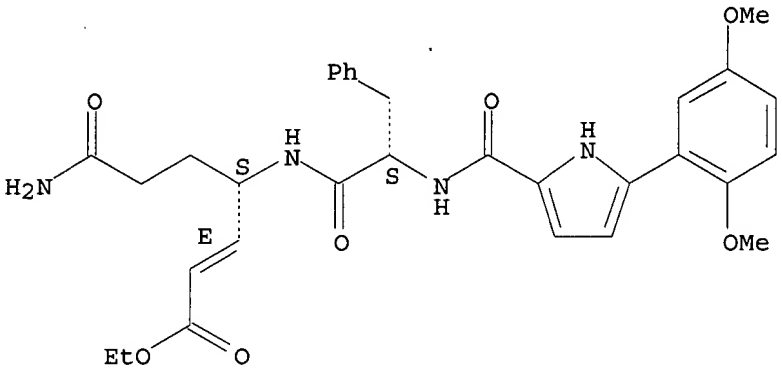
RN 368206-44-4 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-[3-(1-methylethyl)phenyl]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



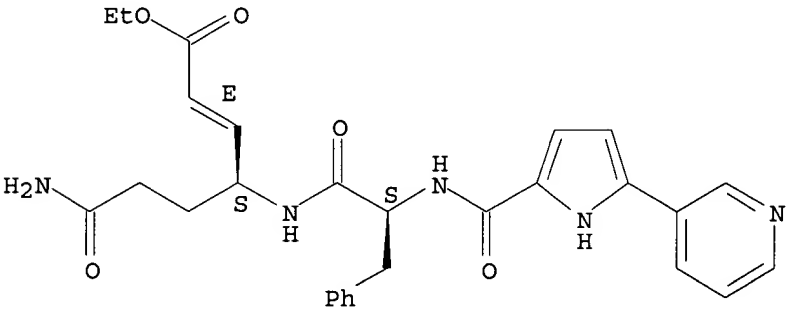
RN 368206-49-9 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(2,5-dimethoxyphenyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



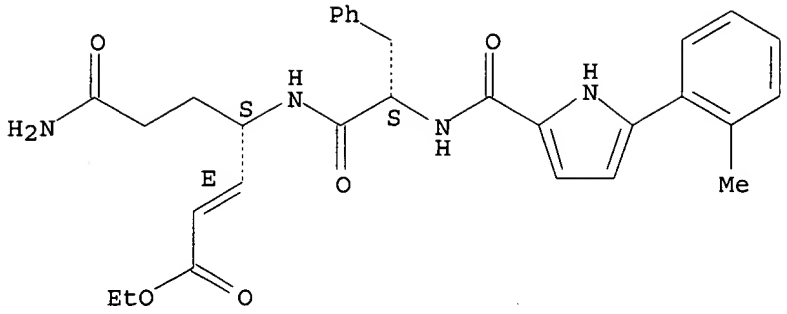
RN 368206-54-6 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-3-phenyl-2-[[[5-(3-pyridinyl)-1H-pyrrol-2-yl]carbonyl]amino]propyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



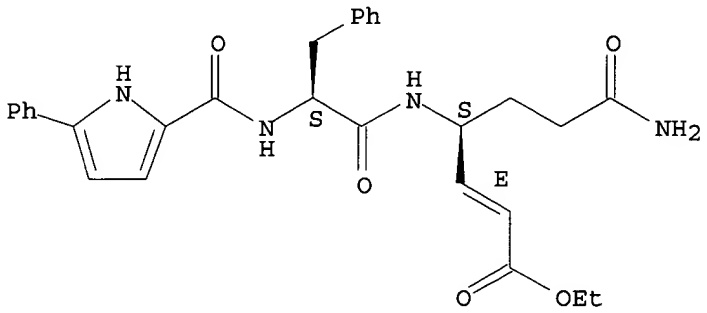
RN 368206-61-5 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(2-methylphenyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



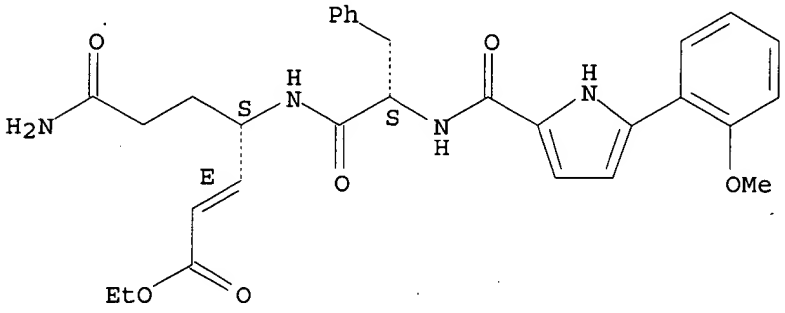
RN 368206-67-1 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-3-phenyl-2-[[(5-phenyl-1H-pyrrol-2-yl)carbonyl]amino]propyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



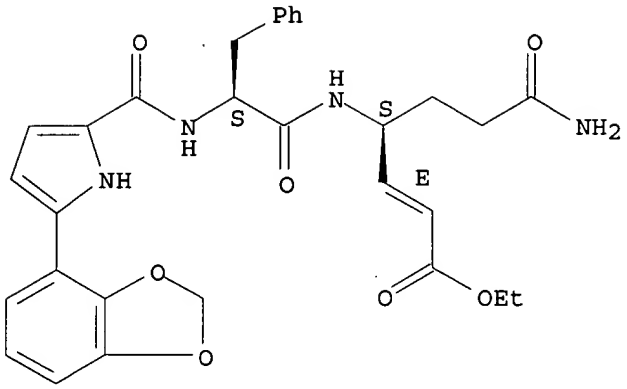
RN 368206-73-9 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(2-methoxyphenyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



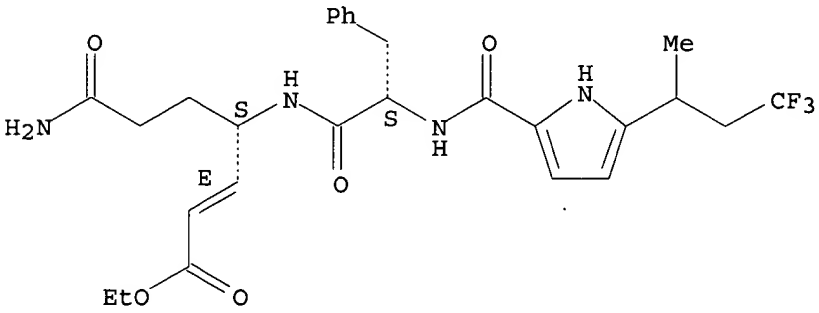
RN 368206-80-8 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(1,3-benzodioxol-4-yl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



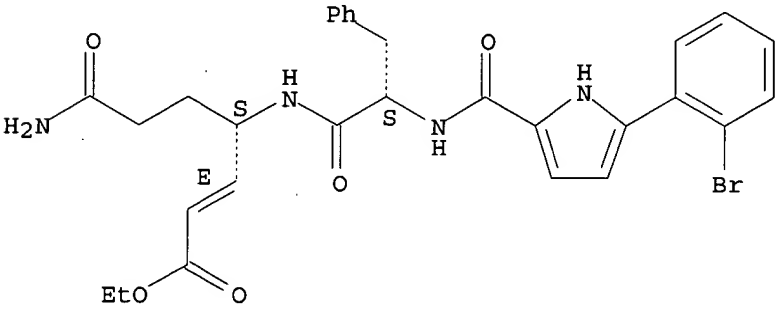
RN 368206-85-3 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-3-phenyl-2-[[[5-(3,3,3-trifluoro-1-methylpropyl)-1H-pyrrol-2-yl]carbonyl]amino]propyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



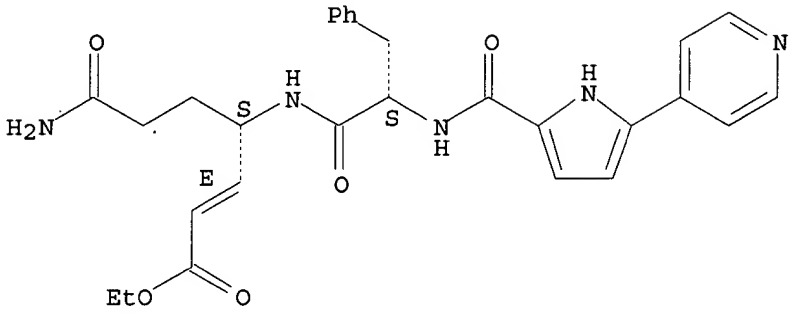
RN 368206-91-1 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(2-bromophenyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



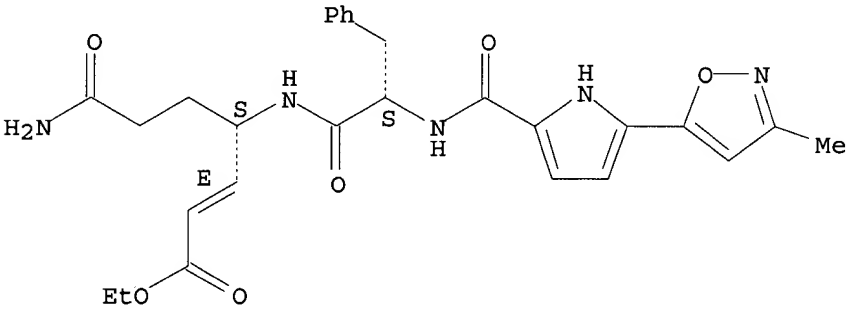
RN 368206-97-7 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-3-phenyl-2-[[[5-(4-pyridinyl)-1H-pyrrol-2-yl]carbonyl]amino]propyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



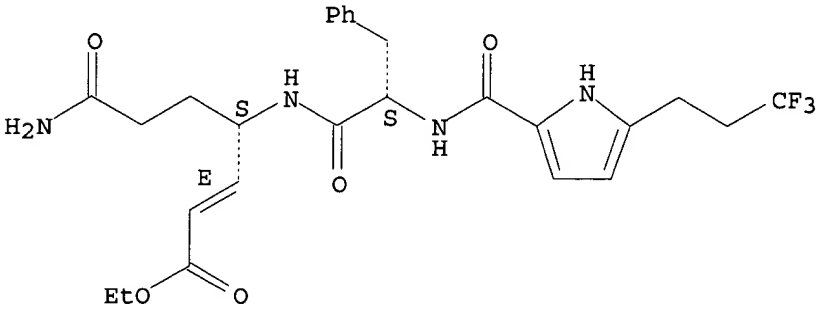
RN 368207-29-8 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[[[5-(3-methyl-5-isoxazolyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



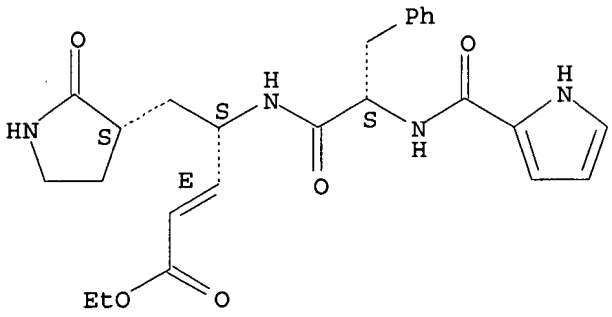
RN 368207-34-5 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-3-phenyl-2-[[[5-(3,3,3-trifluoropropyl)-1H-pyrrol-2-yl]carbonyl]amino]propyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



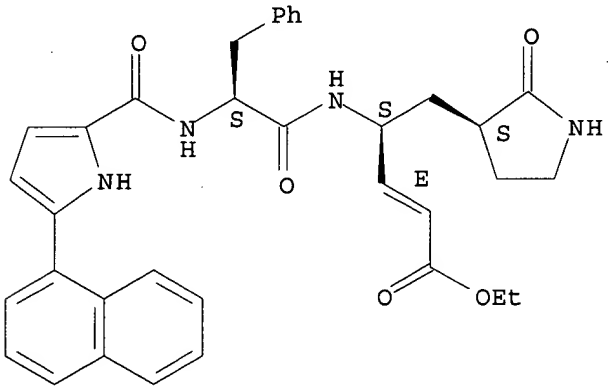
RN 368207-44-7 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-1-oxo-3-phenyl-2-[(1H-pyrrol-2-ylcarbonyl)amino]propyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



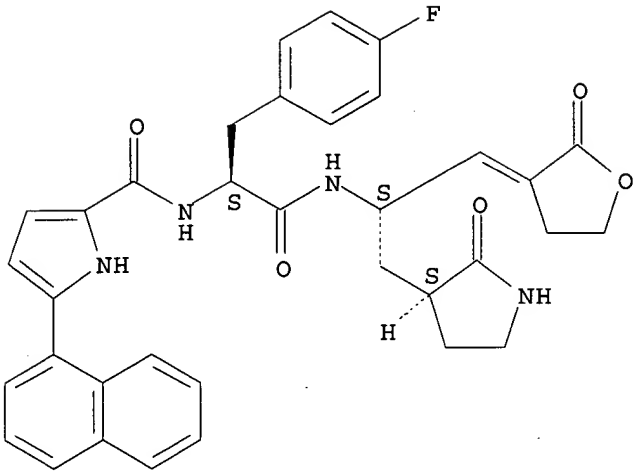
RN 368207-48-1 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-2-[[[5-(1-naphthalenyl)-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



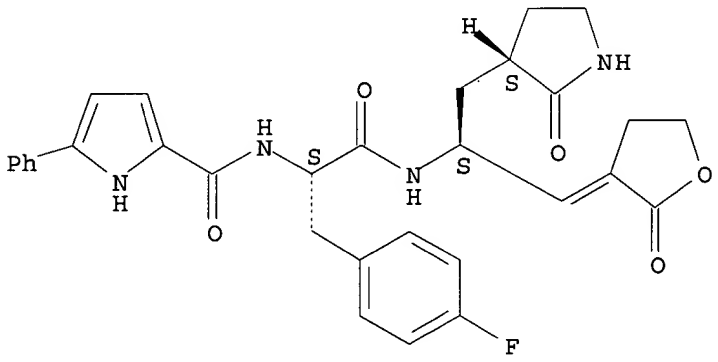
RN 368207-53-8 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-[[(1S)-1-[(dihydro-2-oxo-3(2H)-furanylidene)methyl]-2-[(3S)-2-oxo-3-pyrrolidinyl]ethyl]amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



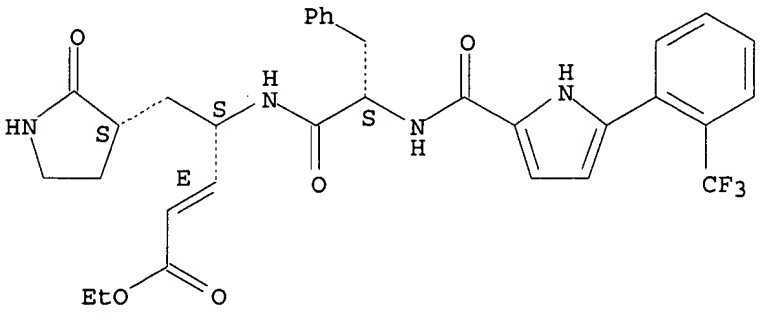
RN 368207-58-3 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-[[(1S)-1-[(dihydro-2-oxo-3(2H)-furanylidene)methyl]-2-[(3S)-2-oxo-3-pyrrolidinyl]ethyl]amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



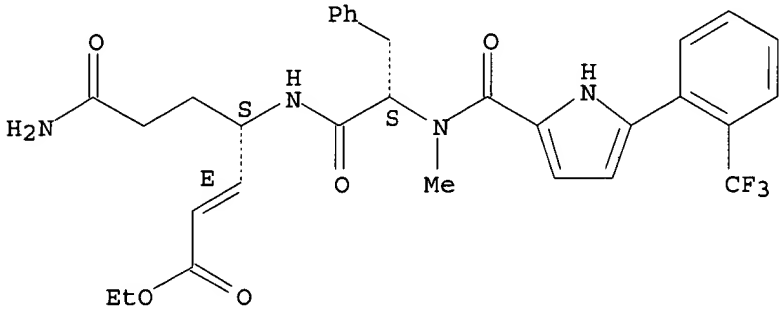
RN 368207-63-0 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-1-oxo-3-phenyl-2-[[[5-[2-(trifluoromethyl)phenyl]-1H-pyrrol-2-yl]carbonyl]amino]propyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 368208-29-1 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[methyl[[5-[2-(trifluoromethyl)phenyl]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

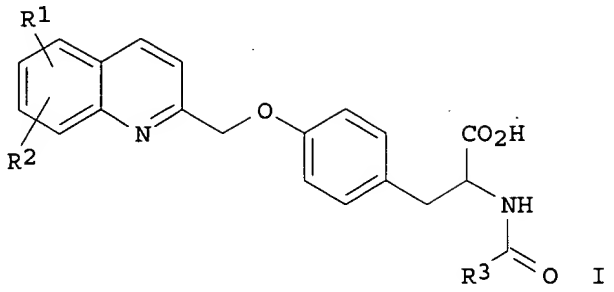
Absolute stereochemistry.
Double bond geometry as shown.



L10 ANSWER 18 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:597979 CAPLUS
DOCUMENT NUMBER: 135:167035
TITLE: Preparation of tyrosine derivatives having anti-leukotriene activity
INVENTOR(S): Makovec, Francesco; Peris, Walter; Rovati, Lucio Claudio
PATENT ASSIGNEE(S): Rotta Research Laboratorium S.P.A., Italy
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058892	A1	20010816	WO 2001-EP1315	20010207
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1255749	A1	20021113	EP 2001-905744	20010207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: IT 2000-TO127 A 20000209
WO 2001-EP1315 W 20010207
OTHER SOURCE(S): MARPAT 135:167035
GI

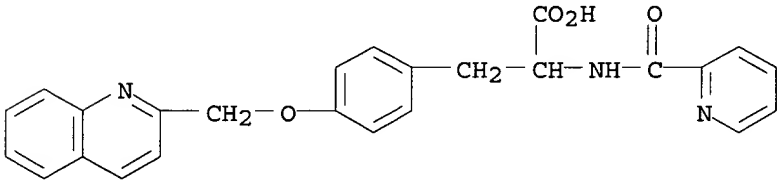


AB Compds. I [R1, R2 = H, C1-4 alkyl, halo, MeO, cyano, CF3; R3 = (un)substituted Ph, **pyridyl** or (iso)quinolinyl, 1- or 2-naphthyl, 2- or 3-indolyl or N-alkyl derivs., 2-, 5- or 6-quinoxalyl, cinnolyl, benzimidazolyl], which may have the L- or D-configuration or be racemic, were prepd. and are useful in the treatment of pathol. conditions sensitive to leukotriene inhibition. Thus, O-(2-quinolinylmethyl)-N-quinaldoyl-DL-tyrosine was prepd. by acylation of DL-tyrosine Me ester with quinaldic acid, O-alkylation with 2-chloromethylquinoline hydrochloride, and sapon. The product showed IC50x10-9 M = 20.0 for inhibition of binding of [3H]-LTD4 to guinea pig lung membranes.

IT **353798-82-0P 353799-02-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tyrosine derivs. having anti-leukotriene activity)

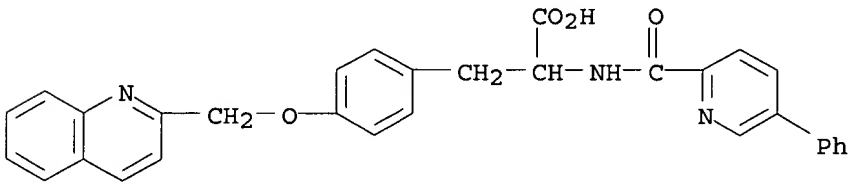
RN 353798-82-0 CAPLUS

CN Tyrosine, N-(2-pyridinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



RN 353799-02-7 CAPLUS

CN Tyrosine, N-[(5-phenyl-2-pyridinyl)carbonyl]-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:472724 CAPLUS
DOCUMENT NUMBER: 135:76865

09/ 964,161

TITLE: Preparation of N-(isoxazoloquinolinylcyclohexyl)carbox amides and analogs as MRP1 inhibitors

INVENTOR(S): Bonjouklian, Rosanne; Cohen, Jeffrey Daniel; Gruber, Joseph Michael; Johnson, Douglas Webb; Jungheim, Louis Nickolaus; Kroin, Julian Stanley; Lander, Peter Ambrose; Lin, Ho-shen; Lohman, Mark Christopher; Muehl, Brian Stephen; Norman, Bryan Hurst; Patel, Vinod Francis; Richett, Michael Enrico; Thrasher, Kenneth Jeff; Vepachedu, Sreenivasarao; White, Wesley Todd; Xie, Yongping; York, Jeremy Schulenburg; Parkhurst, Brandon Lee

PATENT ASSIGNEE(S): Eli Lilly and Co., USA; Wang, Qiuping; et al.

SOURCE: PCT Int. Appl., 381 pp.
CODEN: PIXXD2

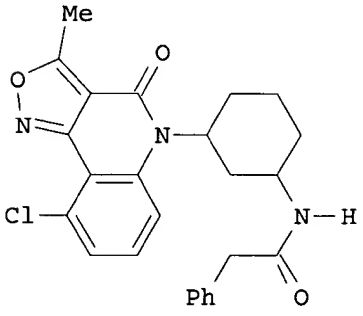
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046199	A1	20010628	WO 2000-US32443	20001211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1250340	A1	20021023	EP 2000-986242	20001211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
US 1999-171373P P 19991222
US 2000-226076P P 20000817
US 2000-234539P P 20000922
WO 2000-US32443 W 20001211

OTHER SOURCE(S): MARPAT 135:76865

GI

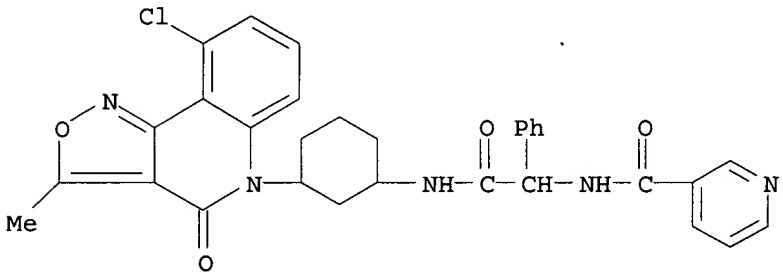


I

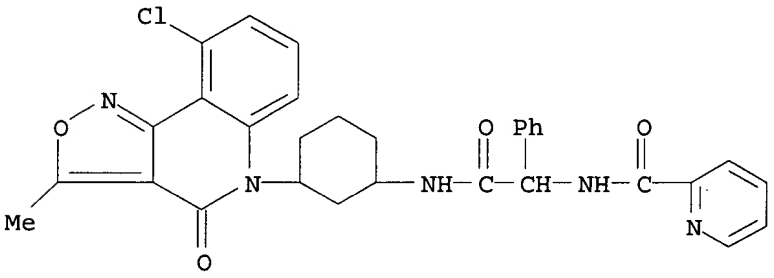
AB Title compds. were prepd. as MRP1 inhibitors (no data). Thus, mono-N-protected cyclohexane-1,3-diamine was amidated by 3-(2-chloro-6-fluorophenyl)--5-methylisoxazole-4-carbonyl chloride and the cis-product cyclized to give, after deprotection and amidation, title compd. I.

IT **347179-38-8P 347179-39-9P 347179-40-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-isoxazoloquinolinylcyclohexylcarboxamides and analogs as MRP1 inhibitors)

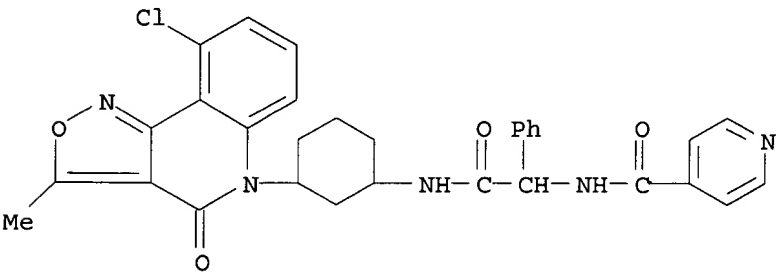
RN 347179-38-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclohexyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 347179-39-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclohexyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 347179-40-2 CAPLUS
CN 4-Pyridinecarboxamide, N-[2-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclohexyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



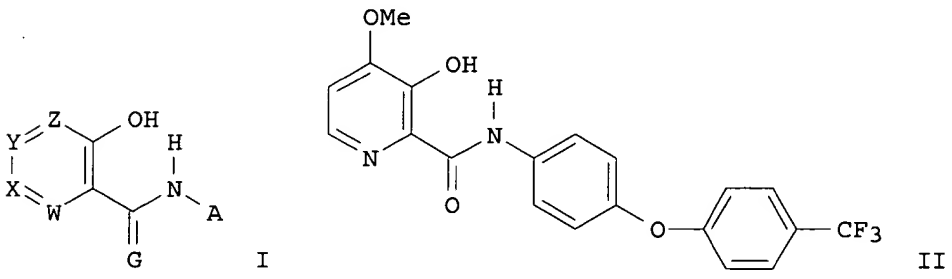
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:63978 CAPLUS
DOCUMENT NUMBER: 134:131431
TITLE: Fungicidal heterocyclic aromatic amides and their compositions, methods of use and preparation
INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter
PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005769	A2	20010125	WO 2000-US19794	20000720
WO 2001005769	A3	20011122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1196388	A2	20020417	EP 2000-950470	20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6355660	B1	20020312	US 2000-632930	20000804
US 2002177578	A1	20021128	US 2001-22413	20011213
US 2003018052	A1	20030123	US 2001-22207	20011213
US 2003018012	A1	20030123	US 2001-22511	20011213
US 2003022902	A1	20030130	US 2001-22483	20011213
US 2003022903	A1	20030130	US 2001-23497	20011213

PRIORITY APPLN. INFO.:
US 1999-144676P P 19990720
US 1999-149977P P 19990820
US 1999-150248P P 19990823
WO 2000-US19794 W 20000720
US 2000-632930 A3 20000804

OTHER SOURCE(S): MARPAT 134:131431
GI



I II

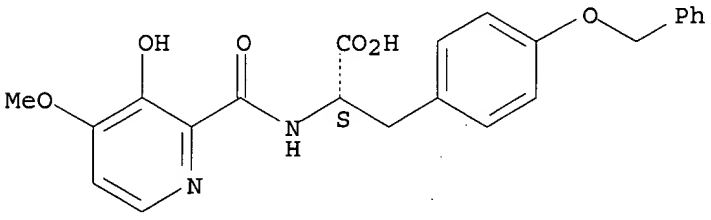
AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond

and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxymethyl, CHF2, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide functionality are prepd. and disclosed as antifungal agents, particularly for plants. Thus, **pyridinyl** carboxamide II was prepd. via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal compn. consists of a compd. of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., Plasmopara viticola (Downy Mildew of Grape), Phytophthora infestans (Late Blight of Tomato), and Venturia inaequalis (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT **321599-05-7P 321599-06-8P 321599-07-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and fungicidal activity of heterocyclic arom. amides)

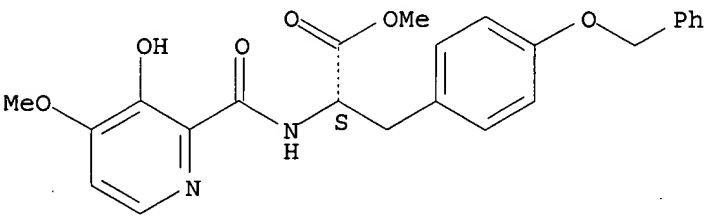
RN 321599-05-7 CAPLUS
CN L-Tyrosine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



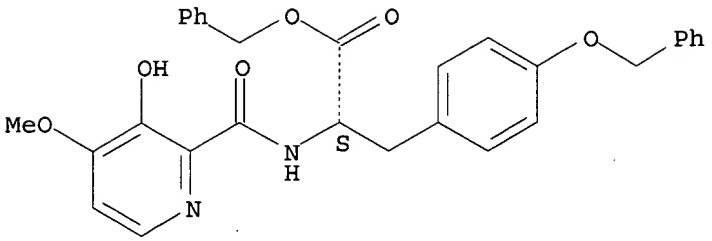
RN 321599-06-8 CAPLUS
CN L-Tyrosine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321599-07-9 CAPLUS
CN L-Tyrosine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-O-(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



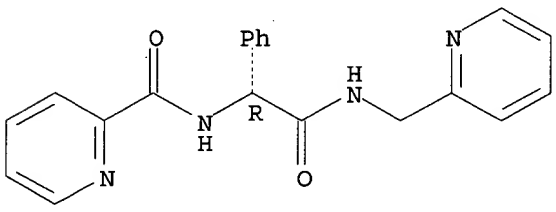
L10 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:47322 CAPLUS
DOCUMENT NUMBER: 134:265878
TITLE: Asymmetric molybdenum(0)-catalyzed allylic substitution
AUTHOR(S): Malkov, A. V.; Spoor, P.; Vinader, V.; Kocovsky, P.
CORPORATE SOURCE: Department of Chemistry, University of Glasgow, Glasgow, G12 8QQ, UK
SOURCE: Tetrahedron Letters (2001), 42(3), 509-512
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:265878

AB Application of chiral 1-substituted N,N'-bis(2-pyridinylcarbonyl)ethylenediamine ligands to the title reaction led to excellent regio- and enantioselectivities (>30:1; .ltoreq.98% ee). Although lacking C2-symmetry, the catalysts can be viewed as quasi-C2-sym. since the single chiral center is sufficient to det. the sense of wrapping of the metal by the ligand. E.g., reaction of PhCH:CHCH2CO2Me with NaCH(CO2Me)2 in presence of (EtCN)3Mo(CO)3 and chiral ligand (S)-(+)-RCONHCH(Pr-i)CONHR (R = 2-**pyridinyl**) in THF at 60.degree. gave 68% (R)-PhCH(CH(CO2Me)2)CH:CH2 in 98% ee.

IT **332081-29-5P**
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(attempted catalysis with; prepn. of (pyridinylcarbonyl)ethylenediamine ligands for asym. allylic substitution catalysis)

RN 332081-29-5 CAPLUS
CN 2-Pyridinecarboxamide, N-[(1R)-2-oxo-1-phenyl-2-[(2-pyridinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 22 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:842108 CAPLUS
DOCUMENT NUMBER: 134:29207
TITLE: Preparation of benzamidines and arylamidines as

09/ 964,161

inhibitors of factor Xa

INVENTOR(S): Song, Yonghong; Clizbe, Lane; Marlowe, Charles; Scarborough, Robert M.; Su, Ting; Zhu, Bing-Yan; Kanter, James

PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

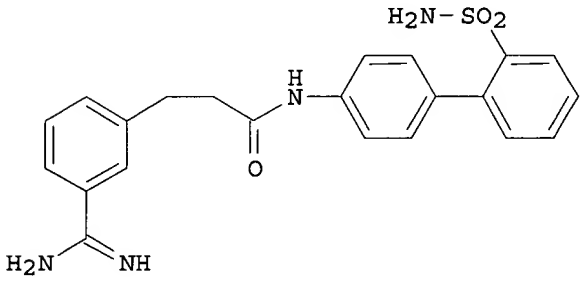
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071512	A1	20001130	WO 2000-US14207	20000524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1189879	A1	20020327	EP 2000-936235	20000524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-135819P P 19990524
WO 2000-US14207 W 20000524

OTHER SOURCE(S): MARPAT 134:29207

GI



I

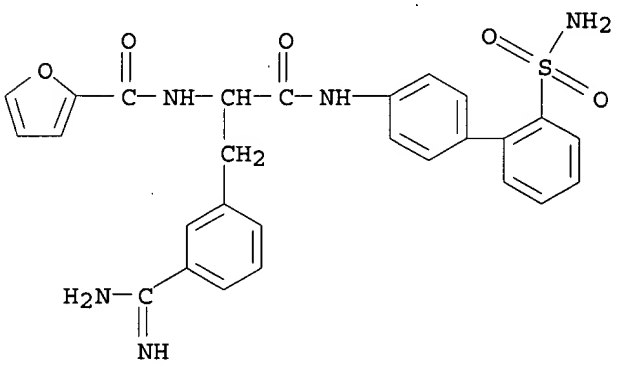
AB AYDEGJZL [wherein A = (cyclo)alkyl, NR2R3, C(:N2)NR2R3, NR2C"(:NR2)NR2R3, C(:NR2)R4, and NR2C(:NR2)R3, (un)substituted Ph, naphthyl, or heterocyclic ring; R2 and R3 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkylcycloalkyl, or (un)substituted amino, alkoxy, carboxy, alkylphenyl, alkylnaphthyl, etc.; Y = bond, CO, NR4, CONR4, NR4CO, SO2, O, SO2NR4, NR4SO2, C(:NR4), CS, CH2, or CH2NR4; R4 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, or (un)substituted alkylphenyl or alkylnaphthyl; D = bond or (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR5CO, CONR5, NR5CONR6, SO2NR5, NR5SO2NR6, or NR5SO2NR6CO; R5 and R6 = as defined for R4 or (un)substituted alkylheteroaryl or carboxyalkyl; G = (un)substituted methylene or ethylene; J = bond or (un)substituted methylene or ethylene; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12N13, or NR12C(:N12)R13; R12 and R13 = independently H, alkyl, or (un)substituted alkoxy, amino, alkylphenyl, alkylnaphthyl, or

carboxyalkyl] were prepd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, Me (Z)-3-cyanocinnamate was coupled with 4-(2-tert-butylaminosulfonylphenyl)aniline (prepn. of starting materials given) in the presence of AlMe3 in CH2Cl2 at room temp. to give the acrylamide (98%). The nitrile was converted to the amidine and the sulfonamide deprotected (46%) by bubbling HCl gas through a soln. of the intermediate in MeOH, followed by refluxing with NH2OAc in MeOH for 0.5 h. Finally, the acrylamide was hydrogenated using Pd/C in MeOH to afford I in 99% yield. Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

IT **310423-48-4P**, N-[4-[(2-Aminosulfonyl)phenyl]phenyl]-2-(2-furylcarbonylamino)-3-(3-amidinophenyl)propionamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamidine and arylamidine factor Xa inhibitors from benzonitriles and arylnitriles)

RN 310423-48-4 CAPLUS

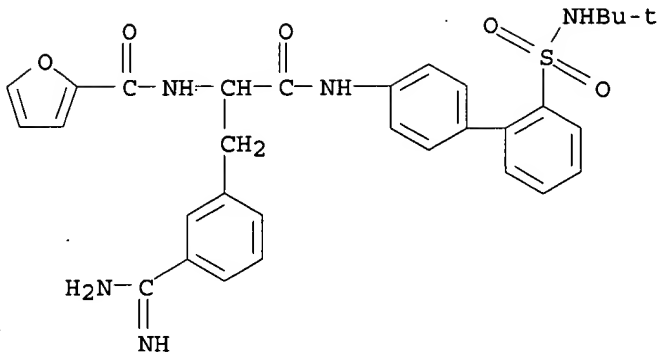
CN 2-Furancarboxamide, N-[1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



IT **310424-20-5P**, N-[4-[2-(tert-Butylaminosulfonyl)phenyl]phenyl]-2-(2-furylcarbonylamino)-3-(3-amidinophenyl)propionamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzamidine and arylamidine factor Xa inhibitors from benzonitriles and arylnitriles)

RN 310424-20-5 CAPLUS

CN 2-Furancarboxamide, N-[1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[[2'-[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 23 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:452347 CAPLUS
DOCUMENT NUMBER: 133:89798
TITLE: Preparation of peptidyl boronic ester and acid compounds as proteasome inhibitors
INVENTOR(S): Adams, Julian; Ma, Yu-Ting; Stein, Ross; Baevsky, Matthew; Grenier, Louis; Plamondon, Louis
PATENT ASSIGNEE(S): Leukosite, Inc., USA
SOURCE: U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 330,525, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6083903	A	20000704	US 1995-442581	19950516
CA 2203936	AA	19960509	CA 1995-2203936	19951027
WO 9613266	A1	19960509	WO 1995-US14117	19951027
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641398	A1	19960523	AU 1996-41398	19951027
AU 710564	B2	19990923		
ZA 9509119	A	19960527	ZA 1995-9119	19951027
EP 788360	A1	19970813	EP 1995-939670	19951027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1168633	A	19971224	CN 1995-196590	19951027
US 5780454	A	19980714	US 1995-549318	19951027
JP 10510245	T2	19981006	JP 1995-514834	19951027
NZ 337211	A	20001222	NZ 1995-337211	19951027
FI 9701746	A	19970606	FI 1997-1746	19970423
NO 9701929	A	19970612	NO 1997-1929	19970425
US 6066730	A	20000523	US 1998-85404	19980526
US 6297217	B1	20011002	US 2000-490511	20000125
US 6465433	B1	20021015	US 2001-953540	20010914
US 2002173488	A1	20021121	US 2002-100295	20020318

PRIORITY APPLN. INFO.: US 1994-330525 B2 19941028

US 1995-442581	A	19950516
NZ 1995-296717	A1	19951027
US 1995-549318	A3	19951027
WO 1995-US14117	W	19951027
US 1998-85404	A3	19980526
US 2000-490511	A1	20000125
US 2001-953540	A1	20010914

OTHER SOURCE(S): MARPAT 133:89798

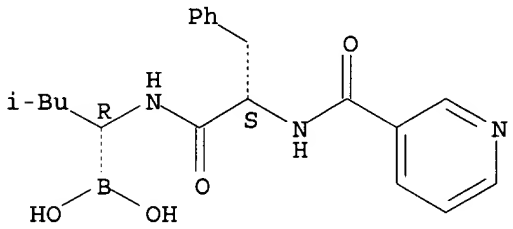
AB Peptidyl boronic acid and ester compds. P-NRCHR2-X2-CHR3BZ1Z2 [P = 2- or 8-quinolinyl-, 2-quinoxalinyl-, 2- or 3-**pyridyl**-, piperazinyl-, 3-furanyl-, or 3-pyrrolylcarbonyl, or -sulfonyl, or morpholinylcarbonyl; X2 = CONH, CH2NH, CH(OH)CH2, CH(OH)CH(OH), CH(OH)CH2NH, CH:CH, COCH2, SO2NH, SO2CH2, or CH(OH)CH2CONH; R = H or alkyl; R2, R3 = H, alkyl, cycloalkyl, aryl, heterocyclyl, CH2-R5 (R5 = aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl) or alkyl-chalcogen; Z1, Z2 = alkyl, hydroxy, alkoxy, aryloxy, or together form a dihydroxy compd.] were prepd. as proteasome inhibitors. Thus, coupling of (1S,2S,3R,5S)-pinanediol leucine boronate trifluoroacetate salt with N-Boc-.beta.-(1-naphthyl)-L-alanine, followed by deprotection, acylation with 4-morpholinylcarbonyl chloride and cleavage of the pinanediol moiety afforded N-(4-morpholine)carbonyl-.beta.-(1-naphthyl)-L-alanine-L-leucine boronic acid [MG-273], which inhibited 20S proteasome with Ki = 0.18 nM.

IT **179324-64-2P**, MG 336 **179324-69-7P**, MG 341
179324-70-0P, MG 343 **179324-82-4P**, MG 358
179324-83-5P, MG 361 **279689-42-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptidyl boronic ester and acid compds. as proteasome inhibitors)

RN 179324-64-2 CAPLUS

CN Boronic acid, [(1R)-3-methyl-1-[[(2S)-1-oxo-3-phenyl-2-[(3-pyridinylcarbonyl)amino]propyl]amino]butyl]- (9CI) (CA INDEX NAME)

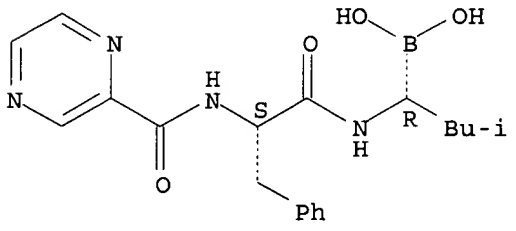
Absolute stereochemistry.



RN 179324-69-7 CAPLUS

CN Boronic acid, [(1R)-3-methyl-1-[[(2S)-1-oxo-3-phenyl-2-[(pyrazinylcarbonyl)amino]propyl]amino]butyl]- (9CI) (CA INDEX NAME)

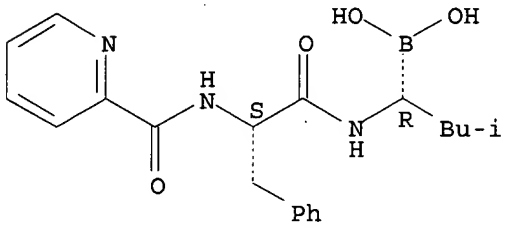
Absolute stereochemistry.



RN 179324-70-0 CAPLUS

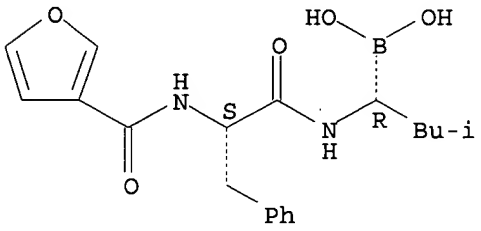
CN Boronic acid, [(1R)-3-methyl-1-[[(2S)-1-oxo-3-phenyl-2-[(2-pyridinylcarbonyl)amino]propyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



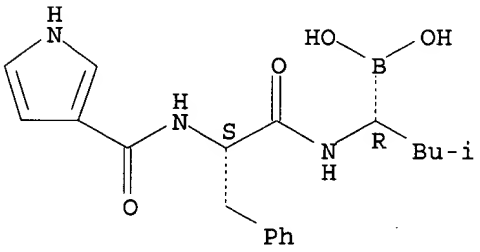
RN 179324-82-4 CAPLUS
CN Boronic acid, [(1R)-1-[[(2S)-2-[(3-furanylcarbonyl)amino]-1-oxo-3-phenylpropyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



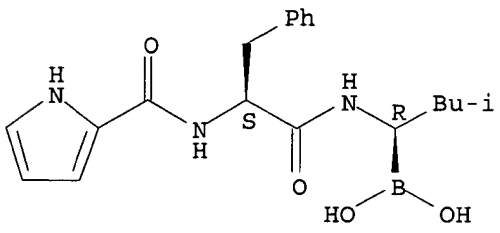
RN 179324-83-5 CAPLUS
CN Boronic acid, [(1R)-3-methyl-1-[[(2S)-1-oxo-3-phenyl-2-[(1H-pyrrol-3-ylcarbonyl)amino]propyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 279689-42-8 CAPLUS
CN Boronic acid, [(1R)-3-methyl-1-[[(2S)-1-oxo-3-phenyl-2-[(1H-pyrrol-2-ylcarbonyl)amino]propyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

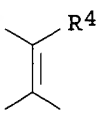


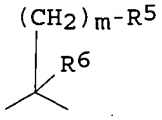
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:290834 CAPLUS
DOCUMENT NUMBER: 132:322142
TITLE: Preparation of amino acid and .alpha.,.beta.-didehydroamino acid derivatives as .beta.-amyloid formation inhibitors
INVENTOR(S): Kojima, Shinichi; Tsutsumi, Yasushi; Yamaga, Hiroshi; Nishihara, Toshio; Toyoda, Tomohiro; Ito, Akira
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024392	A1	20000504	WO 1999-JP5871	19991025
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9962296	A1	20000515	AU 1999-62296	19991025

PRIORITY APPLN. INFO.: JP 1998-304317 A 19981026
WO 1999-JP5871 W 19991025
OTHER SOURCE(S): MARPAT 132:322142
GI

Q= 

Q1= 

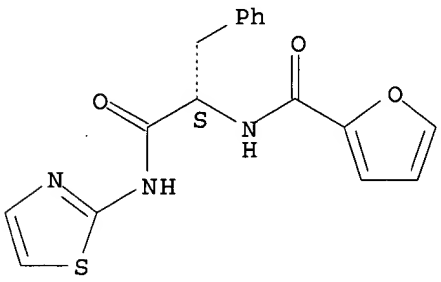
AB Compds. represented by the following general formula R1-Y-NH-A-COR2 [wherein R1 represents optionally substituted aryl, an optionally substituted unsatd. heterocycle, or optionally substituted alkyl; R2 represents optionally substituted amino, optionally substituted alkoxy or hydroxy; Y represents CO when A represents a group of formula Q; Y represents CO or SO2 when A represents Q1; wherein one of R3 and R4 represents hydrogen, halogeno, -S(O)n-X (wherein n is 0, 1 or 2; and X represents optionally substituted alkyl, optionally substituted aryl or an optionally substituted unsatd. heterocycle), optionally substituted alkyl or optionally substituted aryl, while the other one of R3 and R4 represents optionally substituted aryl or an optionally substituted unsatd. heterocycle; R5 represents an optionally substituted aryl or heterocyclyl; m represents 0, 1, or 2; and R6 represents H or alkyl] are prepd. These compds. are useful in treating Alzheimer's disease, etc. because of having an effect of inhibiting the formation of .beta.-amyloid and senile plaque and degeneration of nerve cells caused by pptn. of

senile plaque. Thus, DBU was added to a soln. of (E)-2-(benzoylamino)-3-chloro-3-phenyl-N-(2-thiazolyl)-2-propenamide and 2-mercaptopyridine in THF and stirred at 50.degree. for 1.5 h to give (E)- and (Z)-2-(benzoylamino)-3-(2-pyridylthio)-3-phenyl-N-(2-thiazolyl)-2-propenamide. The latter compd. in vitro inhibited the formation of .beta.-amyloid by 77% in glioma cell of guinea pig's cerebral cortex.

IT **265977-94-4P 265977-96-6P 265977-97-7P**
265978-00-5P 265978-03-8P 265978-07-2P
265978-09-4P 265978-14-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid and .alpha.,.beta.-didehydroamino acid derivs. as .beta.-amyloid formation inhibitors for treating Alzheimer's disease)

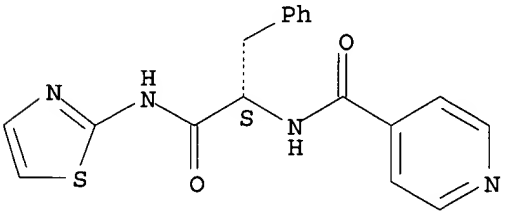
RN 265977-94-4 CAPLUS
CN 2-Furancarboxamide, N-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-thiazolylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



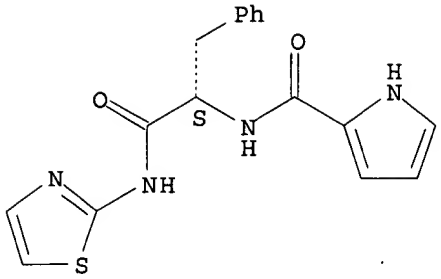
RN 265977-96-6 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-thiazolylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



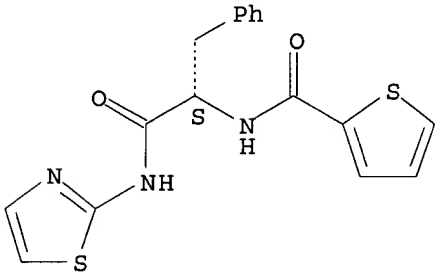
RN 265977-97-7 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-thiazolylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



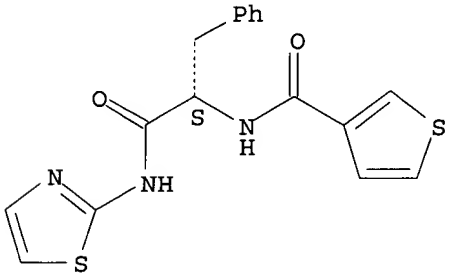
RN 265978-00-5 CAPLUS
CN 2-Thiophenecarboxamide, N-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-thiazolylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



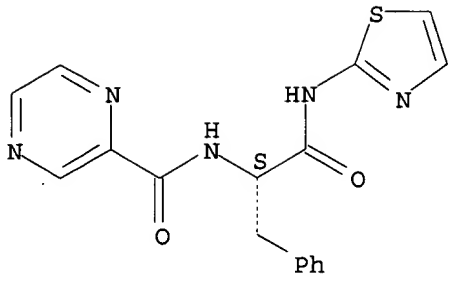
RN 265978-03-8 CAPLUS
CN 3-Thiophenecarboxamide, N-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-thiazolylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



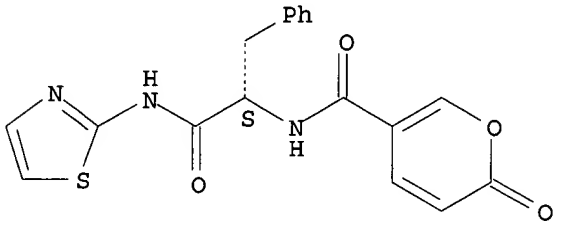
RN 265978-07-2 CAPLUS
CN Pyrazinecarboxamide, N-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-thiazolylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



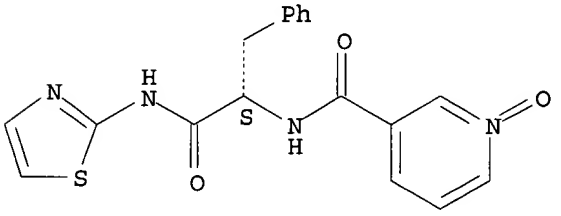
RN 265978-09-4 CAPLUS
CN 2H-Pyran-5-carboxamide, 2-oxo-N-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-thiazolylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 265978-14-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1S)-2-oxo-1-(phenylmethyl)-2-(2-thiazolylamino)ethyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:764022 CAPLUS
DOCUMENT NUMBER: 132:3323
TITLE: Preparation of tetrahydroisoquinolinylnicotinic acid amides and related compounds as inhibitors of cysteine proteases.
INVENTOR(S): Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9961423	A1	19991202	WO 1999-EP3549	19990525
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2333008	AA	19991202	CA 1999-2333008	19990525
AU 9945003	A1	19991213	AU 1999-45003	19990525
BR 9910701	A	20010130	BR 1999-10701	19990525
EP 1080074	A1	20010307	EP 1999-927749	19990525
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE, FI				
JP 2002516311	T2	20020604	JP 2000-550829	19990525
US 6482832	B1	20021119	US 2000-700453	20001115
NO 2000005929	A	20001123	NO 2000-5929	20001123

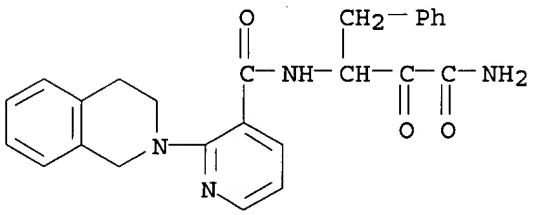
PRIORITY APPLN. INFO.: DE 1998-19823245 A 19980525
WO 1999-EP3549 W 19990525

OTHER SOURCE(S): MARPAT 132:3323

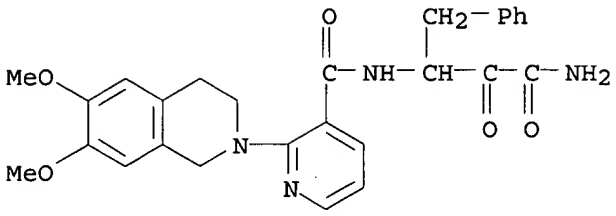
AB AB(R1)nCONHCHR2COR3 [A = (substituted) tetrahydro(iso)quinolinyl, dihydro(iso)indolyl; B = Ph, naphthyl, **pyridyl**, pyrimidinyl, quinolyl, thienyl, furyl, etc.; R1 = H, alkyl, alkoxy, alkenyl, alkynyl, alkylphenyl, OH, Cl, F, Br, iodo, etc.; n = 0-2; R2 = (substituted) alkyl; R3 = H, CO2R5, COZ; Z = (substituted) amino, piperazinyl, pyrrolidinyl, piperidinyl; R5 = (substituted) alkyl], were prepd. Thus, Et 2-chloronicotinate, 1,2,3,4-tetrahydroisoquinoline hydrochloride, and K2CO3 were heated in DMF at 110.degree. to give 87% Et 2-(1,2,3,4-tetrahydroisoquinolin-2-yl)nicotinate. This was sapond. with aq. NaOH in EtOH (81%) and the product was stirred with 3-amino-2-hydroxy-4-phenylbutyramide hydrochloride, Et3N, 1-hydroxybenzotriazole, and N'-3-dimethylaminopropyl-N-ethylcarbodiimide to give 85% 2-(1,2,3,4-tetrahydroisoquinolin-2-yl)nicotinic acid [N-(1-carbamoyl-1-hydroxy-3-phenylpropan-2-yl)]amide. The latter was stirred with pyridine.SO3 in Me2SO to give 31% 2-(1,2,3,4-tetrahydroisoquinolin-2-yl)nicotinic acid [N-(1-carbamoyl-1-oxo-3-phenylpropan-2-yl)]amide.

IT **247056-67-3P 247056-68-4P 250739-07-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydroisoquinolinylnicotinic acid amides and related compds. as inhibitors of cysteine proteases)

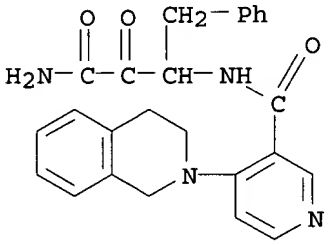
RN 247056-67-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-(3,4-dihydro-2(1H)-isoquinolinyl)- (9CI) (CA INDEX NAME)



RN 247056-68-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)- (9CI) (CA INDEX NAME)



RN 250739-07-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-4-(3,4-dihydro-2(1H)-isoquinolinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 26 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:736515 CAPLUS
DOCUMENT NUMBER: 131:351678
TITLE: Preparation of peptide derivatives for the imaging of angiogenic disorders
INVENTOR(S): Rajopadhye, Miland; Edwards, D. Scott; Harris, Thomas D.; Heminway, Stuart J.; Liu, Shuang; Singh, Prahlad R.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9958162	A2	19991118	WO 1999-US6826	19990329
WO 9958162	A3	20000406		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2324555	AA	19991118	CA 1999-2324555	19990329
AU 9955417	A1	19991129	AU 1999-55417	19990329
EP 1068224	A2	20010117	EP 1999-941944	19990329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9909420	A	20010925	BR 1999-9420	19990329
JP 2002514611	T2	20020521	JP 2000-548013	19990329
EE 200000574	A	20021015	EE 2000-20000057	19990329
US 6322770	B1	20011127	US 1999-281207	19990330

US 2002015680	A1	20020207	US 1999-281209		19990330
US 6524553	B2	20030225			
NO 2000004917	A	20001102	NO 2000-4917		20000929

PRIORITY APPLN. INFO.:

US 1998-80150P	P	19980331
US 1998-112715P	P	19981218
US 1998-112732P	P	19981218
US 1998-112829P	P	19981218
US 1998-112831P	P	19981218
WO 1999-US6826	W	19990329

OTHER SOURCE(S): MARPAT 131:351678

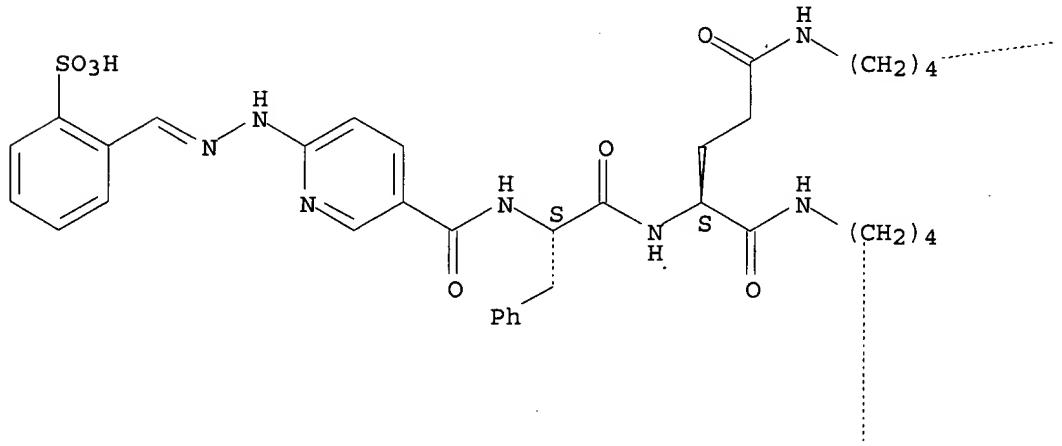
AB Compds. (Q)d-Ln-Ch (Q is a peptide, d= 1-10, Ln is a linking group, Ch is a metal-bonding unit) were prepd. for use in the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compds. useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. Thus, cyclo{Arg-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-**pyridinyl**]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl)-Val} was prepd. by acylation of cyclo{Arg-Gly-Asp-D-Tyr(3-aminopropyl)-Val} with 2-[[[5-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-2-**pyridinyl**]hydrazono]methyl]benzenesulfonic acid monosodium salt and converted into radiopharmaceutical 99mTc(VnA)(tricine)(phosphine), where VnA represents the vitronectin receptor antagonist.

IT **250611-84-8P 250611-85-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of peptide derivs. for the imaging of angiogenic disorders)

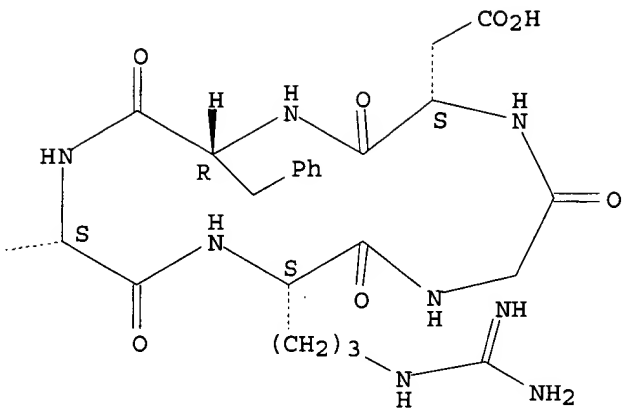
RN 250611-84-8 CAPLUS

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

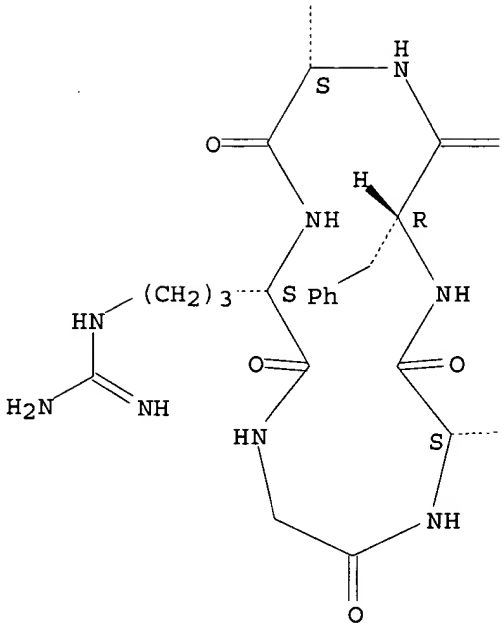
Absolute stereochemistry.
Double bond geometry unknown.

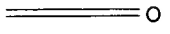


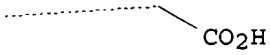
PAGE 1-B



PAGE 2-A





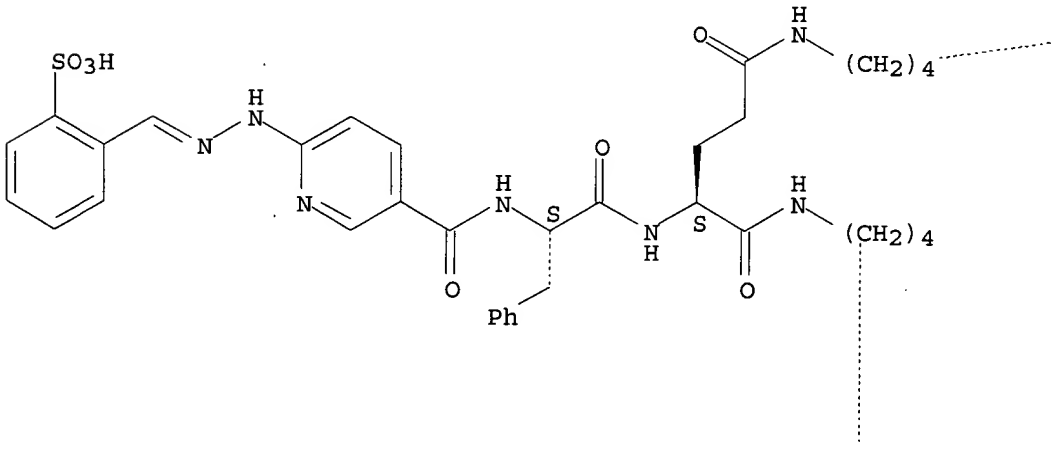


RN 250611-85-9 CAPLUS
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

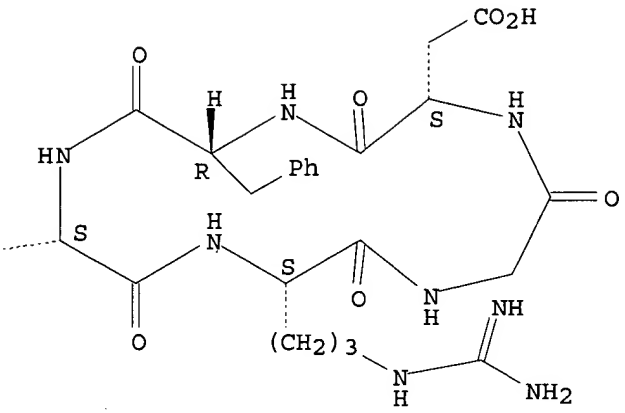
CM 1

CRN 250611-84-8
CMF C81 H105 N23 O21 S

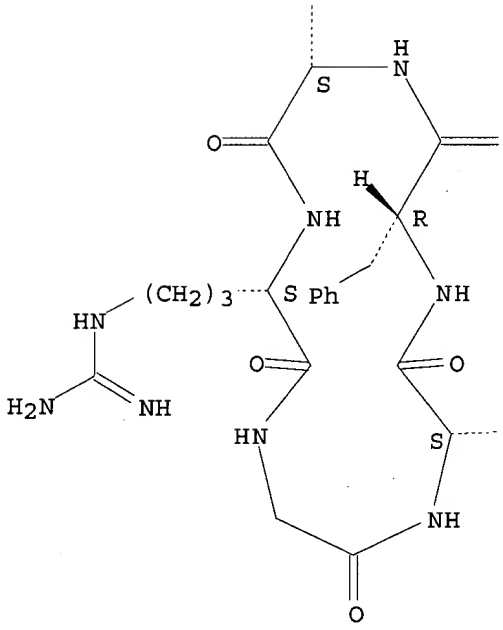
Absolute stereochemistry.
Double bond geometry unknown.

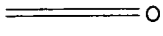


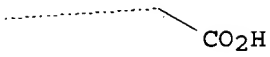
PAGE 1-B



PAGE 2-A

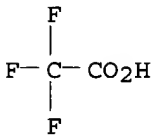






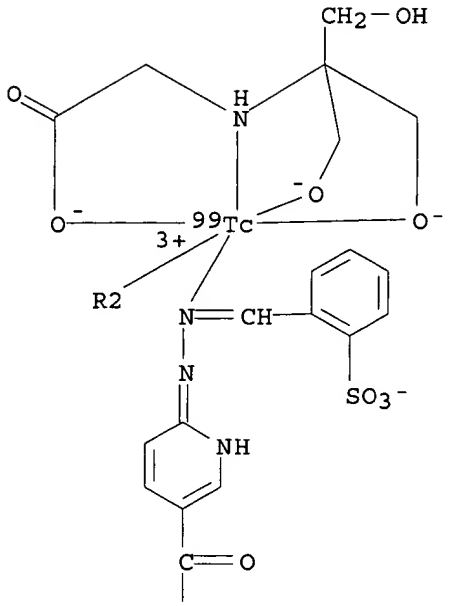
CM 2

CRN 76-05-1
CMF C2 H F3 O2

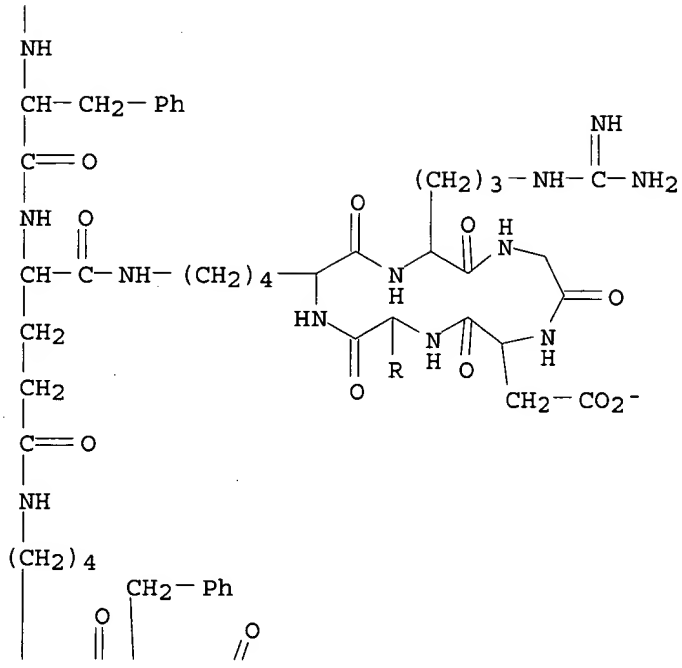


IT **250614-25-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide derivs. for the imaging of angiogenic disorders)
RN 250614-25-6 CAPLUS
CN Technetate(6-)-99Tc, [N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(3-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)][[5,5'-[N-[[6-[[(2-sulfophenyl)methylene]hydrazino-.kappa.N2]-3-pyridinyl]carbonyl]-L-phenylalanyl-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](3-)]-, trisodium trihydrogen (9CI) (CA INDEX NAME)

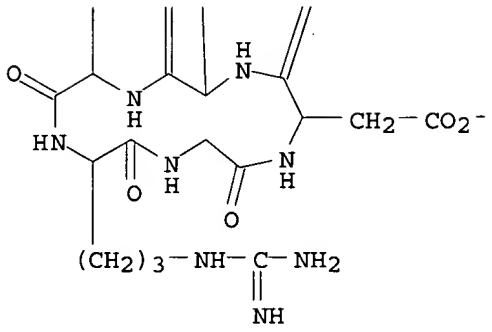
PAGE 1-A

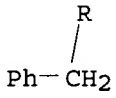


PAGE 2-A

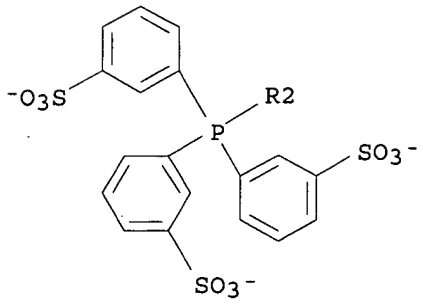


PAGE 3-A





PAGE 4-A



●3 H^+

●3 Na^+

L10 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:704979 CAPLUS
DOCUMENT NUMBER: 131:322919
TITLE: Preparation of N-aroyl amino acid amides as endothelin inhibitors
INVENTOR(S): Ksander, Gary Michael; Kukkola, Paivi Jaana; Robinson, Leslie Anne
PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 426,351, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5977075	A	19991102	US 1997-945329	19971021
WO 9633170	A1	19961024	WO 1996-EP1547	19960411

W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1995-426351 19950421
WO 1996-EP1547 19960411

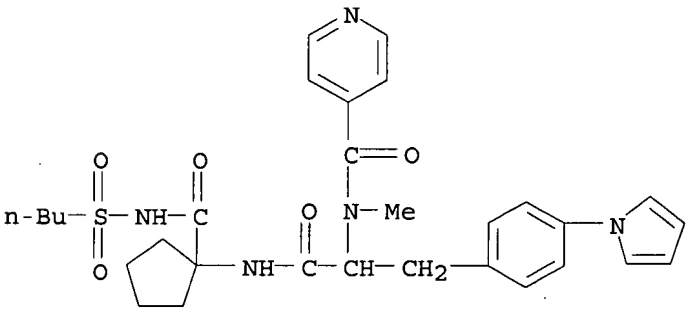
OTHER SOURCE(S): MARPAT 131:322919

AB Aroyl amino acid amides ArCONR1CR2R3CONHYR [R = carboxy, esterified carboxy, carbamoyl, N-(alkyl or aryl)-carbamoyl, cyano, 5-tetrazolyl, CONHSO2R4; R1 = H, alkyl, arylalkyl or cycloalkylalkyl; R2 = H, alkyl or NR1CR2 = azacycloalkane ring; R3 = heterocyclic or carbocyclic (aryl or biaryl)alkyl; Y = alkylidenyl, cycloalkylidenyl optionally substituted by oxo, alkylenedioxy, hydroxy, acyloxy, alkoxy, cycloalkylidenyl fused to a satd. or unsatd. carbocyclic ring, oxacycloalkylidenyl, thia-, oxothia- or dioxothiacycloalkylidenyl, azacycloalkylidenyl optionally N-substituted by alkyl or arylalkyl; R4 = H, alkyl, carbocyclic aryl, heterocyclic aryl, cycloalkyl, (carbocyclic aryl, heterocyclic aryl, cycloalkyl, hydroxy, acyloxy, or alkoxy)alkyl, alkyl substituted by carboxyl, esterified carboxyl or amidated carboxyl; Ar = carbocyclic or heterocyclic aryl] and their pharmaceutically acceptable salts were prepd. as useful endothelin inhibitors in mammals. Thus, (R)-N-[N-3,5-dimethylbenzoyl-N-methyl-3-[4-(1-**pyrrolyl**)phenyl]alanyl]-1-aminocyclopropane-1-N-(n-butanesulfonyl)carboxamide was prepd. by coupling N-3,5-dimethylbenzoyl-N-methyl-D-3-[4-(1-**pyrrolyl**)phenyl]alanine with 1-aminocyclopropane-N-(n-butanesulfonyl)carboxamide hydrochloride.

IT **248279-92-7P 248279-93-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-aroyl amino acid amides as endothelin inhibitors)

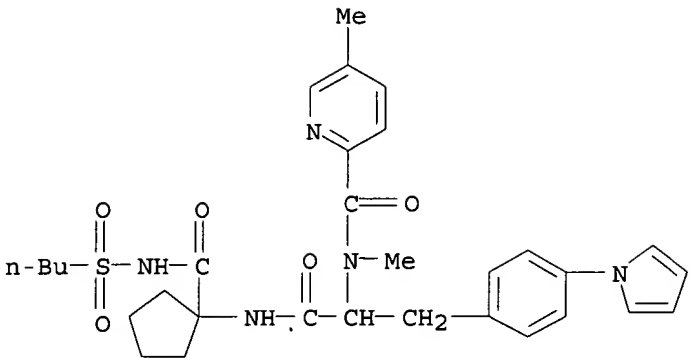
RN 248279-92-7 CAPLUS

CN 4-Pyridinecarboxamide, N-[2-[[1-[[(butylsulfonyl)amino]carbonyl]cyclopentyl]amino]-2-oxo-1-[[4-(1H-pyrrol-1-yl)phenyl]methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 248279-93-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[[1-[[(butylsulfonyl)amino]carbonyl]cyclopentyl]amino]-2-oxo-1-[[4-(1H-pyrrol-1-yl)phenyl]methyl]ethyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

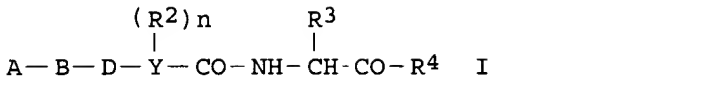


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 28 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:691085 CAPLUS
DOCUMENT NUMBER: 131:310835
TITLE: Preparation of cysteine protease inhibitors for therapeutic use
INVENTOR(S): Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954310	A2	19991028	WO 1999-EP2633	19990420
WO 9954310	A3	20000217		
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2328396	AA	19991028	CA 1999-2328396	19990420
AU 9939276	A1	19991108	AU 1999-39276	19990420
BR 9909774	A	20001219	BR 1999-9774	19990420
EP 1073641	A2	20010207	EP 1999-922108	19990420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
JP 2002512231	T2	20020423	JP 2000-544649	19990420
NO 2000005263	A	20001019	NO 2000-5263	20001019

PRIORITY APPLN. INFO.: DE 1998-19818615 A 19980420
WO 1999-EP2633 W 19990420
OTHER SOURCE(S): MARPAT 131:310835
GI



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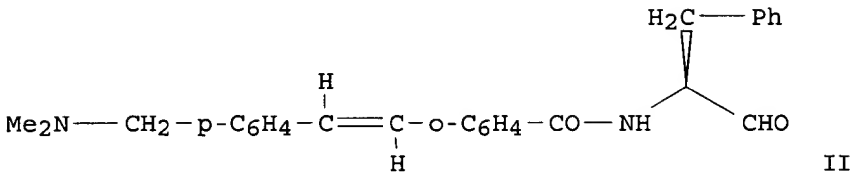


II

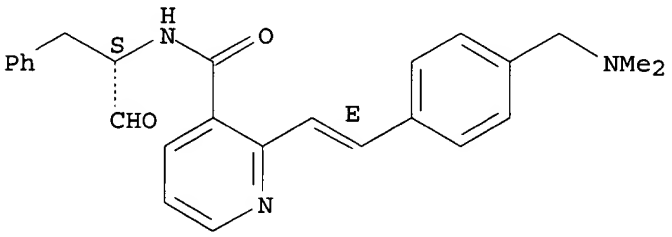
AB The invention relates to cysteine protease inhibitors of the general formula [(I); A = -(CH2)p-R1; R1 = pyrrolidine, morpholine, piperidine, -NR5R6, (N-substituted)piperazine; R5, R6 = independently H, alkyl, cyclohexyl, cyclopentyl, (CH2)nPh, where Ph may be R6-substituted; p = 1-2; B = (substituted) Ph, **pyridyl**, pyrimidyl or pyridazyl; D = bond, -(CH2)m-, -CH:CH-, -C.tplbond.C-; R2 = Cl, Br, F, alkyl, NHCO alkyl, NHSO2 alkyl, NO2, -O-alkyl or NH2; R3 = alkyl which can carry a (substituted) Ph ring, indolyl ring or cyclohexyl ring; Y = Ph, pyridine, pyrimidine or pyrazine; R4 = H, COOR9 or CO-Z, where Z = NR10R11; R9,R10,R11 = (independently) H, (unsubstituted) (unbranched) alkyl; n = 0-2 and m = 0-4]. Thus, Et 2-bromo-benzoate and dimethyl(4-vinylbenzyl)amine were reacted, de-esterified, and the free acid intermediate reacted with (S)-phenylalaninol to give an intermediate which was reduced to give aldehyde (II) in 88% yield. Title compds. showed good results as inhibitors of calpain I and II or cathepsin B in a variety of in vivo and in vitro tests (no data given).

IT **247218-29-7P 247218-39-9P 247218-43-5P**
247218-46-8P 247218-48-0P 247218-49-1P
247218-50-4P 247218-51-5P 247219-02-9P
247219-05-2P 247219-18-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of as cysteine protease inhibitors for therapeutic use)

RN 247218-29-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(1E)-2-[4-[(dimethylamino)methyl]phenyl]ethenyl]-N-[(1S)-1-formyl-2-phenylethyl]- (9CI) (CA INDEX NAME)

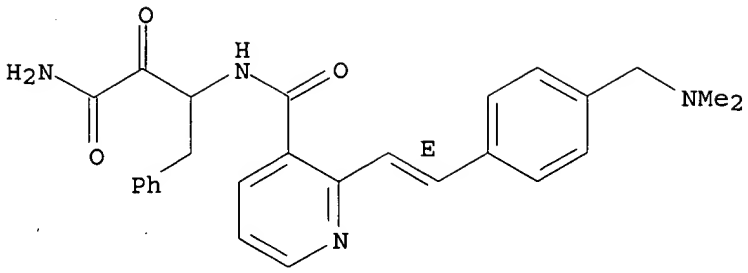
Absolute stereochemistry.
Double bond geometry as shown.



RN 247218-39-9 CAPLUS

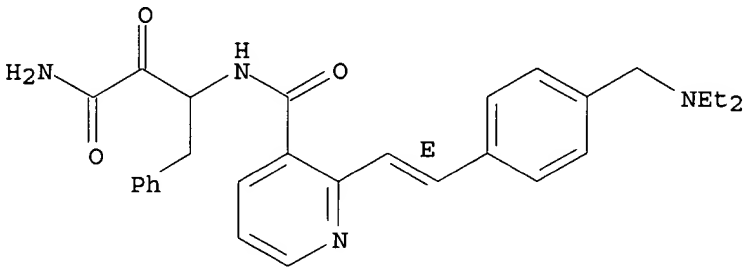
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-[(dimethylamino)methyl]phenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



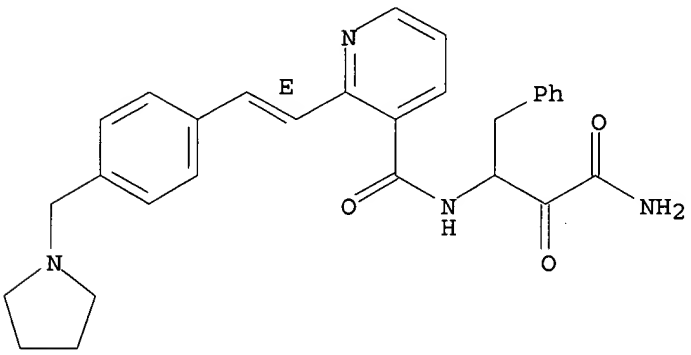
RN 247218-43-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-[(diethylamino)methyl]phenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



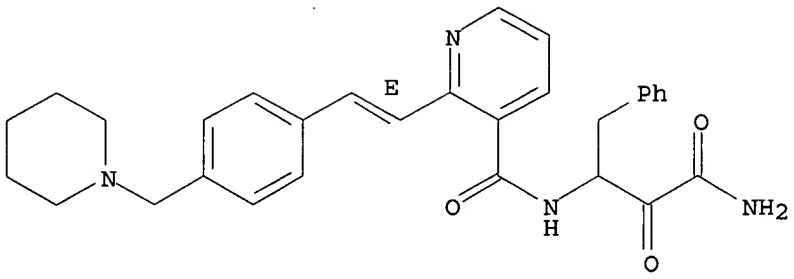
RN 247218-46-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-(1-pyrrolidinylmethyl)phenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



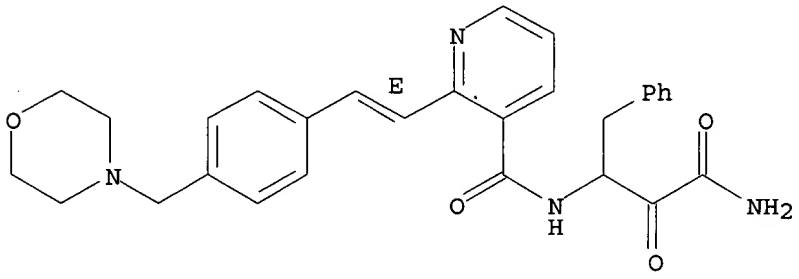
RN 247218-48-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-(1-piperidinylmethyl)phenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



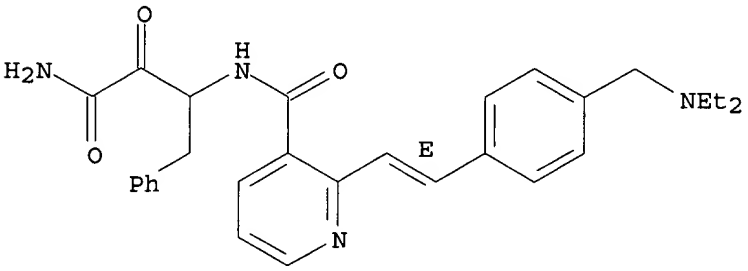
RN 247218-49-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-(4-morpholinylmethyl)phenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 247218-50-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-[(diethylamino)methyl]phenyl]ethenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

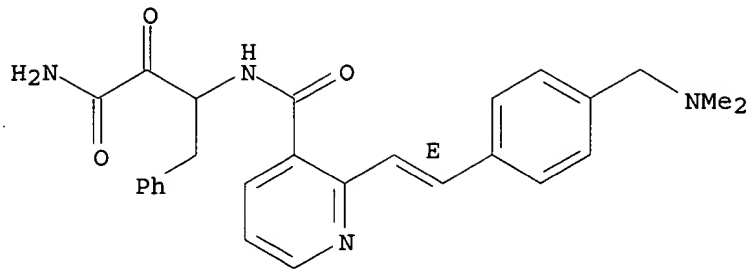
Double bond geometry as shown.



●2 HCl

RN 247218-51-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-[(dimethylamino)methyl]phenyl]ethenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

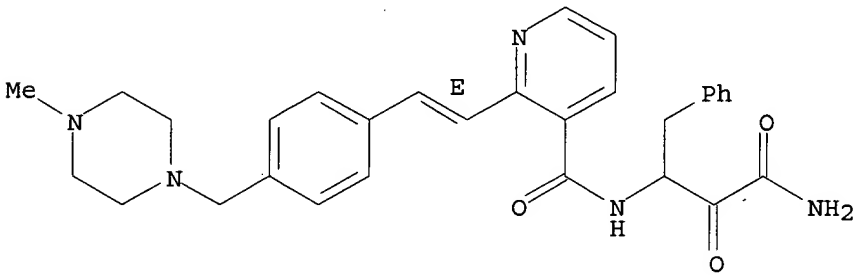
Double bond geometry as shown.



●2 HCl

RN 247219-02-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]ethenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

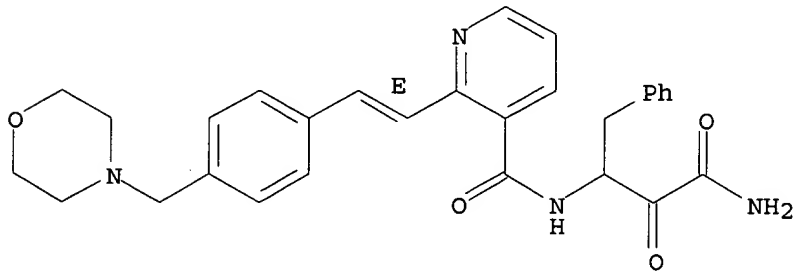
Double bond geometry as shown.



●2 HCl

RN 247219-05-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-(4-morpholinylmethyl)phenyl]ethenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

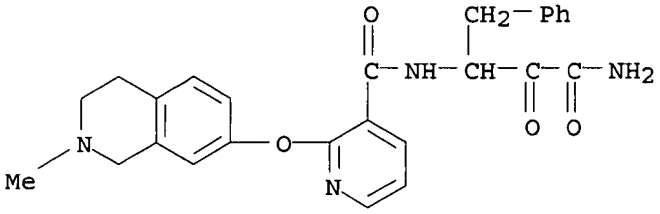
Double bond geometry as shown.



●2 HCl

09/ 964,161

RN 247219-18-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1,2,3,4-tetrahydro-2-methyl-7-isoquinolinyl)oxy]- (9CI) (CA INDEX NAME)



L10 ANSWER 29 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:691081 CAPLUS
DOCUMENT NUMBER: 131:299460
TITLE: Preparation of piperazinylnicotinamides and related compounds as calpain and cathepsin inhibitors.
INVENTOR(S): Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954305	A1	19991028	WO 1999-EP2632	19990420
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2328440	AA	19991028	CA 1999-2328440	19990420
AU 9938190	A1	19991108	AU 1999-38190	19990420
BR 9909773	A	20001219	BR 1999-9773	19990420
EP 1082308	A1	20010314	EP 1999-920710	19990420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
JP 2002512229	T2	20020423	JP 2000-544646	19990420
NO 2000005237	A	20001018	NO 2000-5237	20001018

PRIORITY APPLN. INFO.: DE 1998-19817462 A 19980420
WO 1999-EP2632 W 19990420
OTHER SOURCE(S): MARPAT 131:299460

AB A(CH2)xR1R2BCONHCHR3COR4 [A = (substituted) piperazinyl, homopiperazinyl, hexahydroazepinyl, piperidinyl, pyrrolidinyl; B = Ph, **pyridyl**, pyrimidinyl, pyrazinyl, pyridazinyl; R1, R2 = H, alkyl, alkoxy, OH, Cl, F, Br, iodo, CF3, NO2, NH2, cyano, CO2H, alkoxycarbonyl, alkylcarbonylamino, etc.; R3 = alkyl, methylthioalkyl, cyclohexylalkyl, cyclopentylalkyl, cycloheptylalkyl, phenylalkyl, pyridylalkyl, pyrimidinylalkyl, pyridazinylalkyl, indolylalkyl, etc.; R4 = H, COR8; R8 = OR9, NR9R10; R9 = H, alkyl; R10 = H, (substituted) alkyl], were prepd. for treatment of neurodegenerative disease (no data). Thus, Me chloronicotinate, 4-pyridylpiperazine, and 18-crown-6 were heated at 100.degree. in DMF to give 82% Me 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinate. The latter was sapond. with LiOH in THF/H2O and the acid was stirred with Et3N and Na2SO4 in CH2Cl2/DMF; phenylalanino, HOBT, and EDC were added at 0.degree.

followed by stirring overnight at room temp. to give 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinic acid-N-(3-phenylpropan-1-ol-2-yl)amide. This was stirred with SO3.pyridine and Et3N in Me2SO to give 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinic acid-N-(3-phenylpropan-1-al-2-yl)amide.

IT **247056-69-5P 247116-87-6P 247116-88-7P**
247116-89-8P 247116-90-1P 247116-91-2P
247116-92-3P 247116-93-4P 247116-94-5P
247116-95-6P 247116-96-7P 247116-97-8P
247116-98-9P 247116-99-0P 247117-00-6P
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247117-04-0P 247117-05-1P 247117-06-2P
247117-07-3P 247117-09-5P 247117-10-8P
247117-11-9P 247117-12-0P 247117-13-1P
247117-14-2P 247117-15-3P 247117-18-6P
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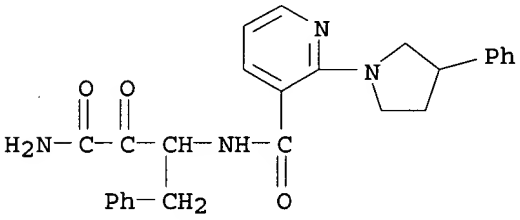
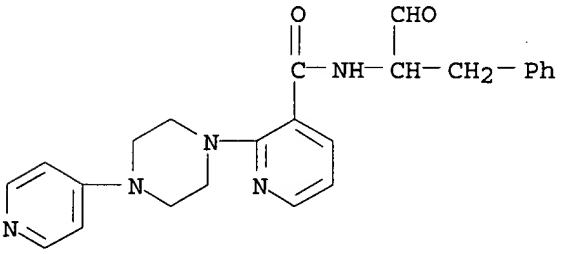
247117-29-9P 247117-30-2P 247117-31-3P
247117-32-4P 247117-36-8P 247117-38-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinylnicotinamides and related compds. as calpain and cathepsin inhibitors)

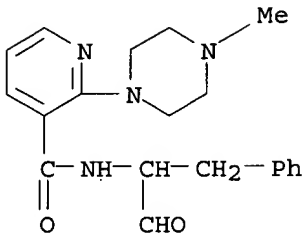
RN 247056-69-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-(3-phenyl-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



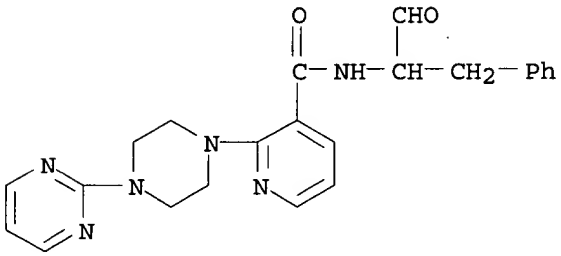
RN 247116-87-6 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(4-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



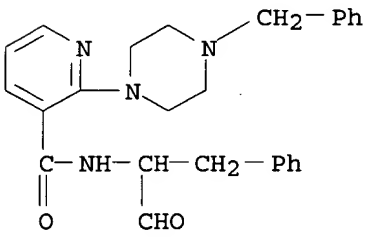
RN 247116-88-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



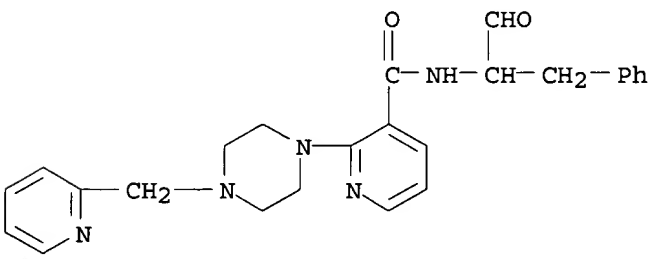
RN 247116-89-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



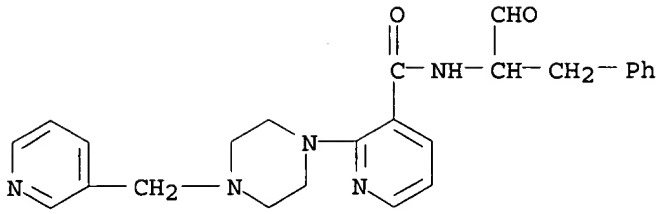
RN 247116-90-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



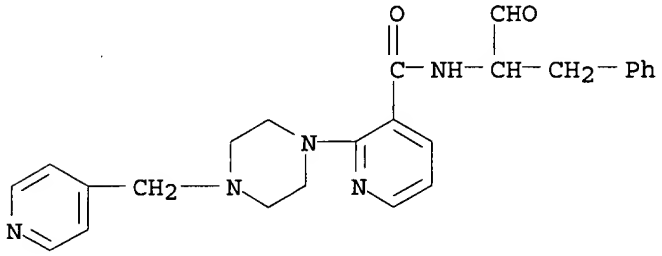
RN 247116-91-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(2-pyridinylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



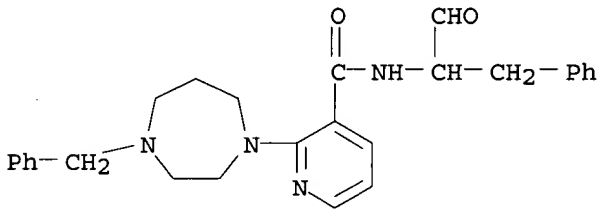
RN 247116-92-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(3-pyridinylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



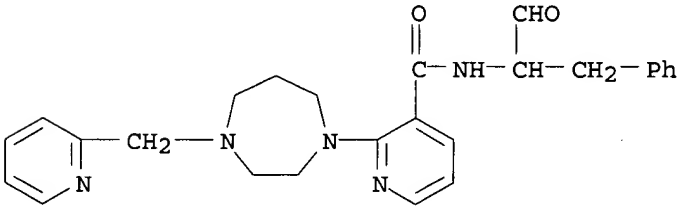
RN 247116-93-4 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(4-pyridinylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



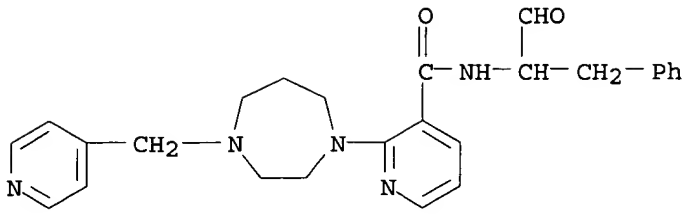
RN 247116-94-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



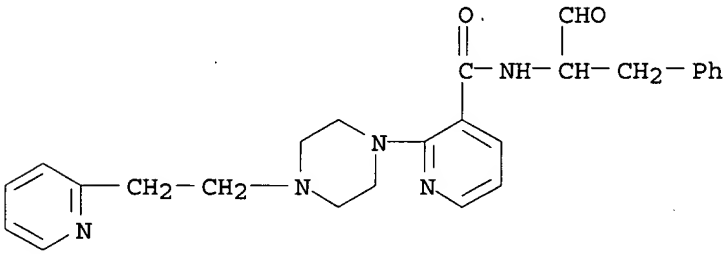
RN 247116-95-6 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[hexahydro-4-(2-pyridinylmethyl)-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



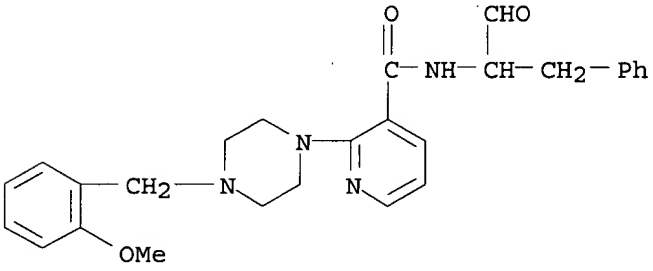
RN 247116-96-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[hexahydro-4-(4-pyridinylmethyl)-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



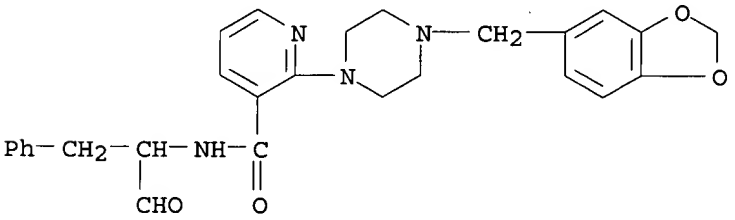
RN 247116-97-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



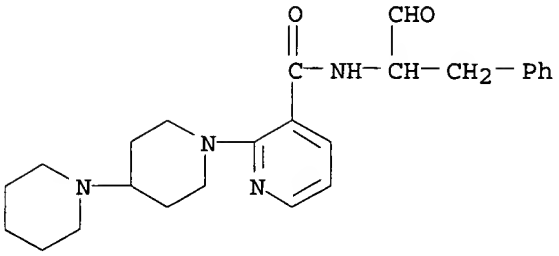
RN 247116-98-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



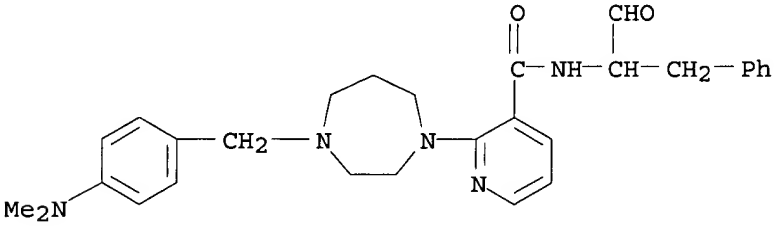
RN 247116-99-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-N-(1-formyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



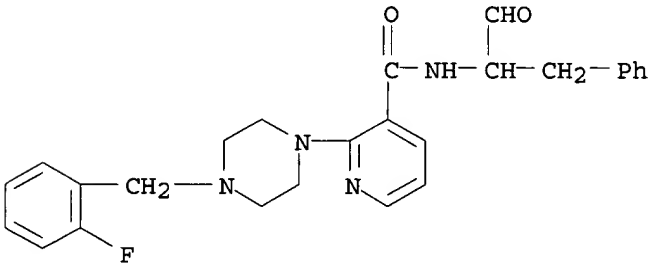
RN 247117-00-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-[1,4'-bipiperidin]-1'-yl-N-(1-formyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



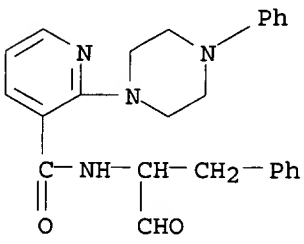
RN 247117-01-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-[4-[[4-(dimethylamino)phenyl]methyl]hexahydro-1H-1,4-diazepin-1-yl]-N-(1-formyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



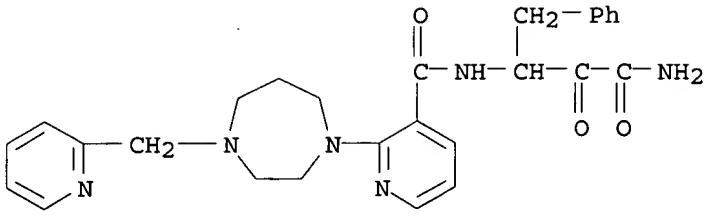
RN 247117-02-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]-N-(1-formyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



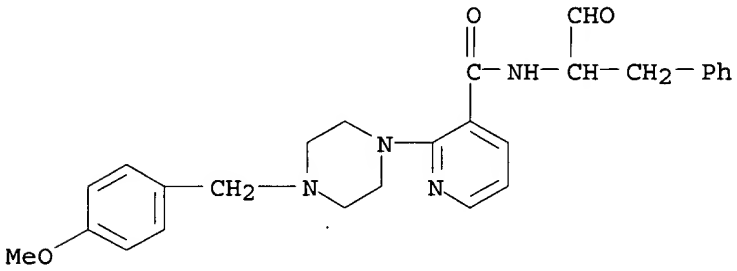
RN 247117-03-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



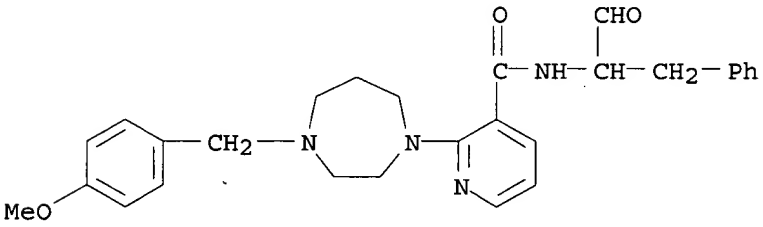
RN 247117-04-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[hexahydro-4-(2-pyridinylmethyl)-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



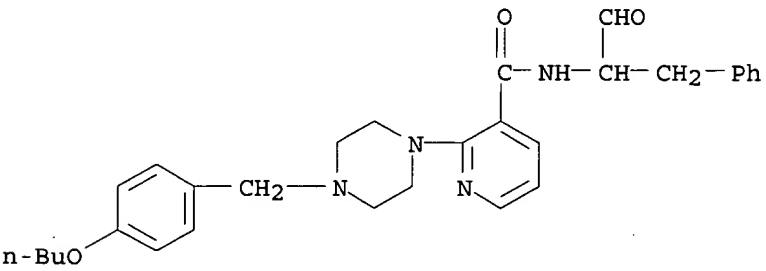
RN 247117-05-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-06-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[hexahydro-4-[(4-methoxyphenyl)methyl]-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)

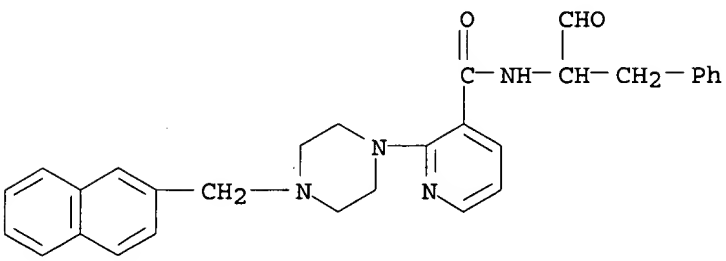


RN 247117-07-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-[4-[(4-butoxyphenyl)methyl]-1-piperazinyl]-N-(1-formyl-2-phenylethyl)- (9CI) (CA INDEX NAME)

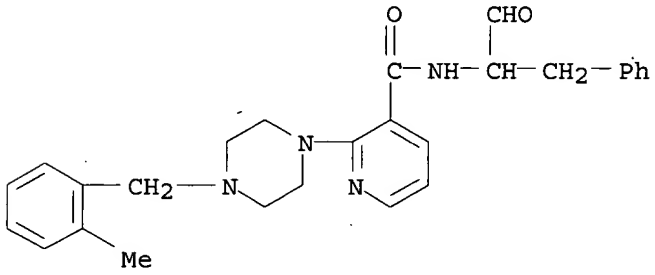


RN 247117-09-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(2-

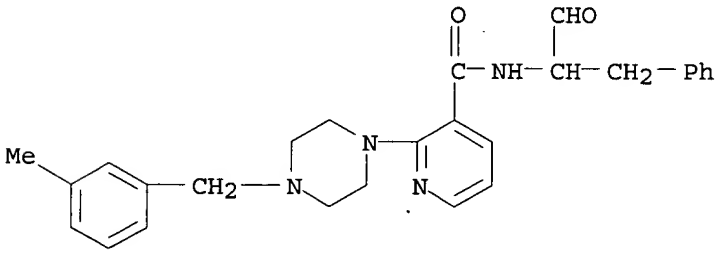
naphthalenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



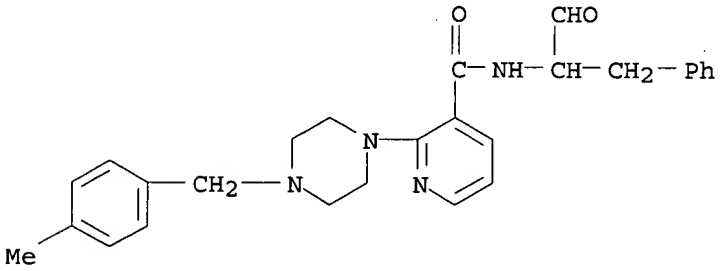
RN 247117-10-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-[(2-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



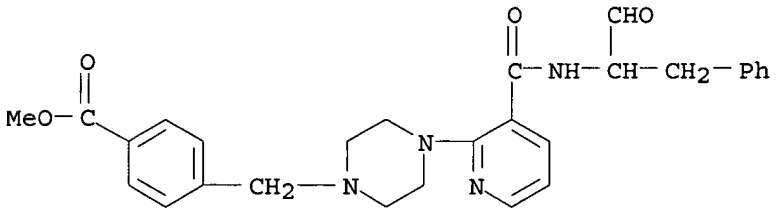
RN 247117-11-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-[(3-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



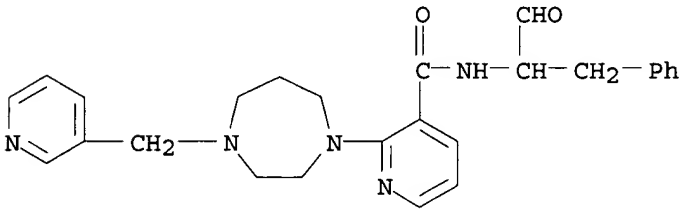
RN 247117-12-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-[(4-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



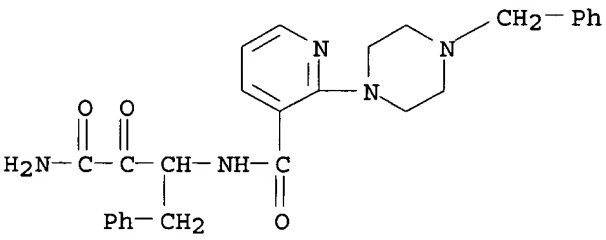
RN 247117-13-1 CAPLUS
CN Benzoic acid, 4-[[4-[3-[[(1-formyl-2-phenylethyl)amino]carbonyl]-2-pyridinyl]-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 247117-14-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[hexahydro-4-(3-pyridinylmethyl)-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)

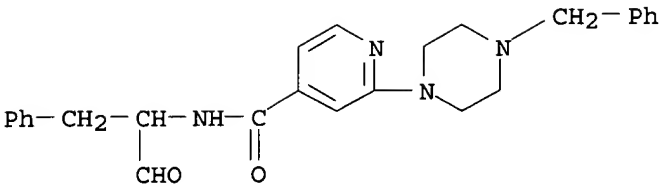


RN 247117-15-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

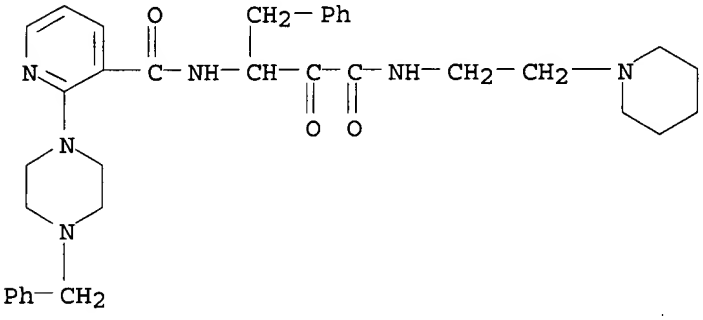


●2 HCl

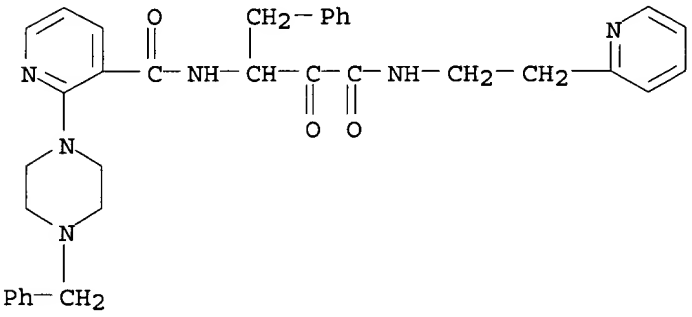
RN 247117-18-6 CAPLUS
CN 4-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



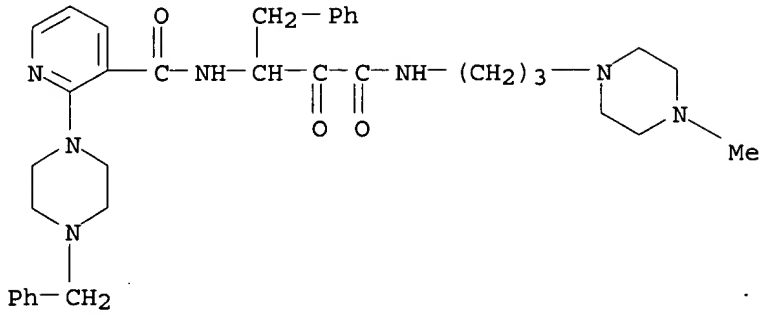
RN 247117-19-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dioxo-1-(phenylmethyl)-3-[[2-(1-piperidinyl)ethyl]amino]propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



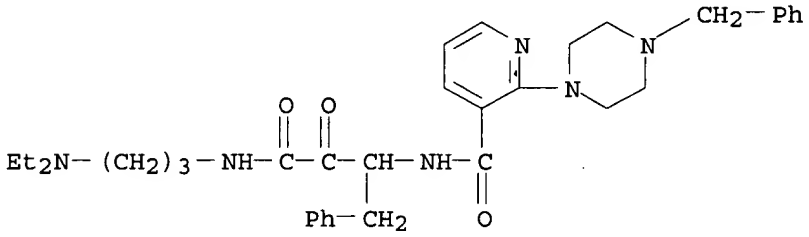
RN 247117-20-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dioxo-1-(phenylmethyl)-3-[[2-(2-pyridinyl)ethyl]amino]propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-21-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[[3-(4-methyl-1-piperazinyl)propyl]amino]-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



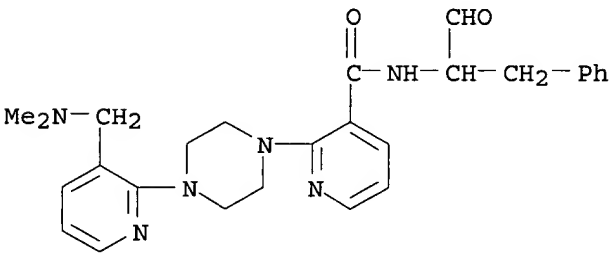
RN 247117-22-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[[3-(diethylamino)propyl]amino]-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-24-4 CAPLUS
CN 3-Pyridinecarboxamide, 2-[4-[3-[(dimethylamino)methyl]-2-pyridinyl]-1-piperazinyl]-N-(1-formyl-2-phenylethyl)-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

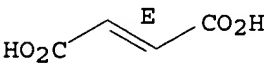
CRN 247117-23-3
CMF C27 H32 N6 O2



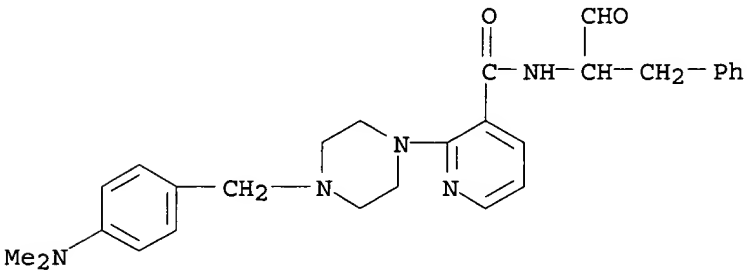
CM 2

CRN 110-17-8
CMF C4 H4 O4

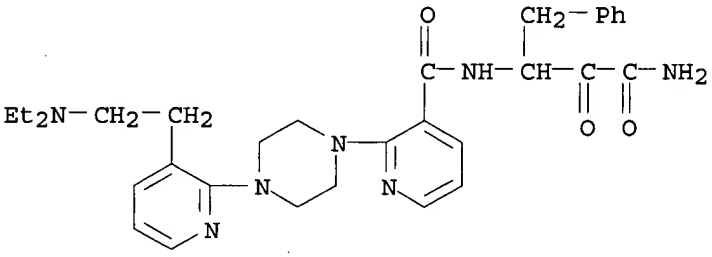
Double bond geometry as shown.



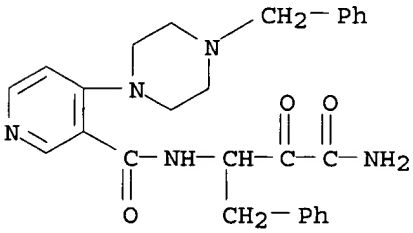
RN 247117-28-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-[4-[[4-(dimethylamino)phenyl]methyl]-1-piperazinyl]-N-(1-formyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



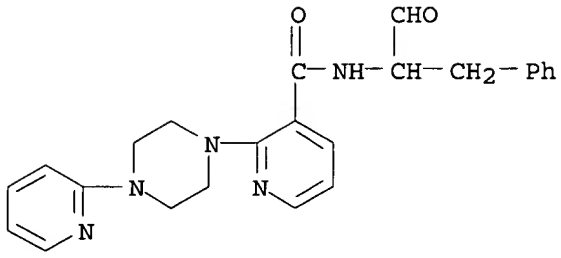
RN 247117-29-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-[3-[2-(diethylamino)ethyl]-2-pyridinyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



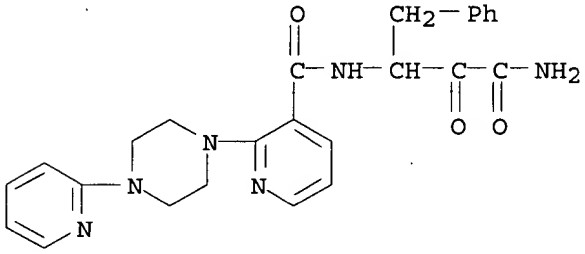
RN 247117-30-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-4-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



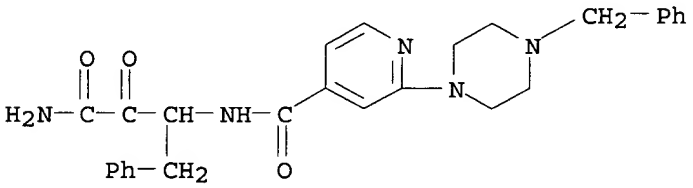
RN 247117-31-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



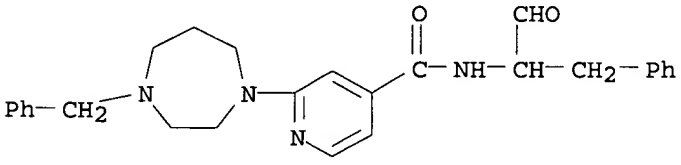
RN 247117-32-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-36-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-38-0 CAPLUS
CN 4-Pyridinecarboxamide, N-(1-formyl-2-phenylethyl)-2-[hexahydro-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

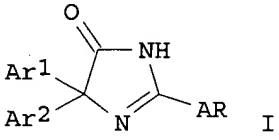
L10 ANSWER 30 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:626190 CAPLUS
DOCUMENT NUMBER: 131:257561
TITLE: Imidazolone anorectic agents: III. heteroaryl derivatives

09/ 964,161

INVENTOR(S): Poindexter, Graham S.; Gillman, Kevin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9948887	A1	19990930	WO 1999-US4592	19990303
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325472	AA	19990930	CA 1999-2325472	19990303
AU 9928888	A1	19991018	AU 1999-28888	19990303
US 6054590	A	20000425	US 1999-261670	19990303
US 6063934	A	20000516	US 1999-261374	19990303
US 6096745	A	20000801	US 1999-261658	19990303
EP 1066278	A1	20010110	EP 1999-909752	19990303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002507610	T2	20020312	JP 2000-537870	19990303

PRIORITY APPLN. INFO.: US 1998-79359P P 19980325
WO 1999-US4592 W 19990303
OTHER SOURCE(S): MARPAT 131:257561
GI



I

AB A series of non-peptidergic antagonists of NPY Y5 (no data) have been synthesized and are comprised of 2-heteroaryl substituted derivs. of 5,5-diphenyl-3,5-dihydroimidazolones [I; A = bond, C1-16 alkylene, C2-6 alkenylene; R = (C1-6-alkyl-substituted) furyl, **pyridyl**, pyrazinyl, etc.; Ar1, Ar2 = (halo-, C1-5-alkyl-, alkoxy-substituted) Ph] and their acid addn. salts and/or hydrates. As antagonists of NPY-induced feeding behavior, these compds. and known analogs are expected to act as effective anorexiant agents in promoting wt. loss and treating eating disorders. For example, adding 1.43 g nicotinoyl chloride-HCl to a cooled soln. of 1.40 g H2NCPh2CONH2 in 30 mL CH2Cl2 contg. 2.50 g Et3N, stirring the mixt. for 1 h at 0.degree. and 16 h at ambient temp. gave a red oil which was chromatographed to give 1.2 g intermediate N-acyl amide as a white solid. This was dissolved in 30 mL EtOH and 4.0 mL 1N NaOH, then stirred for 2 h at ambient temp., neutralized with 1N HCl and the product chromatographed to give 0.940 g 2-(3-**pyridinyl**)-3,5-dihydro-5,5-diphenyl-4H-imidazol-4-one m. 205-206.degree..

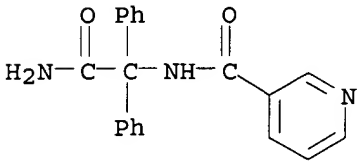
IT **245036-77-5P 245036-78-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization; prepn. of 2-heteroaryl-substituted

09/ 964,161

5,5-diphenyl-3,5-dihydroimidazolones as neuropeptide Y receptor antagonists)

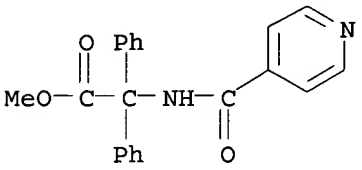
RN 245036-77-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-amino-2-oxo-1,1-diphenylethyl)- (9CI) (CA INDEX NAME)



RN 245036-78-6 CAPLUS

CN Benzeneacetic acid, .alpha.-phenyl-.alpha.-[(4-pyridinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:464267 CAPLUS

DOCUMENT NUMBER: 131:116517

TITLE: Preparation of N-acyl-phenylalanine derivatives as inhibitors of .alpha.4-mediated cell adhesion

INVENTOR(S): Sircar, Ila; Gudmundsson, Kristjan S.; Martin, Richard

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9936393	A1	19990722	WO 1999-US993	19990119
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318527	AA	19990722	CA 1999-2318527	19990119
AU 9924584	A1	19990802	AU 1999-24584	19990119
AU 749568	B2	20020627		
BR 9907040	A	20001017	BR 1999-7040	19990119
EP 1049662	A1	20001108	EP 1999-904115	19990119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, FI
JP 2002509131 T2 20020326 JP 2000-540111 19990119
NZ 506081 A 20030228 NZ 1999-506081 19990119
US 6521666 B1 20030218 US 2000-619712 20000719
PRIORITY APPLN. INFO.: US 1998-71840P P 19980120
WO 1999-US993 W 19990119
OTHER SOURCE(S): MARPAT 131:116517

GI For diagram(s), see printed CA Issue.

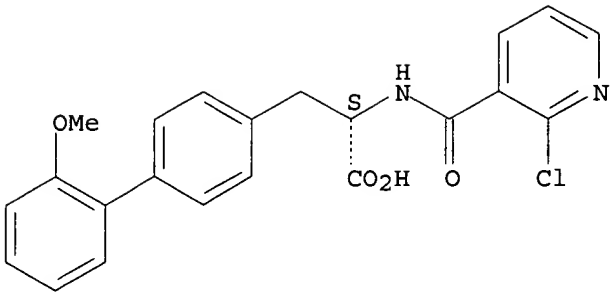
AB The present invention relates to a pharmaceutical compn. comprising as an active ingredient a compd. of formula [I; wherein ring A is an arom. or a heterocyclic ring; Q is a bond, carbonyl, lower alkylene optionally substituted by HO or Ph, lower alkenylene, or -O-(lower alkylene)-; n is 0, 1 or 2; Z is oxygen or sulfur; W is oxygen, sulfur, -CH:CH-, -NH- or -N:CH-; R1, R2 and R3 are the same or different and are hydrogen, halogen, hydroxyl, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted amino group, CO2H or an amide or an ester thereof, cyano, lower alkylthio, lower alkanesulfonyl, substituted or unsubstituted SO2NH2, etc.; R4 is tetrazolyl, carboxyl group, amide or ester; R5 is hydrogen, nitro, amino, hydroxyl, lower alkanoyl, lower alkyl, etc.; R6 is selected from (a) a substituted or unsubstituted Ph group, (b) a substituted or unsubstituted **pyridyl** group, (c) a substituted or unsubstituted thienyl group, (d) a substituted or unsubstituted benzofuranyl group, etc.; or a pharmaceutically acceptable salt thereof]. These phenylalanine derivs. are useful for treating or preventing conditions caused by .alpha.4-mediated cell adhesion such as rheumatoid arthritis, asthma, psoriasis, eczema, contact dermatitis and other skin inflammatory diseases, diabetes, multiple sclerosis, systemic lupus erythematosus (SLE), inflammatory bowel disease including ulcerative colitis and Crohn's disease, and other diseases involving leukocyte infiltration of the gastrointestinal tract, or other epithelial lined tissues, such as skin, urinary tract, respiratory airway, and joint synovium. N-(tert-butoxycarbonyl)-O-(trifluoromethanesulfonyl)-L-tyrosine Me ester (prepn. given) was coupled with 2-methoxybenzene boronic acid in toluene/DMF in the presence of K2CO3 and Pd(PPh3)4 at 80 .degree.C for 24 h to give N-(tert-butoxycarbonyl)-4-(2-methoxyphenyl)-L-phenylalanine Me ester. The latter compd. was treated with CF3CO2H in CH2Cl2 for 1.5 h to remove the Boc group and then condensed with 2,6-dichlorobenzoyl chloride in the presence of diisopropylethylamine at room temp. for 24 h to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-L-phenylalanine Me ester (II) which was sapond. with LiOH in THF/MeOH at room temp. for 3 h, evapd., treated with H2O, adjusted Ph 2, and extd. with EtOAc to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-L-phenylalanine (III). II and III in vitro inhibited at IC50 of 1.gtoreq. and 0.3.gtoreq. .mu.M, resp., .beta.7-mediated cell adhesion which measured the adhesive interactions of a B-cell line, RPMI, known to express .alpha.4.beta.7, to the alternatively spliced region of fibronectin referred to as CS-1, in the presence of test compds.

IT **232272-00-3P 232272-02-5P 232272-04-7P**
232272-06-9P 232272-19-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acyl-phenylalanine derivs. as inhibitors of .alpha.4-mediated cell adhesion for prevention and treatment of diseases caused by .alpha.4-mediated cell adhesion)

RN 232272-00-3 CAPLUS

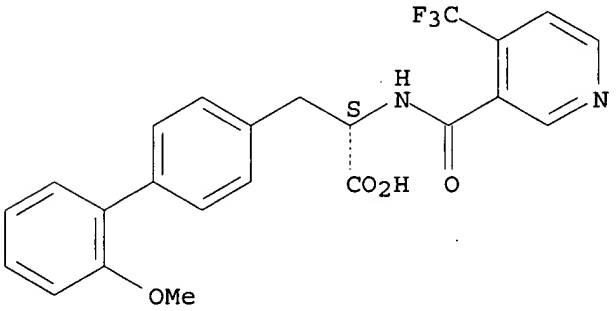
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[(2-chloro-3-pyridinyl)carbonyl]amino]-2'-methoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



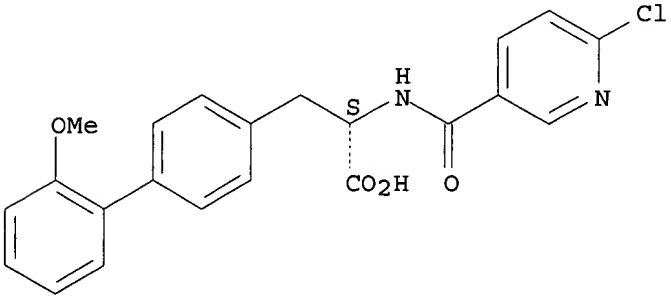
RN 232272-02-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 2'-methoxy-.alpha.-[[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



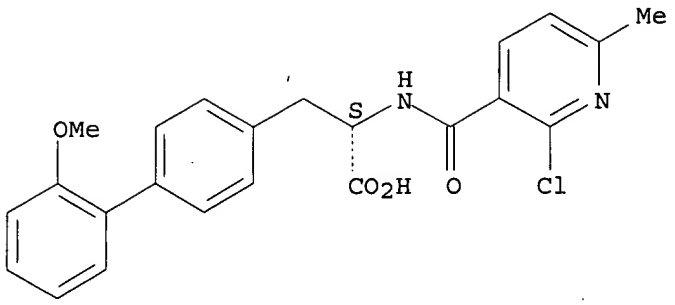
RN 232272-04-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[(6-chloro-3-pyridinyl)carbonyl]amino]-2'-methoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



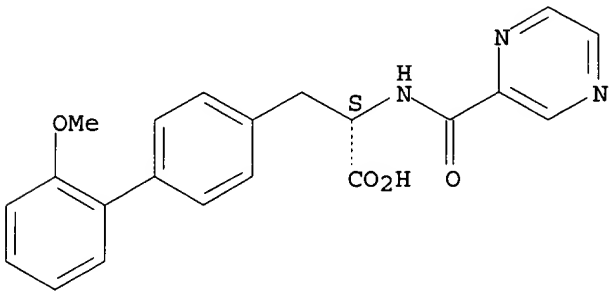
RN 232272-06-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[(2-chloro-6-methyl-3-pyridinyl)carbonyl]amino]-2'-methoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 232272-19-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 2'-methoxy-.alpha.-[(pyrazinylcarbonyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

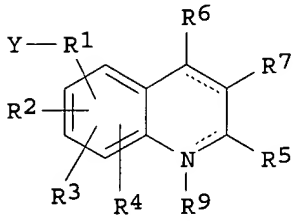


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

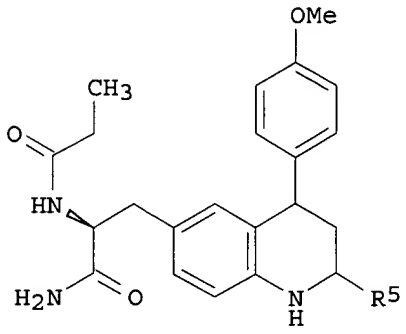
L10 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:543220 CAPLUS
DOCUMENT NUMBER: 129:175563
TITLE: 4-Substituted quinoline derivatives and 4-substituted quinoline combinatorial libraries
INVENTOR(S): Hayes, Thomas K.; Forood, Behrouz; Kiely, John S.
PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834115	A1	19980806	WO 1997-US22391	19971205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9881919	A1	19980825	AU 1998-81919	19971205
EP 977989	A1	20000209	EP 1997-949775	19971205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, FI
US 6262269 B1 20010717 US 1998-17785 19980203
US 6388081 B1 20020514 US 1999-376670 19990816
PRIORITY APPLN. INFO.: US 1997-795392 A 19970204
US 1997-126414P P 19970204
WO 1997-US22391 W 19971205
US 1998-17785 A3 19980203
OTHER SOURCE(S): MARPAT 129:175563
GI



I



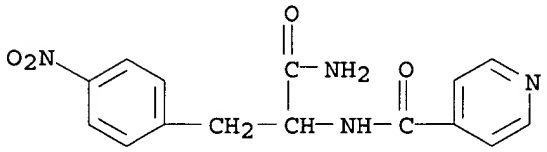
II

AB The invention relates to novel 4-substituted quinoline derivs. I, their salts, and combinatorial libraries contg. mixts. of two or more such compds. [wherein R1 = bond, (un)substituted alk(en/yn)ylene, cycloalk(en)ylene, phenylene, naphthylene, heterocycle, heteroaryl, amino, CH2CONH, (CH2)pAr(CH2)q, etc.; p, q = 0-6 but both cannot be 0; Ar = (un)substituted Ph or heteroaryl; R2, R3, R4 = H, halo, (un)protected OH, cyano, NO2, (un)substituted alk(en/yn)yl, alkoxy, cycloalk(en)yl, heterocyclyl, phenylalkyl, Ph, naphthyl, etc.; R5 = H, (un)substituted alk(en/yn)yl, cycloalk(en)yl, Ph, naphthyl, phenylalkyl, (un)protected CO2H, acyl, heterocyclyl, etc.; R6 = H, (un)substituted Ph, naphthyl, 2-oxopyrrolidin-1-yl and higher homologs, (un)substituted NHCHO; R7 = H, (un)substituted alkyl; Y = CO2H, OH, SH, NHR8, CONHR8, CH2OH, CH2NH2, CH2NHR8; R8 = H, (un)substituted alkyl, or functionalized resin; R9 = H, (un)substituted alkyl, phenylalkyl, acyl, PhSO2, alkylsulfonyl, alkylaminocarbonyl, or PhNHCO, or is absent; dotted lines = optional pi bonds]. The invention also relates to the generation of such libraries. In 12 examples, libraries of I ranging in size from 2380 to 39,440 compds. were prepd. as mixed sublibraries. Data for control compds. (samples of individually known intermediates and products, cleaved from simultaneously processed control resins) are given for some examples. Both quinoline and tetrahydroquinoline libraries were prepd. For instance, tea-bags of MBHA resin were each coupled with L- or D-N-BOC-p-nitrophenylalanine, the BOC groups were removed from both, and the amino groups were each acylated with 170 carboxylic acids. The acylated, resin-bound products were mixed and reduced at the nitro group, and the amine product mixts. were condensed with 58 different aldehydes and cyclized with 4-methoxystyrene. Cleavage of the resin-bound products with HF gave mixed sublibraries of I. Individual control samples of products, such as II [R5 = 1-naphthyl, 2,3-difluorophenyl, cyclohexyl, etc.], were obtained by reactions of pure, resin-bound L-N-propanoyl-p-aminophenylalanine control samples with individual aldehydes and 4-methoxystyrene. Potential applications of I (no data) may include use as antibacterials, NMDA antagonists, or analgesics.

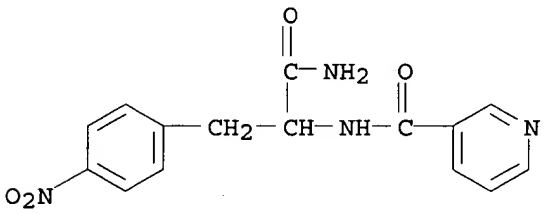
IT **211375-76-7P 211375-84-7P 211375-85-8P**
211375-94-9P 211375-97-2P 211376-58-8P

211376-67-9P 211376-77-1P 211376-84-0P
211376-87-3P 211377-04-7P 211377-15-0P
211377-19-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(resin-cleavage control intermediate; prepn. of tricyclic tetrahydroquinoline derivs. and combinatorial libraries)

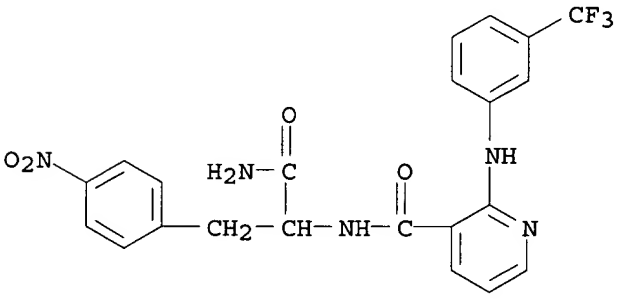
RN 211375-76-7 CAPLUS
CN 4-Pyridinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



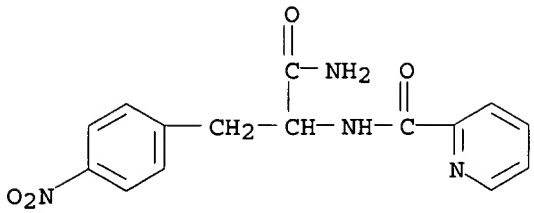
RN 211375-84-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



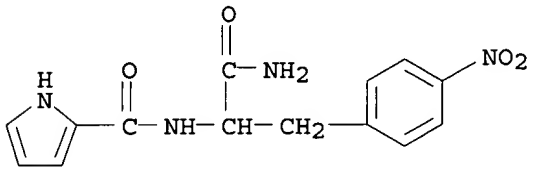
RN 211375-85-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]-2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



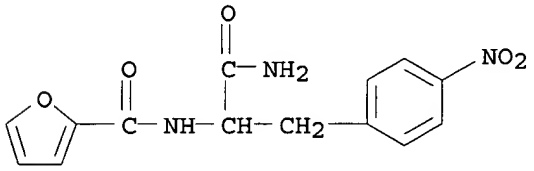
RN 211375-94-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



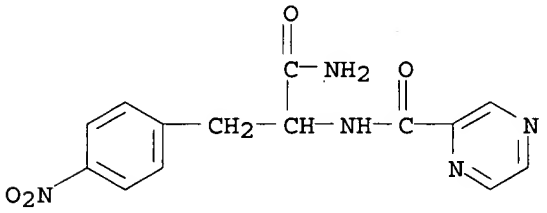
RN 211375-97-2 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



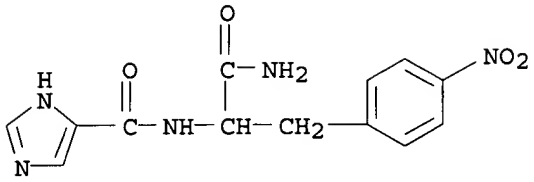
RN 211376-58-8 CAPLUS
CN 2-Furancarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



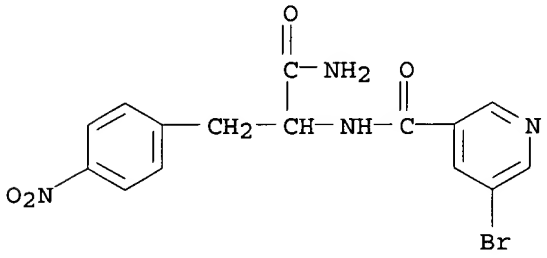
RN 211376-67-9 CAPLUS
CN Pyrazinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



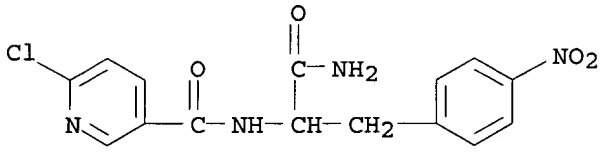
RN 211376-77-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



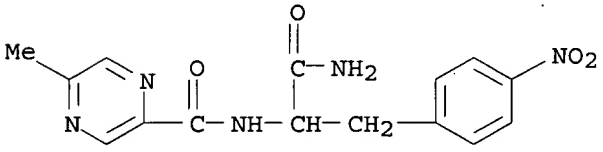
RN 211376-84-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]-5-bromo- (9CI) (CA INDEX NAME)



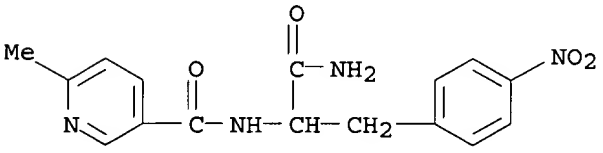
RN 211376-87-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]-6-chloro- (9CI) (CA INDEX NAME)



RN 211377-04-7 CAPLUS
CN Pyrazinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]-5-methyl- (9CI) (CA INDEX NAME)



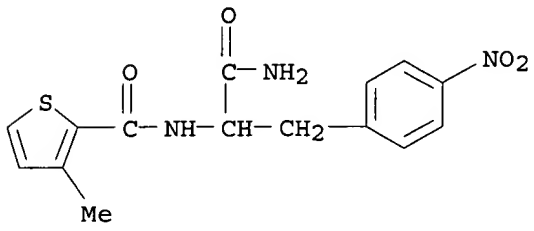
RN 211377-15-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 211377-19-4 CAPLUS

09/ 964,161

CN 2-Thiophenecarboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]-3-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 33 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:398243 CAPLUS
DOCUMENT NUMBER: 129:81741
TITLE: Preparation of pyridines as antiasthmatics
INVENTOR(S): Ukita, Tatsuzo; Sugahara, Masakatsu; Ikezawa, Katsuo; Kikkawa, Hideo; Naito, Kazuaki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 848000	A1	19980617	EP 1997-309947	19971210
EP 848000	B1	20020612		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 5965730	A	19991012	US 1997-985042	19971204
TW 429257	B	20010411	TW 1997-86118300	19971205
AT 219075	E	20020615	AT 1997-309947	19971210
ES 2178741	T3	20030101	ES 1997-309947	19971210
CA 2224635	AA	19980613	CA 1997-2224635	19971211
CN 1184813	A	19980617	CN 1997-125491	19971212
JP 10226685	A2	19980825	JP 1997-342352	19971212

PRIORITY APPLN. INFO.: JP 1996-333357 A 19961213
OTHER SOURCE(S): MARPAT 129:81741
GI

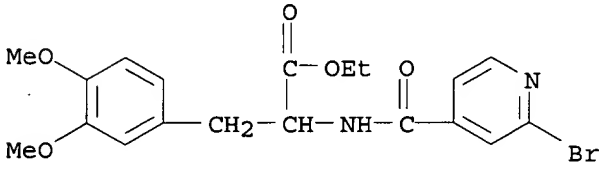
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = II-VI (wherein R1, R2 = H, (un)protected OH; R31, R41, R42 = (un)protected CH2OH; R32 = H, lower alkyl, (un)protected CH2OH; R33 = (un)substituted lower alkyl; the dotted line means the presence or absence of a double bond); R5, R6 = H, (un)protected NH2, or NR5R6 = (un)substituted heterocycle], which show excellent bronchoconstriction inhibitory activity and/or anti-inflammatory activity of airways, and therefore are useful in the prophylaxis or treatment of asthma, were prepd. Thus, reaction of 4-(3-**pyridyl**)phthalazin-1(2H)-one with 2-bromo-4-[6,7-dimethoxy-2-(4-**pyridyl**)methylphthalazin-1(2H)-on-4-yl]pyridine in the presence of K2CO3 and CuI

in DMF afforded the title compd. VII. Compds. I are effective at 0.003-3 mg/kg/day.

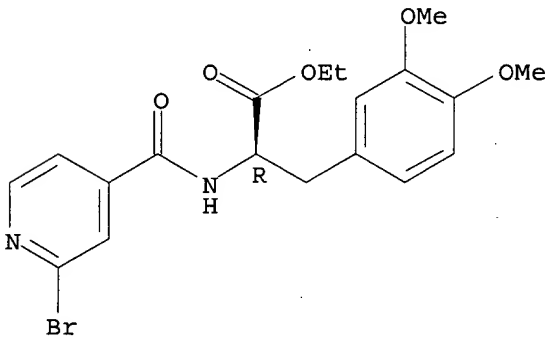
IT **209262-41-9P 209262-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyridines as antiasthmatics)

RN 209262-41-9 CAPLUS
CN Tyrosine, N-[(2-bromo-4-pyridinyl)carbonyl]-3-methoxy-O-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 209262-45-3 CAPLUS
CN D-Tyrosine, N-[(2-bromo-4-pyridinyl)carbonyl]-3-methoxy-O-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 34 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:239130 CAPLUS
DOCUMENT NUMBER: 128:303347
TITLE: Radiopharmaceuticals for imaging infection and inflammation
INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister; Harris, Thomas David; Rajopadhye, Milind
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 352 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815295	A2	19980416	WO 1997-US18096	19971006
WO 9815295	A3	19980827		

W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM,

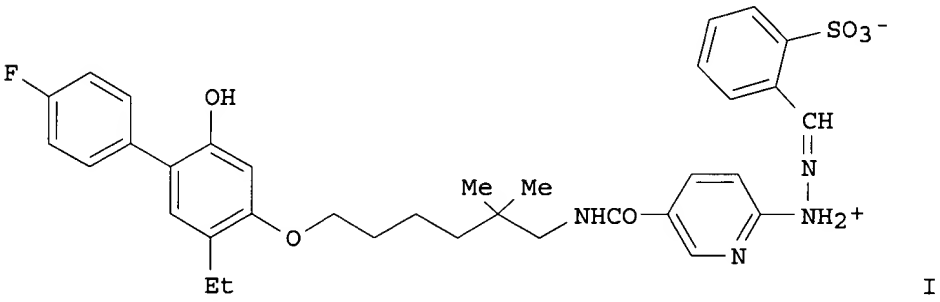
AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9852381	A1	19980505	AU 1998-52381	19971006	
AU 736481	B2	20010726			
BR 9712281	A	19990831	BR 1997-12281	19971006	
CN 1239895	A	19991229	CN 1997-180342	19971006	
EP 999856	A2	20000517	EP 1997-947259	19971006	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
NZ 335539	A	20010629	NZ 1997-335539	19971006	
JP 2001525796	T2	20011211	JP 1998-517680	19971006	
EP 1293214	A2	20030319	EP 2002-79932	19971006	
EP 1293214	A3	20030326			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
ZA 9708956	A	19990416	ZA 1997-8956	19971007	
KR 2000048922	A	20000725	KR 1999-702953	19990406	

PRIORITY APPLN. INFO.: US 1996-726507 A 19961007
EP 1997-947259 A3 19971006
WO 1997-US18096 W 19971006

OTHER SOURCE(S): MARPAT 128:303347

GI



I

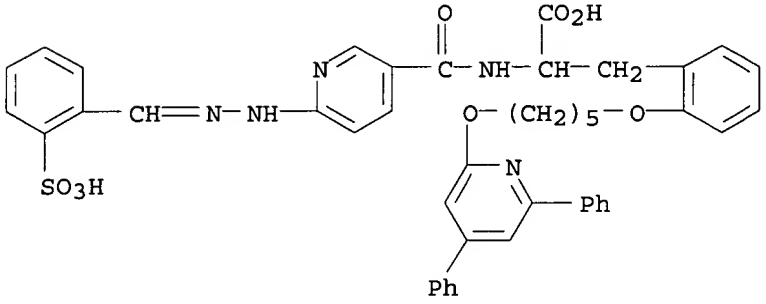
AB The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for prepg. the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases assocd. with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases assocd. with infection and inflammation. Thus, the leukotriene antagonist (I) was prepd. and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compd. I was used to prep. 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-**pyridinyl**]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was was used to detect inflammation/infection in guinea pig and rabbit focal infection models.

IT **206263-50-5P 206263-78-7P 206263-87-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and complexation with 99mTc as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

RN 206263-50-5 CAPLUS

CN Phenylalanine, 2-[[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]oxy]-N-[[6-[[(2-

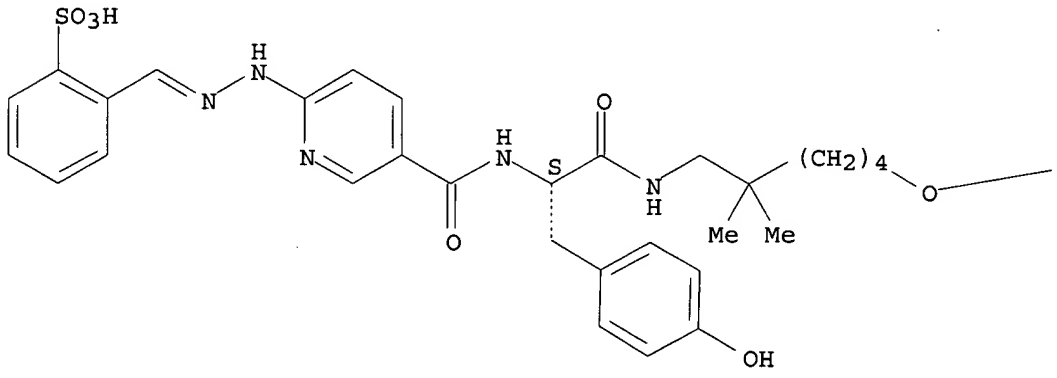
sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



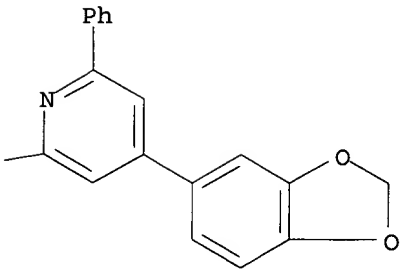
RN 206263-78-7 CAPLUS
CN Benzenesulfonic acid, 2-[[[5-[[[(1S)-2-[[6-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]-2,2-dimethylhexyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]-2-pyridinyl]hydrazono]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



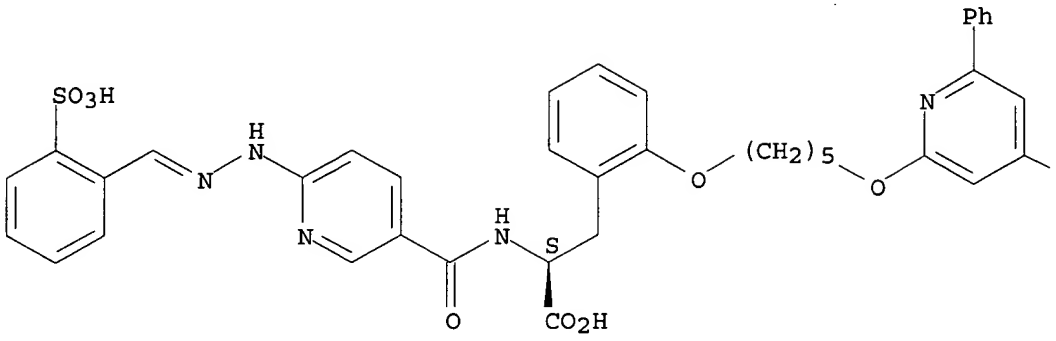
PAGE 1-B



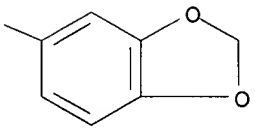
RN 206263-87-8 CAPLUS
CN L-Phenylalanine, 2-[[5-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]pentyl]oxy]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



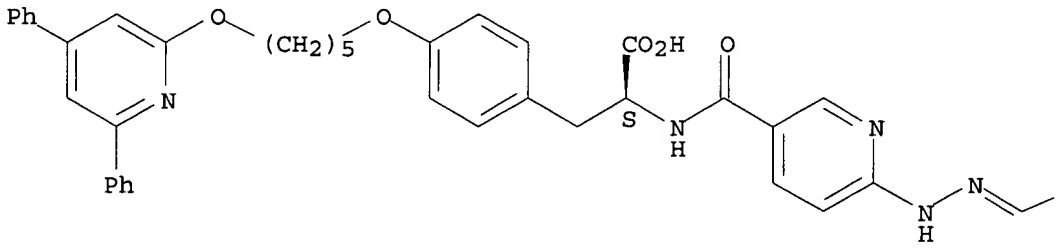
PAGE 1-B

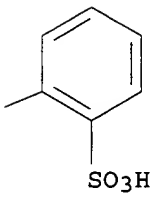


IT **206263-48-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)
RN 206263-48-1 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

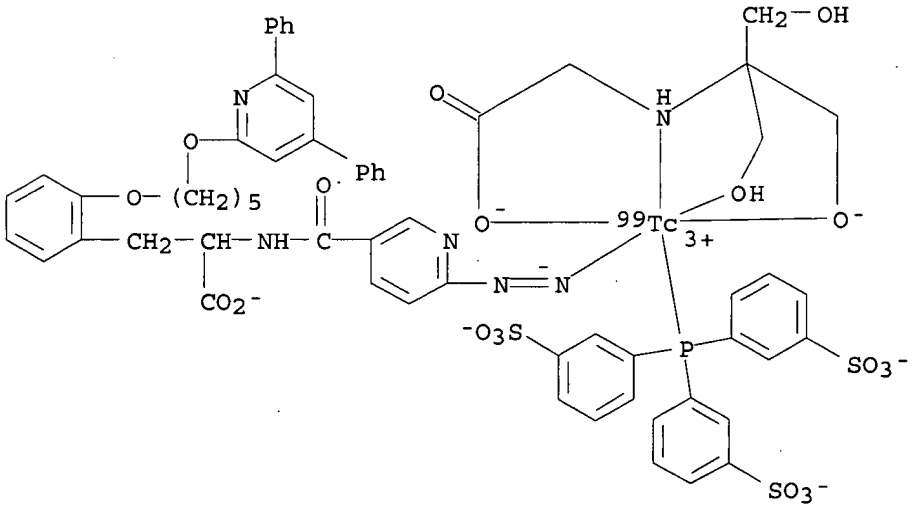
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A





IT **206264-30-4P 206264-45-1P 206264-58-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 99mTc complexes with leukotriene antagonist ligands for imaging and treatment of infection and inflammation)
RN 206264-30-4 CAPLUS
CN Technetate(4-)-99Tc, [N-[[6-(diazenyl-.kappa.N2)-3-pyridinyl]carbonyl]-2-[[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]oxy]phenylalaninato(2-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium hydrogen (9CI) (CA INDEX NAME)

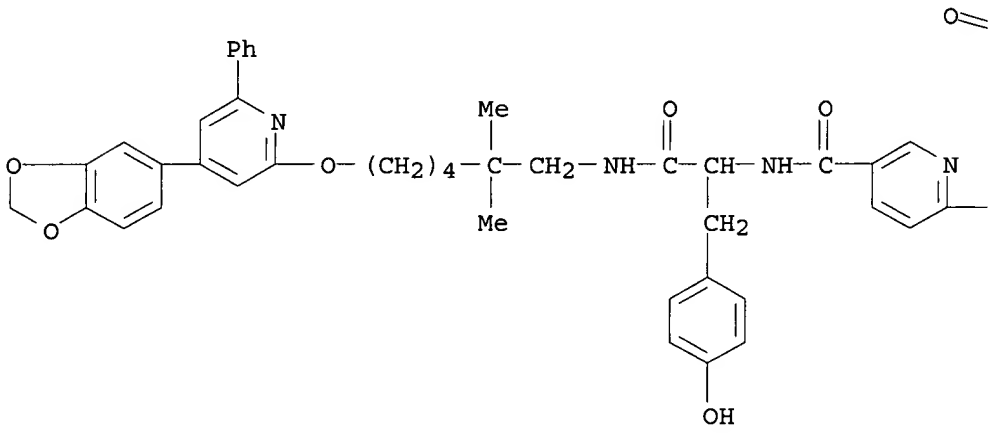


● H+

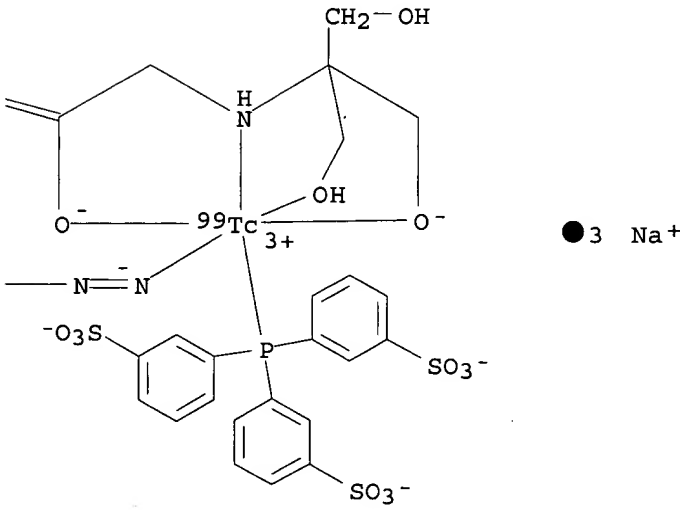
● 3 Na+

RN 206264-45-1 CAPLUS
CN Technetate(3-)-99Tc, [N-[2-[[6-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]-2,2-dimethylhexyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-6-(diazenyl-.kappa.N2)-3-pyridinecarboxamidato][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium (9CI) (CA INDEX NAME)

PAGE 1-A

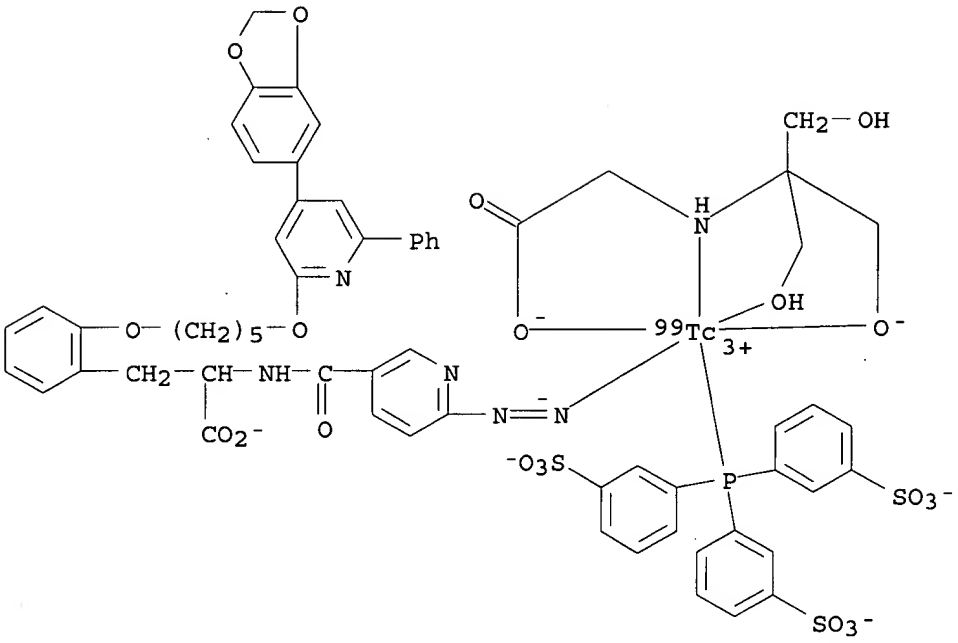


PAGE 1-B



RN 206264-58-6 CAPLUS
CN Technetate(4-)-99Tc, [2-[[5-[[4-(1,3-benzodioxol-5-yl)-6-phenyl-2-pyridinyl]oxy]pentyl]oxy]-N-[[6-(diazenyl-.kappa.N2)-2-pyridinyl]carbonyl]phenylalaninato(2-)][N-[2-hydroxy-1,1-bis[(hydroxy-.kappa.O)methyl]ethyl]glycinato(2-)-.kappa.N,.kappa.O][[3,3',3''-(phosphinidyne-.kappa.P)tris[benzenesulfonato]](3-)]-, trisodium hydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

● H^+

●3 Na^+

L10 ANSWER 35 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:197471 CAPLUS
DOCUMENT NUMBER: 128:265374
TITLE: Combinatorial approach for generating novel coordination complexes
INVENTOR(S): Jacobsen, Eric N.; Francis, Matthew B.; Finney, Nathaniel S.
PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA; Jacobsen, Eric N.; Francis, Matthew B.; Finney, Nathaniel S.
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

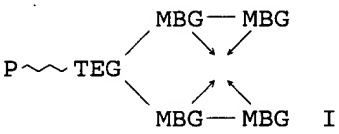
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9812156	A1	19980326	WO 1997-US16740	19970919

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ,
VN, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG

AU 9745851	A1	19980414	AU 1997-45851	19970919	
US 6489093	B1	20021203	US 1997-933714	19970919	
PRIORITY APPLN. INFO.:			US 1996-26432P	P	19960920
			WO 1997-US16740	W	19970919

GI



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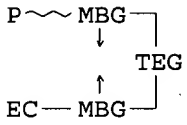


II

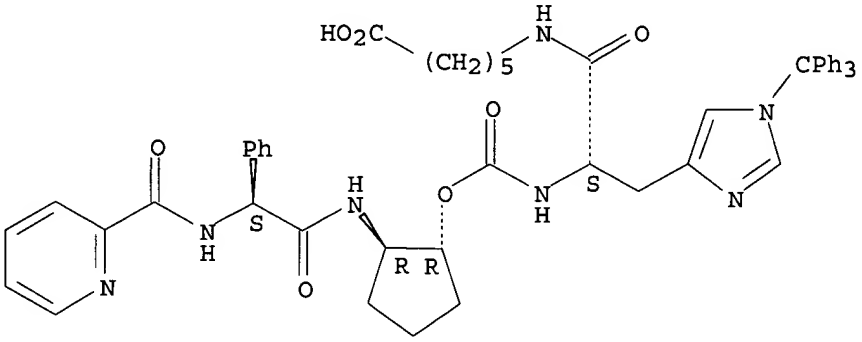
AB The present invention provides methods and compns., i.e. synthetic libraries of binding moieties, for identifying compds. which bind to a metal atom or to non-metal ions, e.g., cationic or anionic mols. Thus, combinatorial libraries, e.g. I and II (P = TentaGel S amino resin polymer support; TEG = turn element group, i.e. di- or trifunctional cyclic amino alc. or cyclic amino acid; MBG = metal binding group, i.e. amino acid residue; EC = end capping group, i.e. acyl residue) were prepd. and examd. for their ability to coordinate transition metal ions. Thus, a 12,000 member combinatorial library P-NHCO(CH2)5NH-A-B-C-D [III; P-NH2 = TentaGel S amino resin polymer; A (position 1) = L- or D-Asp(OCMe3), L- or D-Ser(CMe3), L- or D-Met, L- or D-Tyr(CMe3), L- or D-phenylglycine, His(CPh3), Gly; C (position 2) = L-Asp(OCMe3), L-Ser(CMe3), L-Tyr(CMe3), L-His(CPh3), L-Met, L-Trp, Gly, L-phenylglycine, 4-piperidinecarboxylic acid; B (turn element) = 1-amino-2-carbonyloxycyclopentane stereoisomers, 1-amino-2-carbonyloxycyclohexane stereoisomers, 1-amino-2-carbonyloxyindane stereoisomers, L-Pro, D-pipecolinic acid; D (end cap) = RCO, tosyl, pyroglutamic acid, R = Me, CMe3, 1-naphthyl, CH2CO2Me, 2-**pyridyl**, 3,4-methylenedioxyphenyl, PhNH] was prepd. using std. solid-phase peptide coupling techniques. Library III was tested for Ni2+ binding affinity by treatment with 2.5 .times. 10-4 M Ni(OAc)2 in MeOH followed by soln. of dimethylglyoxime in MeOH to form a reddish-pink ppt. trapped in the polymer matrix of about 6 of the 24,000 beads. Tag photolysis and anal. allowed the identification of the individual nickel-binding library members.

IT **205325-20-8DP**, amide with TentaGel S resin
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(combinatorial approach for generating novel coordination complexes)

RN 205325-20-8 CAPLUS

CN Hexanoic acid, 6-[[1-oxo-2-[[[[2-[[phenyl[(2-pyridinylcarbonyl)amino]acetyl]amino]cyclopentyl]oxy]carbonyl]amino]-3-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]amino]-, [1R-[1.alpha.(S*),2.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

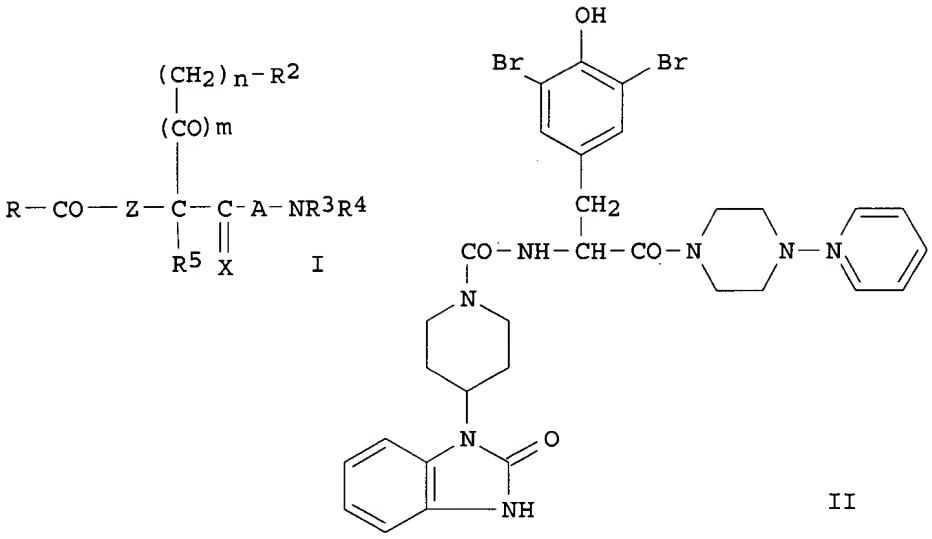
L10 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:197358 CAPLUS
DOCUMENT NUMBER: 128:257695
TITLE: Preparation of modified amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compositions
INVENTOR(S): Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PATENT ASSIGNEE(S): Karl Thomae G.m.b.H., Germany; Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
SOURCE: PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811128	A1	19980319	WO 1997-EP4862	19970908
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19636623	A1	19980312	DE 1996-19636623	19960910
DE 19720011	A1	19981119	DE 1997-19720011	19970514
AU 9741196	A1	19980402	AU 1997-41196	19970908
AU 721035	B2	20000622		
EP 927192	A1	19990707	EP 1997-938928	19970908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712023	A	19990831	BR 1997-12023	19970908
JP 2000505100	T2	20000425	JP 1998-513227	19970908
NO 9901130	A	19990505	NO 1999-1130	19990309
KR 2000044040	A	20000715	KR 1999-702008	19990310
US 6344449	B1	20020205	US 1999-254281	19991012
US 2001036946	A1	20011101	US 2001-789391	20010221
US 2003069231	A1	20030410	US 2002-119875	20020410

PRIORITY APPLN. INFO.: DE 1996-19636623 A 19960910
DE 1997-19720011 A 19970514
WO 1997-EP4862 W 19970908
US 1999-254281 A1 19991012
US 2001-789391 A1 20010221

OTHER SOURCE(S): MARPAT 128:257695

GI



I

II

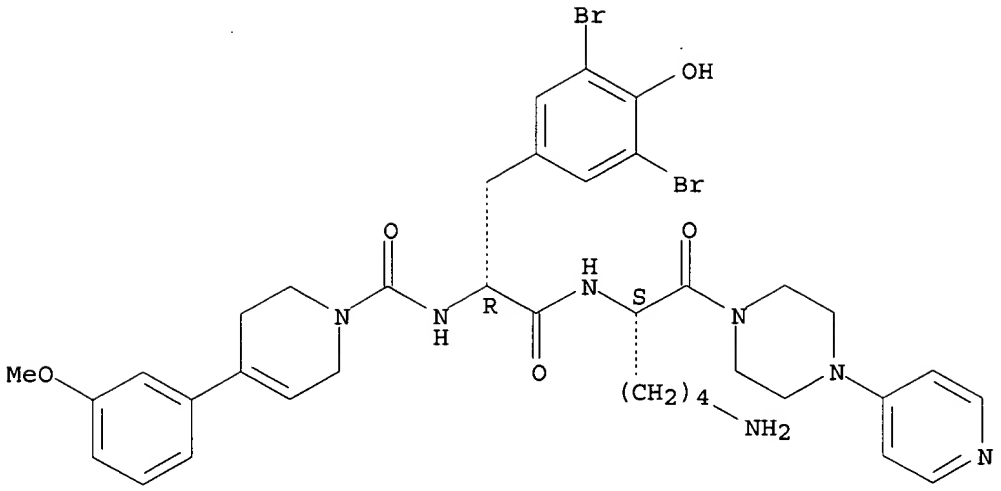
AB The invention concerns modified amino acids of general formula I [A = bond, CX; Z = CH2, NR1; R1 = H, alkyl, phenyl-alkyl; X = O, H,H; n = 1-2; m = 0-1; R = (substituted)alkyl; R2 = Ph, (substituted)(hetero)(bi)cycle; R3 = H, (substituted)alkyl, Ph, **pyridinyl**; R4 = H, (substituted)alkyl; R3R4= (hetero)cycle; R5 = H, alkyl, alkoxycarbonyl, PhCH2], pharmaceuticals contg. these compds., their use and the method for their prodn., as well as their use for the prodn. and purifn. of antibodies and as marked compds. in RIA and ELISA assays and as diagnostic or analytic auxiliary agents in neurotransmitter research. Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-**pyridinyl**)-piperazine, to give II(22%). Title compds. show human calcitonin gene related peptide (CGRP) antagonist activity; in in-vitro binding studies with Sk-N-MC-cells, I had IC50 .ltoreq.10000 nM, and in the same system, had CGRP-antagonist activity at doses from 10-11 to 10-6 M.

IT **204698-41-9P 204698-42-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compns.)

RN 204698-41-9 CAPLUS

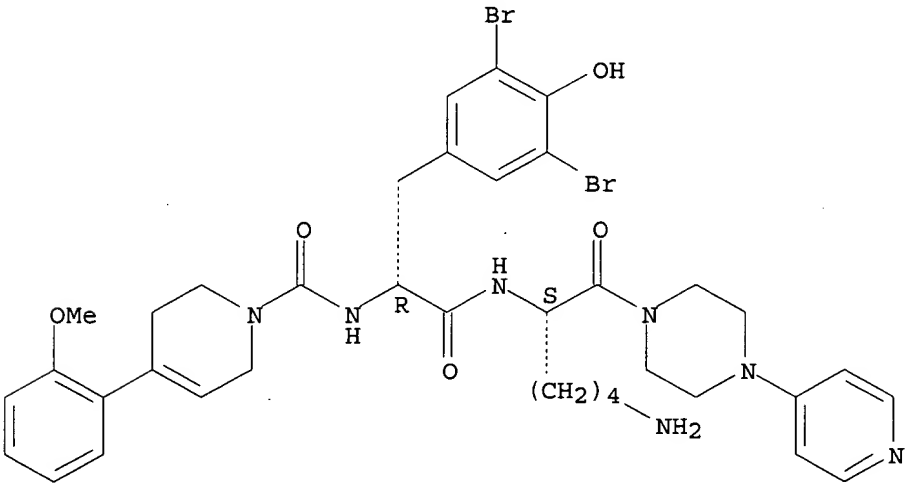
CN 1(2H)-Pyridinecarboxamide, N-[2-[[5-amino-1-[[4-(4-pyridinyl)-1-piperazinyl]carbonyl]pentyl]amino]-1-[(3,5-dibromo-4-hydroxyphenyl)methyl]-2-oxoethyl]-3,6-dihydro-4-(3-methoxyphenyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204698-42-0 CAPLUS
CN 1(2H)-Pyridinecarboxamide, N-[2-[[5-amino-1-[[4-(4-pyridinyl)-1-piperazinyl]carbonyl]pentyl]amino]-1-[(3,5-dibromo-4-hydroxyphenyl)methyl]-2-oxoethyl]-3,6-dihydro-4-(2-methoxyphenyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:186625 CAPLUS
DOCUMENT NUMBER: 128:230701
TITLE: Preparation of varied amino acids as calcitonin gene-related peptide antagonists in pharmaceutical compositions
INVENTOR(S): Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PATENT ASSIGNEE(S): Karl Thomae G.m.b.H., Germany
SOURCE: Ger. Offen., 142 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent

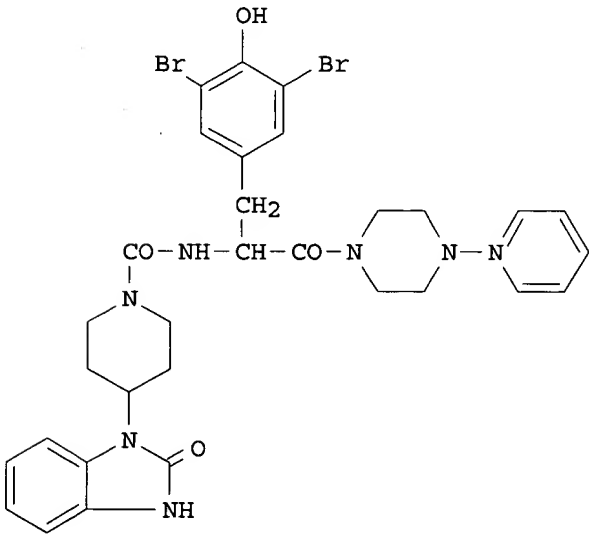
09/ 964,161

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19636623	A1	19980312	DE 1996-19636623	19960910
WO 9811128	A1	19980319	WO 1997-EP4862	19970908
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9741196	A1	19980402	AU 1997-41196	19970908
AU 721035	B2	20000622		
EP 927192	A1	19990707	EP 1997-938928	19970908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712023	A	19990831	BR 1997-12023	19970908
CN 1230196	A	19990929	CN 1997-197772	19970908
JP 2000505100	T2	20000425	JP 1998-513227	19970908
ZA 9708083	A	19991217	ZA 1997-8083	19970909
TW 477792	B	20020301	TW 1997-86113120	19970910
NO 9901130	A	19990505	NO 1999-1130	19990309
US 6344449	B1	20020205	US 1999-254281	19991012

PRIORITY APPLN. INFO.: DE 1996-19636623 A 19960910
DE 1997-19720011 A 19970514
WO 1997-EP4862 W 19970908

OTHER SOURCE(S): MARPAT 128:230701
GI



II

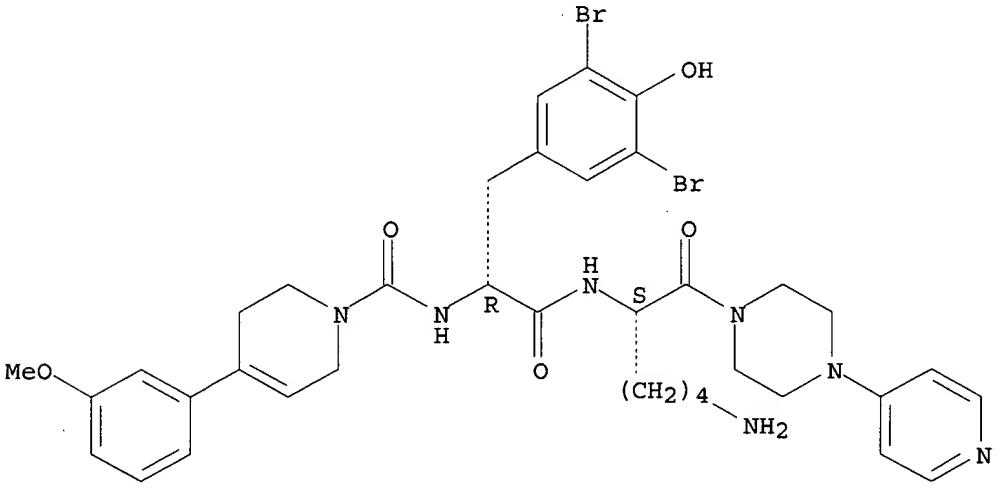
AB Title compds. RCOZCR1R2C(:X)ANR3R4 [(I); R = (substituted) alkyl; R1 = H, alkyl, PhCH2; R2 = (CO)m(CH2)nR5; m = 0, 1; n = 1, 2; R5 = Ph, heterocycle; X = O, (H,H); Z = CH2, NR6; R6 = H, alkyl, phenyl-alkyl; A = bond, proline; R3 = H, substituted alkyl, Ph, **pyridinyl**; R4 = H,

substituted alkyl; NR3R4 = (substituted) heterocycle], useful as calcitonin gene-related peptide (CGRP) antagonists, were prepd. Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-**pyridinyl**)-piperazine, to give II (22%). In in-vitro binding studies with human CGRP-receptors, I had IC50 .ltoreq.10000 nM; in CGRP-antagonist in vitro tests, I was effective at doses from 10-11 to 10-5 M.

IT **204698-41-9P 204698-42-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compns.)

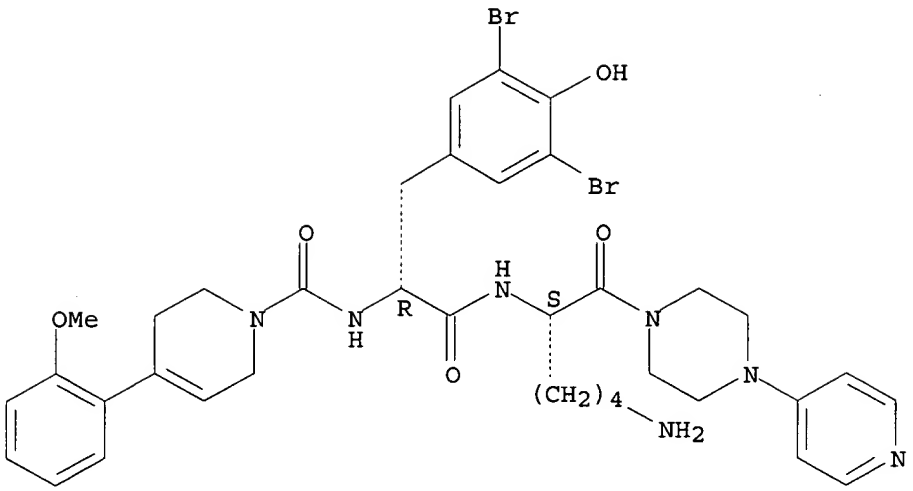
RN 204698-41-9 CAPLUS
CN 1(2H)-Pyridinecarboxamide, N-[2-[[5-amino-1-[[4-(4-pyridinyl)-1-piperazinyl]carbonyl]pentyl]amino]-1-[(3,5-dibromo-4-hydroxyphenyl)methyl]-2-oxoethyl]-3,6-dihydro-4-(3-methoxyphenyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204698-42-0 CAPLUS
CN 1(2H)-Pyridinecarboxamide, N-[2-[[5-amino-1-[[4-(4-pyridinyl)-1-piperazinyl]carbonyl]pentyl]amino]-1-[(3,5-dibromo-4-hydroxyphenyl)methyl]-2-oxoethyl]-3,6-dihydro-4-(2-methoxyphenyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

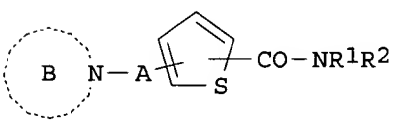
Absolute stereochemistry.



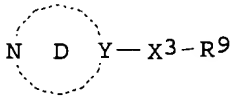
L10 ANSWER 38 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:59365 CAPLUS
DOCUMENT NUMBER: 128:167345
TITLE: Preparation of thiophenes having anti-phencyclidine effect as pharmaceuticals for treatment of dementia, mental retardation, and autism
INVENTOR(S): Kimura, Takenori; Murakami, Isamu; Omori, Atsuya; Morita, Takuma; Tsukamoto, Shinichi
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10017564	A2	19980120	JP 1996-172078	19960702

PRIORITY APPLN. INFO.: JP 1996-172078 19960702
OTHER SOURCE(S): MARPAT 128:167345
GI



I



II

AB Title compds. I [B = 4- to 10-membered N-contg. cycloalkyl; A = bond,

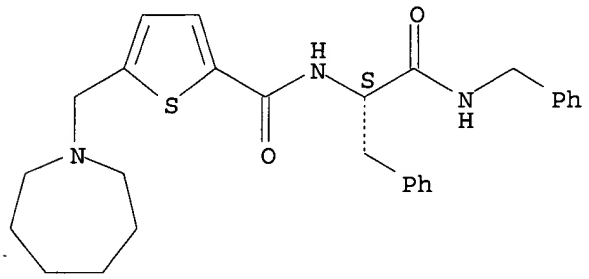
lower alkylene; R1 = (CR3R4)nX1R5; R2 = (CR6R7)mX2R8; R1NR2 = II; R3, R4, R6, R7 = H, (substituted) lower alkyl, (substituted) aralkyl; n, m = 0-6; X1-X3 = O, S, NR10, CO, CO2, O2C, CONR11, NR12CO; R5, R8, R9 = H, (substituted) lower alkyl, (substituted) cycloalkyl, (substituted) aralkyl, (substituted) aryl, 1 or 2 N-contg. 5- or 6-membered heteroaryl; D = (CO-contg.) 1 or 2 N-contg. 5- to 7-membered cycloalkyl; Y = N, CH; R10-R12 = H, lower alkyl, 5- to 8-membered ring with R3 or R6]. 1-Piperazinecarboxaldehyde (600 mg) was treated with 1.5 g 5-[(hexahydro-1-azepinyl)methyl]-2-thiophenecarboxylic acid hydrochloride in the presence of Et3N and (PhO)2P(O)N3 in DMF at room temp. overnight to give 781 mg 4-[5-[(hexahydro-1-azepinyl)methyl]-2-thenoyl]-1-piperazinecarboxaldehyde. I were administered s.c. at 10 mg/kg to rats and inhibited the phencyclidine-induced increase of their movement and the decrease of their exploratory behavior.

IT **202819-42-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiophenes having anti-phencyclidine effect)

RN 202819-42-9 CAPLUS

CN 2-Thiophenecarboxamide, 5-[(hexahydro-1H-azepin-1-yl)methyl]-N-[2-oxo-1-(phenylmethyl)-2-[(phenylmethyl)amino]ethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 39 OF 56 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:269071 CAPLUS

DOCUMENT NUMBER: 126:317650

TITLE: Synthesis and properties of amino acid and peptide derivatives carrying N-picolinoyl group as a metal ion-binding site

AUTHOR(S): Yamada, Keiichi; Ozaki, Hirotaka; Okumura, Naoki; Mabuchi, Osamu; Yamamura, Hatsuo; Araki, Shuki; Katakai, Ryoichi; Kawai, Masao

CORPORATE SOURCE: Department of Applied Chemistry, Nagoya Institute of Technology, Nagoya, 466, Japan

SOURCE: Peptide Chemistry (1996), 34th, 485-488
CODEN: PECHDP; ISSN: 0388-3698

PUBLISHER: Protein Research Foundation

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A symposium report on the synthesis and properties of N-Picolinoyl group-contg. derivs. of amino acids PyCO-X-OMe (Py = 2-**Pyridyl**, X = Gly, Ala, Leu, Phe), Boc-X(PyCO)-OMe (Boc = Me3CO2C, Py = 2-**Pyridyl**, X = Orn, Leu), and gramicidin S (GS). Dipicolinoyl derivs. of GS were shown to form a 1:1 complex with a metal ion, while in the case of monopicolinoyl GS, a stepwise formation of 1:1 and 2:1 complexes (GS:metal ion) was obsd. The larger formation const. of the 2:1 complex, compared with the corresponding amino acid derivs., suggested the presence of .beta.-sheet type intermol. H-bonding interaction in the 2:1

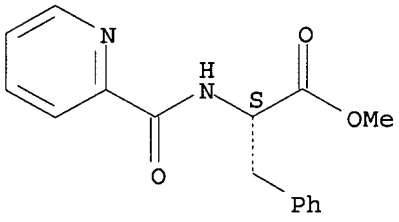
complex.

IT **189341-90-0P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and properties of amino acid and peptide derivs. carrying N-picolinoyl group as a metal ion-binding site)

RN 189341-90-0 CAPLUS

CN L-Phenylalanine, N-(2-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 40 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:178823 CAPLUS
DOCUMENT NUMBER: 126:171487
TITLE: Preparation of aminopyridinecarboxylic acids and related compounds as inhibitors of the pain enhancing effects of E-type prostaglandins.
INVENTOR(S): Breault, Gloria Anne
PATENT ASSIGNEE(S): Zeneca Limited, UK; Breault, Gloria Anne
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700864	A1	19970109	WO 1996-GB1443	19960617
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
IL 118663	A1	20010430	IL 1996-118663	19960616
CA 2220529	AA	19970109	CA 1996-2220529	19960617
AU 9662321	A1	19970122	AU 1996-62321	19960617
AU 699691	B2	19981210		
EP 847391	A1	19980617	EP 1996-920937	19960617
EP 847391	B1	20011219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1193966	A	19980923	CN 1996-196394	19960617
BR 9608908	A	19990302	BR 1996-8908	19960617
JP 11507939	T2	19990713	JP 1996-503654	19960617
NZ 311083	A	20000128	NZ 1996-311083	19960617
AT 211132	E	20020115	AT 1996-920937	19960617
SK 282458	B6	20020205	SK 1997-1733	19960617
ES 2169248	T3	20020701	ES 1996-920937	19960617
CZ 290924	B6	20021113	CZ 1997-4110	19960617

ZA 9605201	A	19961220	ZA 1996-5201	19960619
US 6100258	A	20000808	US 1997-973915	19971216
NO 9705984	A	19971219	NO 1997-5984	19971219
US 6313148	B1	20011106	US 2000-541306	20000403

PRIORITY APPLN. INFO.:
GB 1995-12475 A 19950620
GB 1996-1465 A 19960125
WO 1996-GB1443 W 19960617
US 1997-973915 A3 19971216

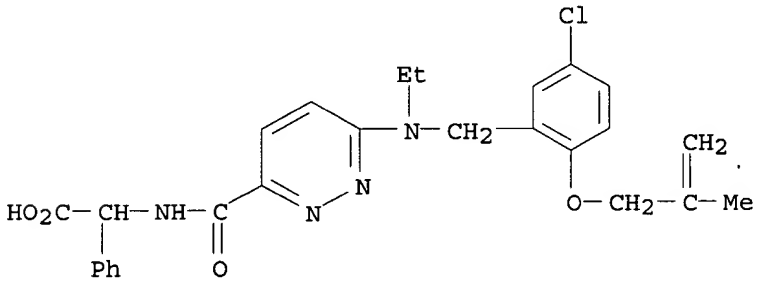
OTHER SOURCE(S): MARPAT 126:171487

AB DOACHR3NR2BR1 [A = (substituted) Ph, naphthyl, **pyridyl**, pyrazinyl, pyridazinyl, pyrimidinyl, thienyl, thiazolyl, oxazolyl, thiadiazolyl, provided that the CH(R3)N(R2)BR1 and OD groups are positioned in a 1,2 relationship to one another on ring carbon atoms and the ring atom positioned ortho to the OD linking group (and therefore in the 3-position relative to the CHR3NR2 linking group) is not substituted; B = (substituted) Ph, **pyridyl**, thiazolyl, oxazolyl, thienyl, thiadiazolyl, imidazolyl, pyrazinyl, pyridazinyl, pyrimidinyl; R1 = CO2H, carboxyalkyl, tetrazolyl, tetrazolylalkyl, tetronic acid, hydroxamic acid, sulfonic acid, aminocarbonyl, azolyl, etc., and is positioned on ring B in a 1,3 or 1,4 relationship with the CH(R3)N(R2) group; R2 = H, (substituted) alkyl, alkenyl, (provided the double bond is not in the 1-position), alkynyl (provided the triple bond is not in the 1-position), phenylalkyl, pyridylalkyl; R3 = H, Me, Et; D = H, (substituted) 5-7 membered carbocyclic ring contg. 1 double bond, alkyl substituted by a (substitute) 5-7 membered carbocyclic ring contg. 1 double bond, (CH2)nCH(R4)C(R5):CR6R7; R4 = H, Me, Et; R5 = H, Me, Br, Cl, F, CF3; R6, R7 = H, alkyl, Br, Cl, F, CF3; n = 0, 1; and N- and S-oxides thereof, with specific exceptions], were prepd. Thus, Me 2-[N-[5-bromo-2-(2-chloroallyloxy)benzyl]-N-ethylamino]-5-pyridylcarboxylate (prepn. given) was stirred with aq. NaOH in MeOH to give 2-[N-[5-bromo-2-(2-chloroallyloxy)benzyl]-N-ethylamino]-5-pyridylcarboxylic acid. Tested title compds. inhibited PGE2-induced contraction of guinea pig ileum with pA2 >5.3.

IT **187229-88-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyridazinecarboxylic acids and related compds. as inhibitors of the pain enhancing effects of E-type prostaglandins)

RN 187229-88-5 CAPLUS

CN Benzeneacetic acid, .alpha.-[[[6-[[[5-chloro-2-[(2-methyl-2-propenyl)oxy]phenyl]methyl]ethylamino]-3-pyridazinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

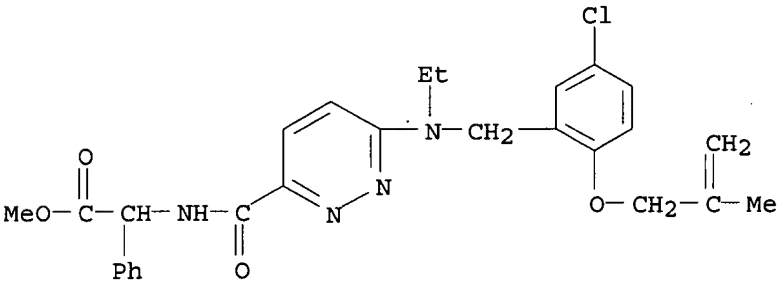


IT **187230-35-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aminopyridazinecarboxylic acids and related compds. as inhibitors of the pain enhancing effects of E-type prostaglandins)

RN 187230-35-9 CAPLUS

09/ 964,161

CN Benzeneacetic acid, .alpha.-[[[6-[[[5-chloro-2-[(2-methyl-2-propenyl)oxy]phenyl]methyl]ethylamino]-3-pyridazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 41 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:754439 CAPLUS
DOCUMENT NUMBER: 126:89780
TITLE: Preparation of aminotriazole-contg. peptides as GnRH analogs
INVENTOR(S): Hoeger, Carl A.; Rivier, Jean E. F.; Theobald, Paula G.; Porter, John S.
PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. 5,352,796.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5580957	A	19961203	US 1994-210619	19940318
US 5169932	A	19921208	US 1990-545239	19900627
ZA 9008575	A	19910828	ZA 1990-8575	19901025
IL 118659	A1	19990620	IL 1992-118659	19920226
EP 575490	A1	19931229	EP 1992-908108	19920311
EP 575490	B1	19990804		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06505751	T2	19940630	JP 1992-508317	19920311
JP 2522628	B2	19960807		
AU 664989	B2	19951214	AU 1992-15882	19920311
US 5296468	A	19940322	US 1993-6729	19930121
US 5352796	A	19941004	US 1993-78965	19930617
KR 123009	B1	19971124	KR 1993-72730	19930913
US 5744450	A	19980428	US 1995-460246	19950602

PRIORITY APPLN. INFO.:
US 1989-428827 B2 19891030
US 1990-545239 A2 19900627
US 1991-669695 B2 19910314
US 1993-6729 A2 19930121
US 1993-78965 A2 19930617
IL 1992-101074 A3 19920226
WO 1992-US1921 W 19920311
US 1994-210619 A3 19940318

OTHER SOURCE(S): MARPAT 126:89780
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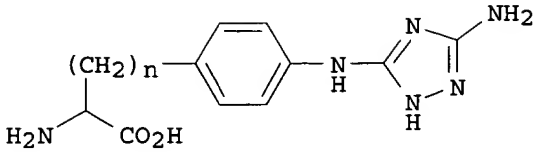

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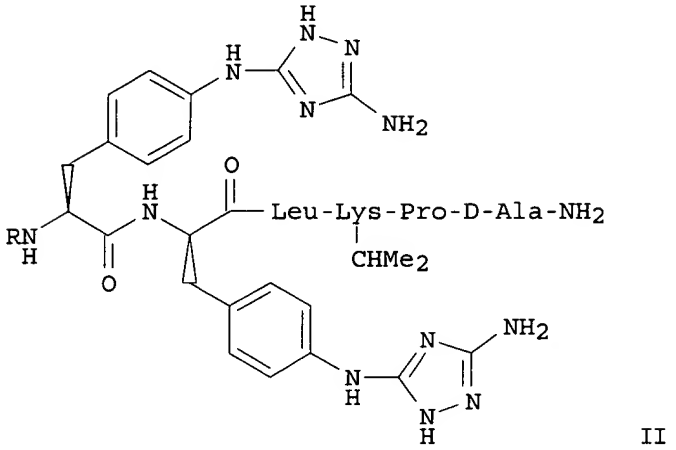

II

AB Peptides which include unnatural amino acids and which either promote or inhibit the secretion of gonadotropins by the pituitary gland and inhibit the release of steroids by the gonads. Administration of an effective amt. of such peptides that are GnRH antagonists prevents ovulation of female mammalian eggs and/or the release of steroids by the gonads and may be used to treat steroid-dependent tumors. The agonists can be used for control of reprodn. processes, to treat precocious puberty, endometriosis, and the like. The peptides are analogs of the decapeptide GnRH wherein there is at least one residue of an unnatural amino acid in the 3-, 5-, 6- and/or 8-positions. Unnatural amino acids I (n = 1-3) are incorporated in a preferred group of synthesized peptides. Methods for synthesizing such peptides having the triazole side chains are disclosed wherein one side chain modification (or two simultaneously) is carried out on an amino-substituted phenylalanine residue in a peptide chain which is a part of a peptide resin. Thus, peptide II [R = Ac-D-Nal-D-Phe(4-Cl)-D-Pal; Nal = 3-(2-naphthyl)alanine; Phe(4-Cl) = 4-chlorophenylalanine; Pal = 3-(3-**pyridyl**)alanine], prepd. by std. solid-phase methods using N.alpha.-tert-butoxycarbonyl (Boc) protection on a MBHA resin support, inhibited ovulation in rats at doses of 2.5 and 1.0 .mu.g.

IT **137280-90-1P 156431-17-3P 156431-18-4P**
156431-19-5P 156431-20-8P 156431-21-9P
156431-22-0P 156431-23-1P 156431-24-2P
156431-25-3P 156468-19-8P 156468-20-1P
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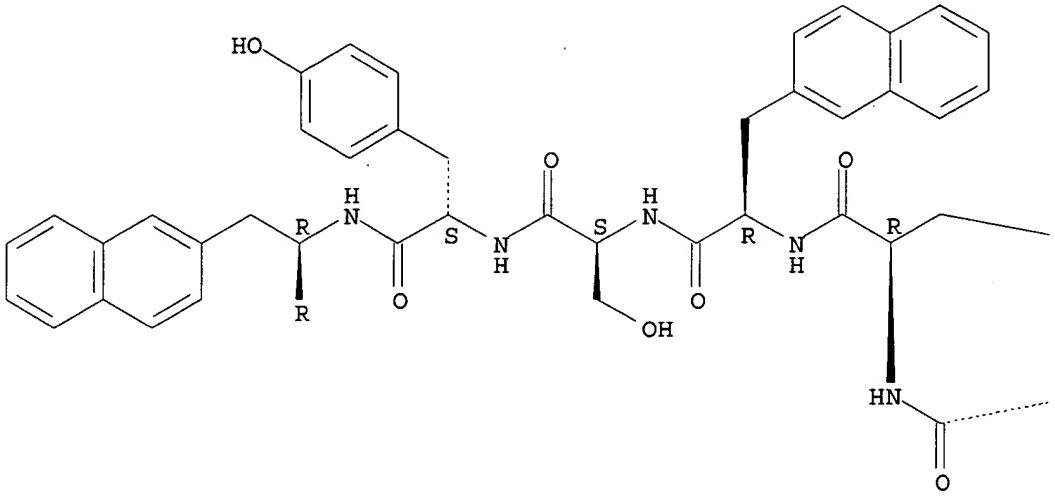
164332-58-5P 164332-59-6P 164332-61-0P
164332-63-2P 164332-64-3P 164332-66-5P
185624-76-4P 185624-81-1P 185624-94-6P
185625-06-3P 185625-20-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminotriazole-contg. peptides as GnRH analogs)

RN 137280-90-1 CAPLUS

CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-3-(2-naphthalenyl)-D-alanyl-L-seryl-L-tyrosyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-N6-[(butylamino)(cyanoamino)methylene]-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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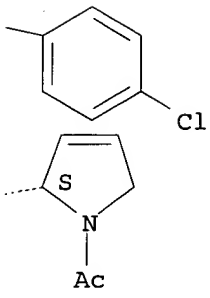

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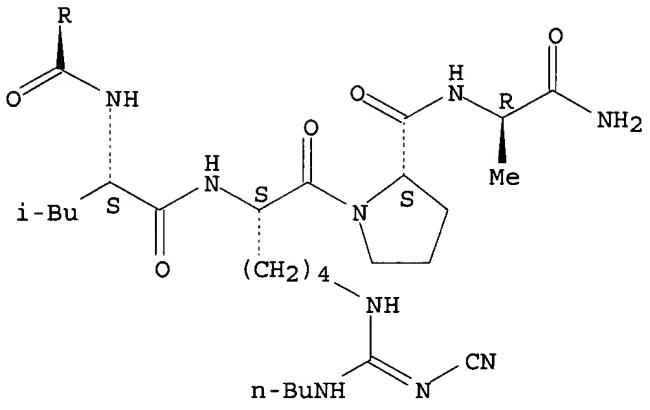

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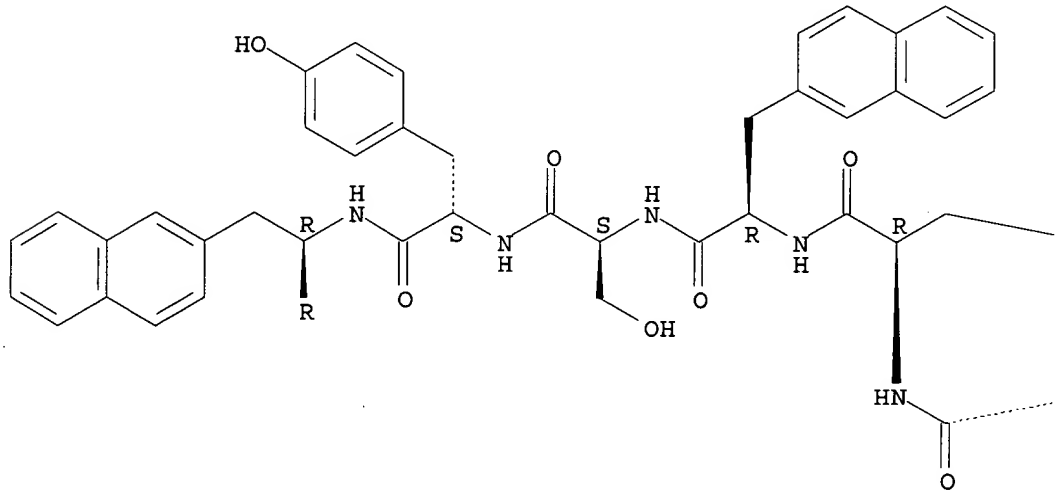
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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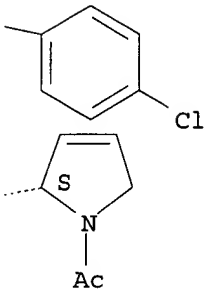

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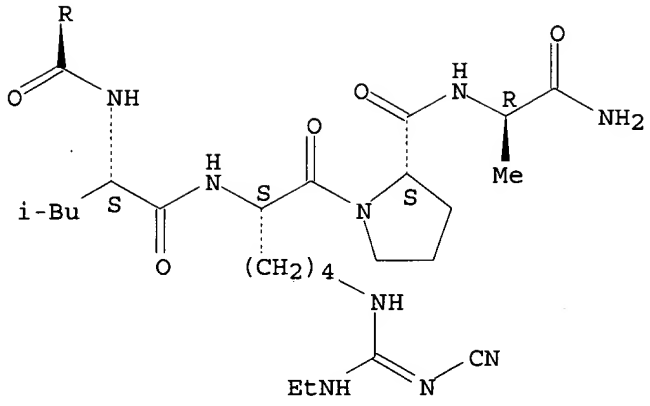

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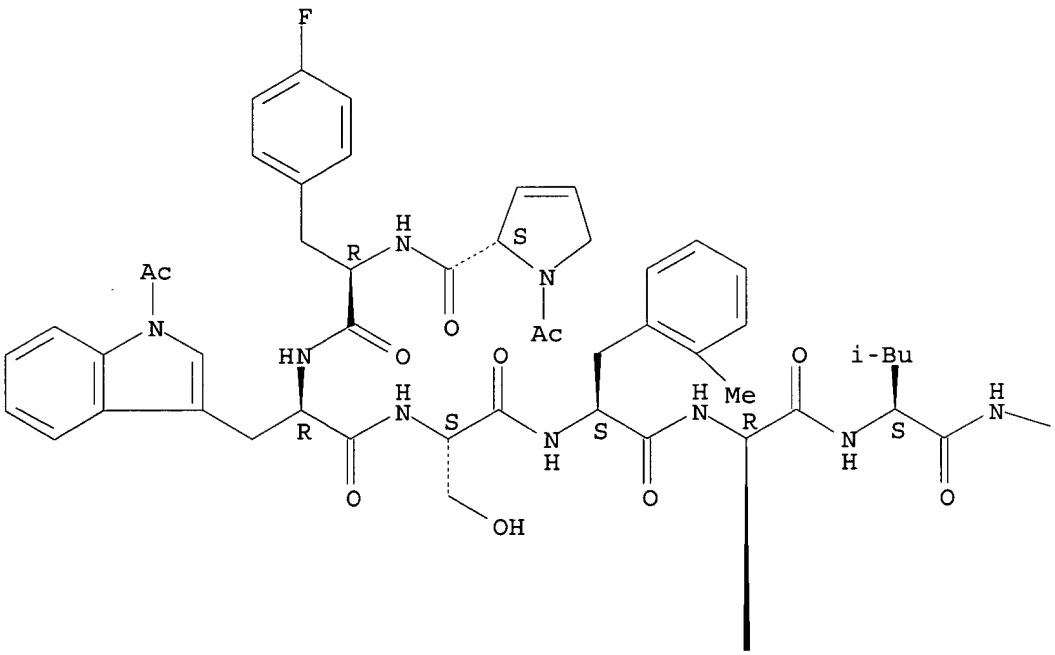
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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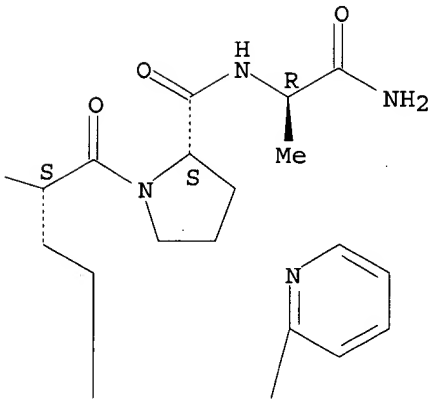

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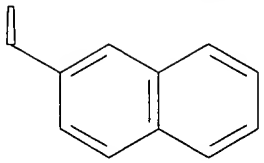

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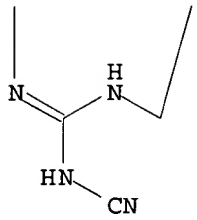

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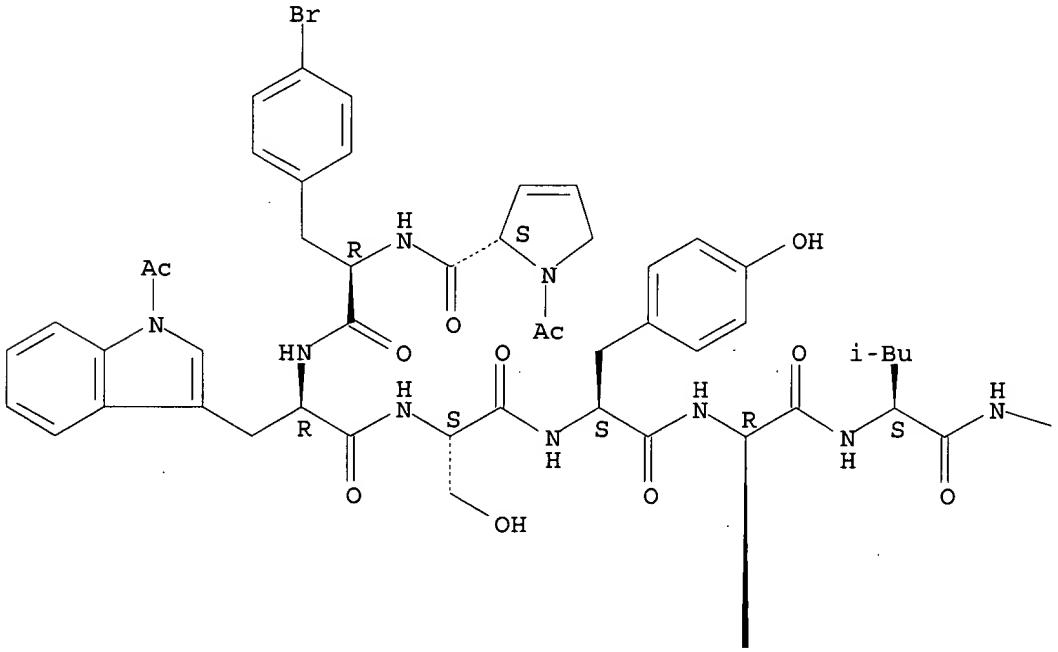
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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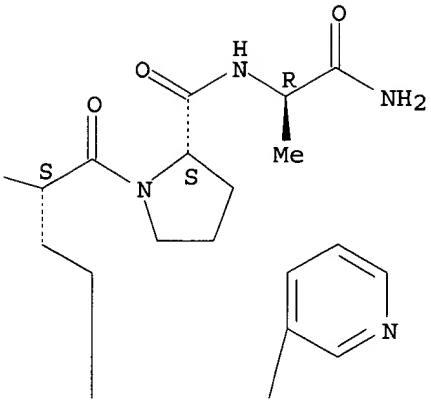

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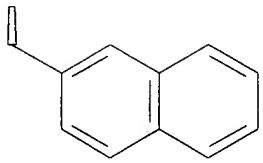

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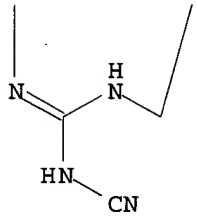

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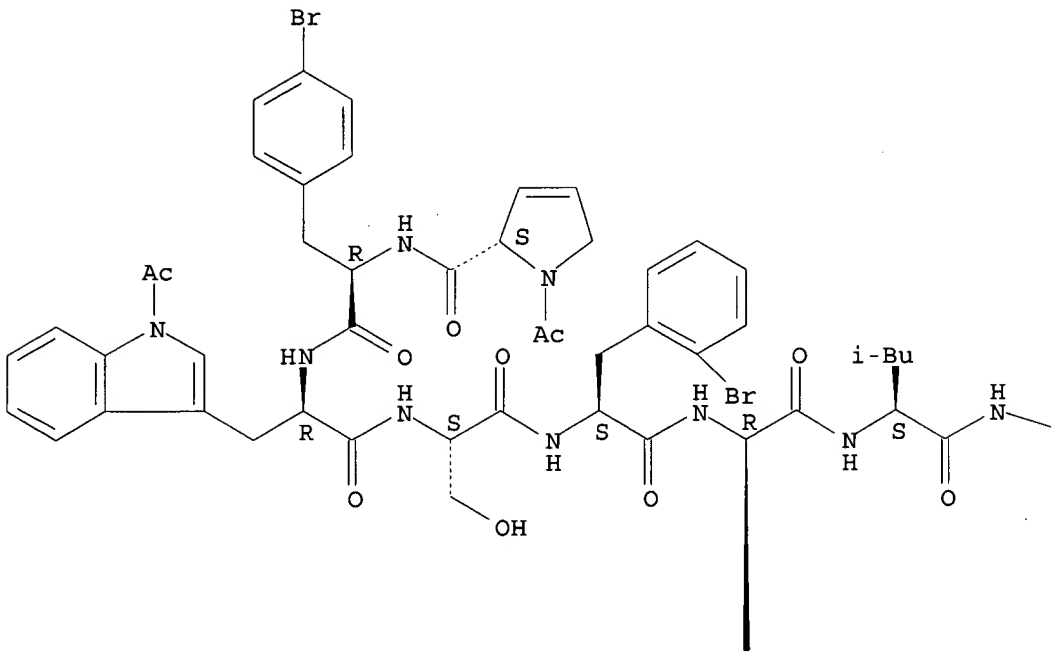
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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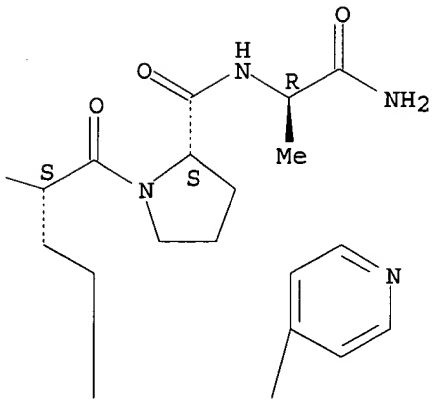

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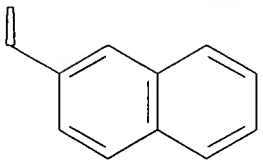

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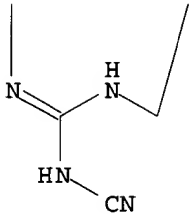

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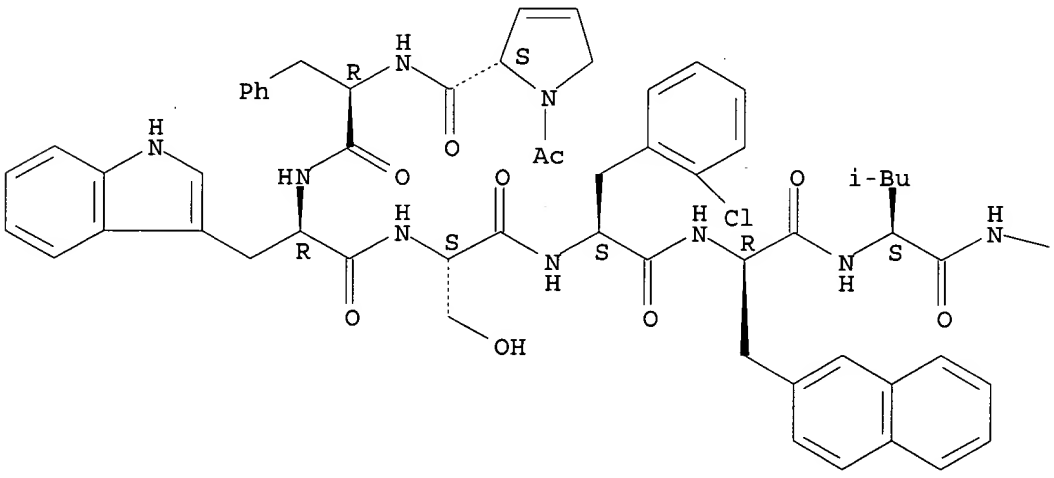
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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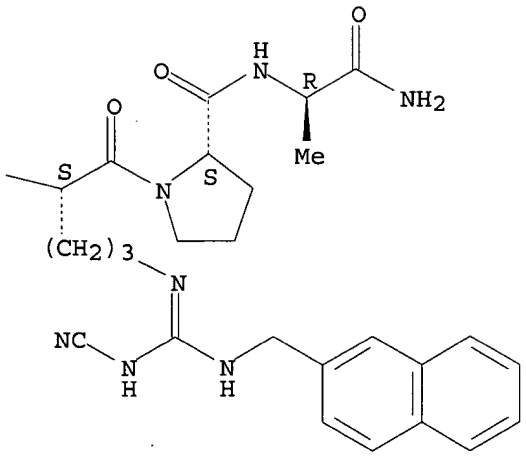

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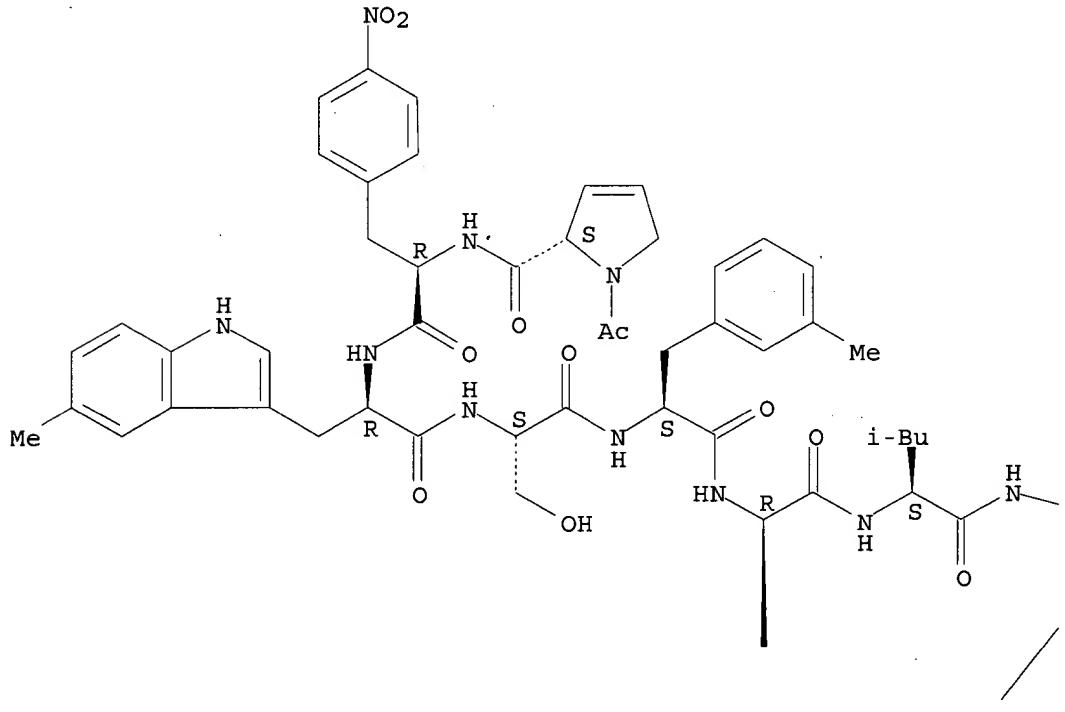
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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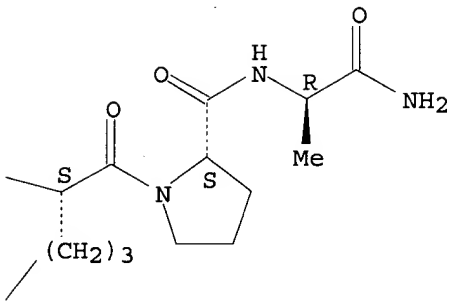

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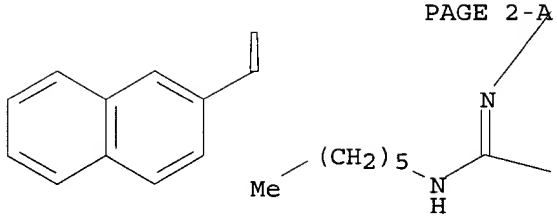

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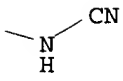

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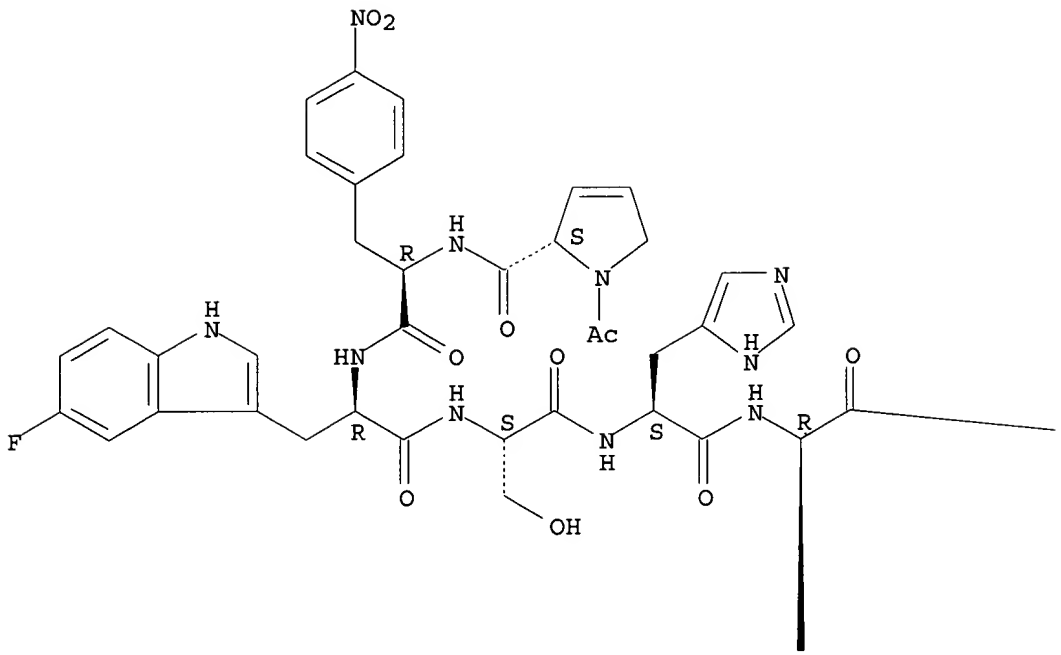
Absolute stereochemistry.

PAGE 1-A



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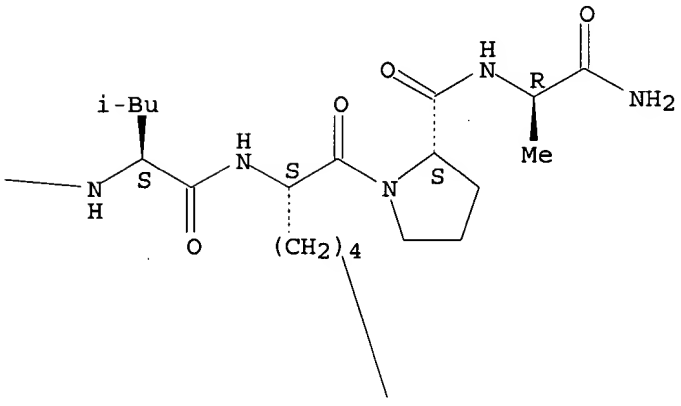

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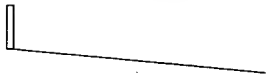

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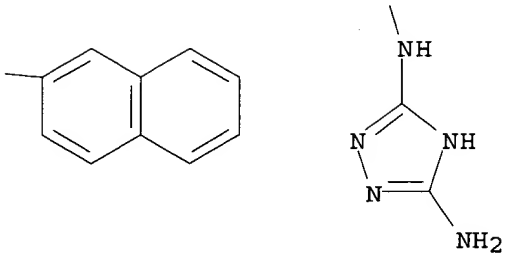

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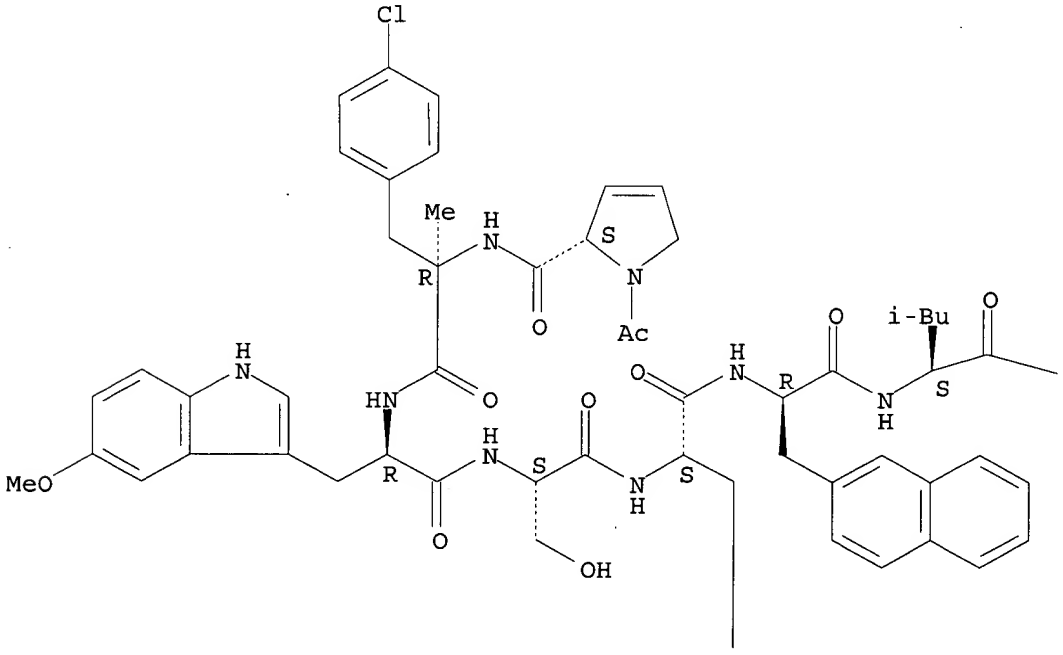
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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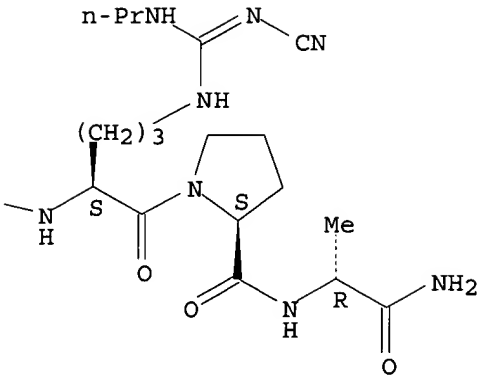

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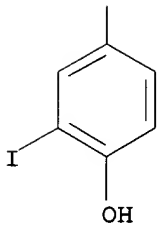

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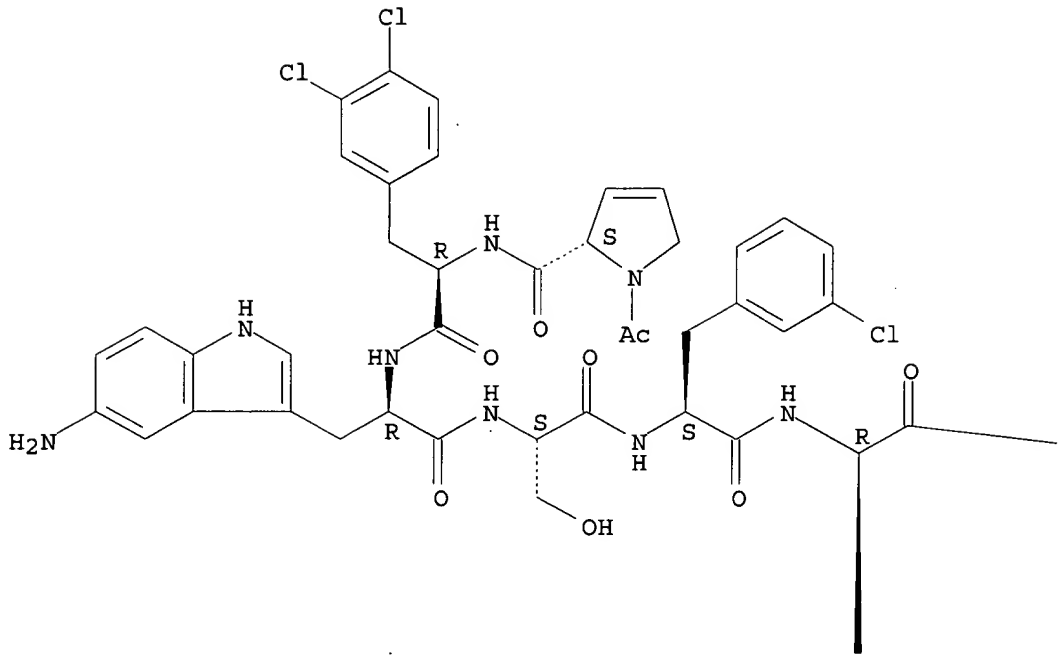
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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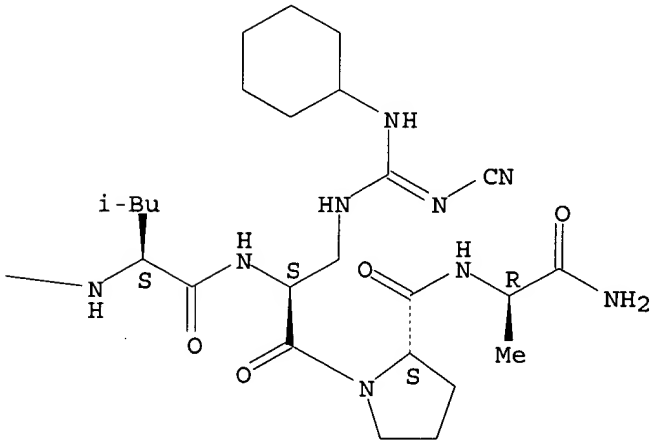

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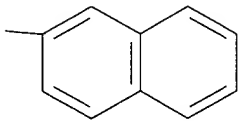
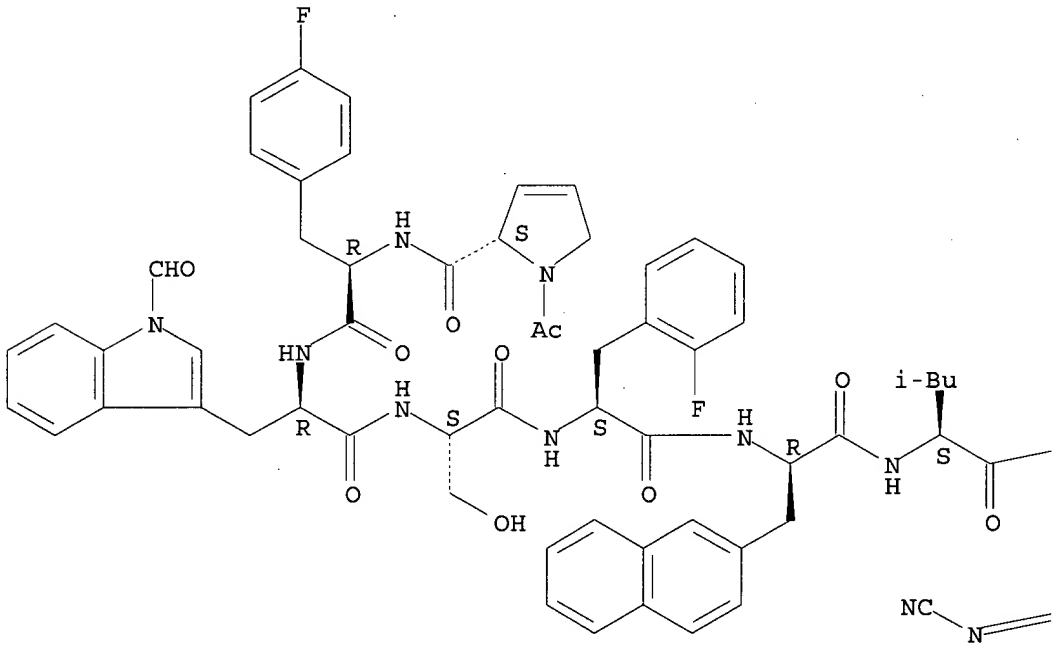


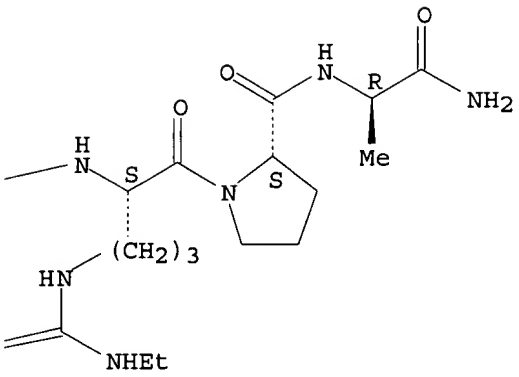
RN 156468-19-8 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-fluoro-D-phenylalanyl-1-formyl-D-tryptophyl-L-seryl-2-fluoro-L-phenylalanyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-N5-[(cyanoamino)(ethylamino)methylene]-L-ornithyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



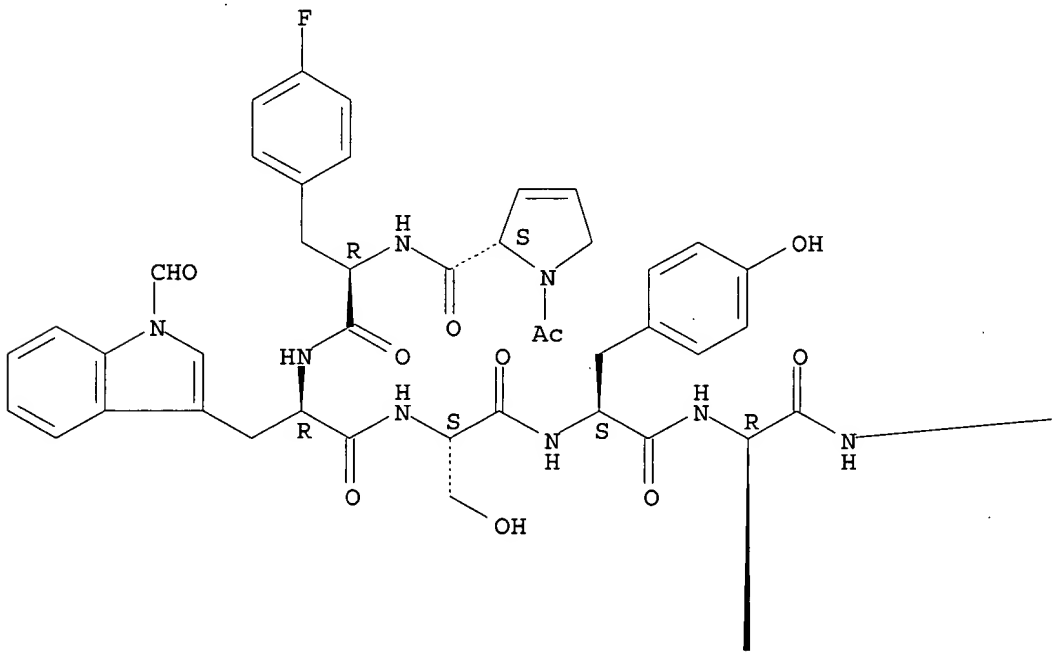
PAGE 1-B



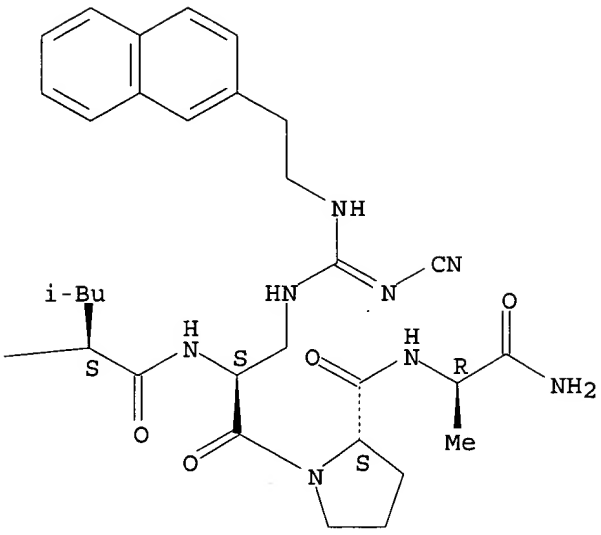
RN 156468-20-1 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-fluoro-D-phenylalanyl-1-formyl-D-tryptophyl-L-seryl-L-tyrosyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-3-[[(cyanoamino)[[2-(2-naphthalenyl)ethyl]amino]methylene]amino]-D-alanyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

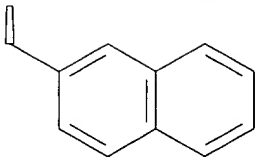
PAGE 1-A



PAGE 1-B



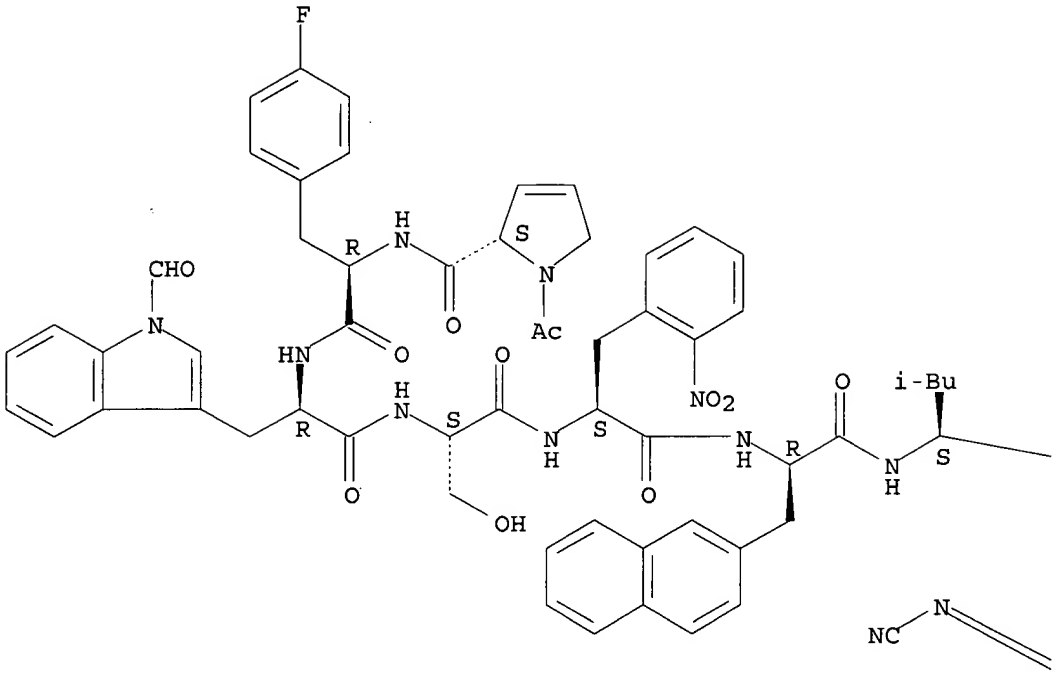
PAGE 2-A



RN 156468-21-2 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-fluoro-D-phenylalanyl-1-formyl-D-tryptophyl-L-seryl-2-nitro-L-phenylalanyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-(2S)-2-amino-4-[[(cyanoamino)[(1-methylethyl)amino]methylene]amino]butanoyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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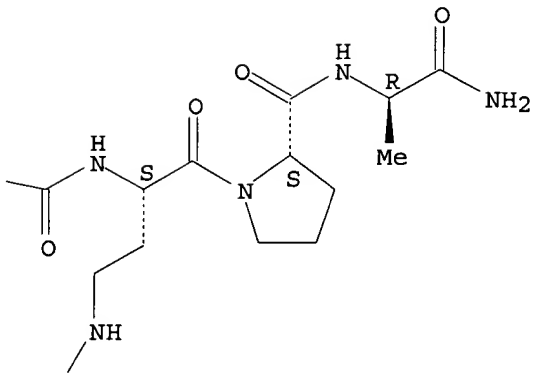

PAGE 2-A

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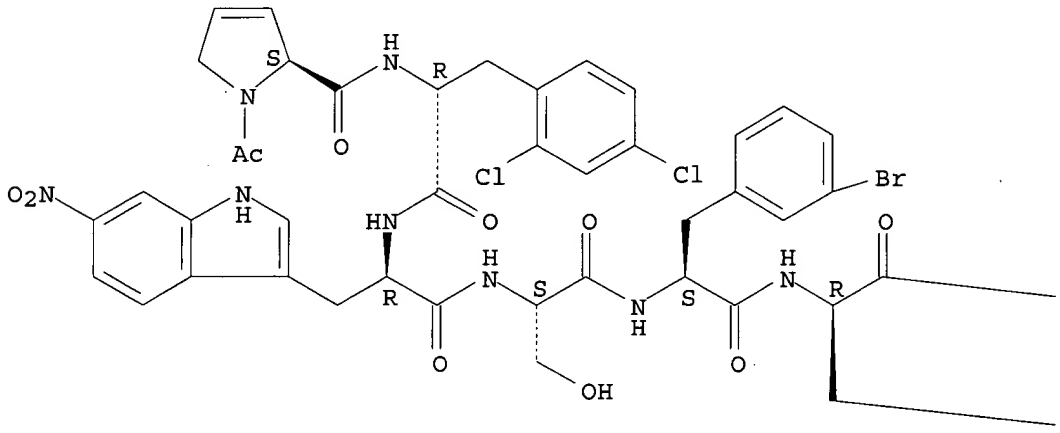
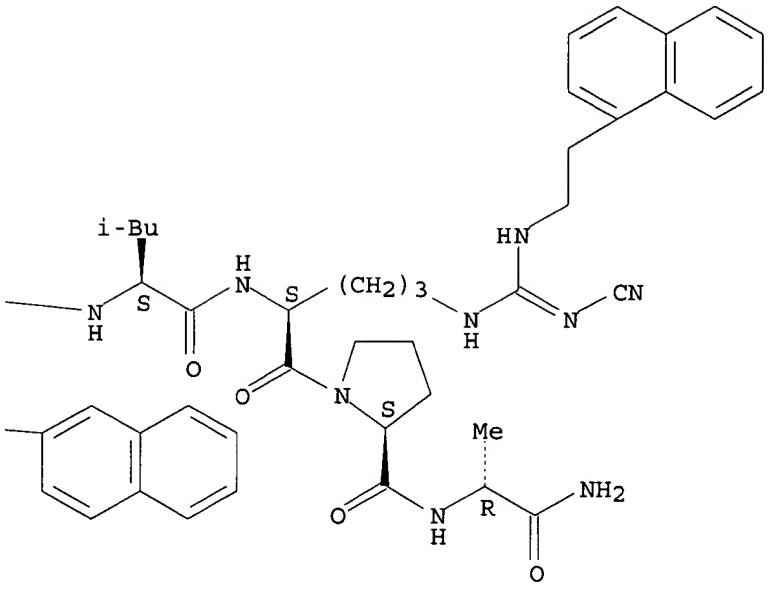
RN 164332-51-8 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-2,4-dichloro-D-phenylalanyl-6-nitro-D-tryptophyl-L-seryl-3-bromo-L-phenylalanyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-N5-[(cyanoamino)[[2-(1-naphthalenyl)ethyl]amino]methylene]-L-ornithyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



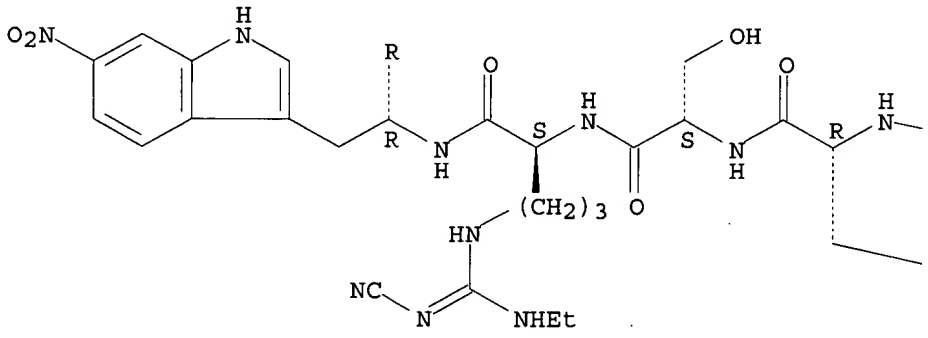
PAGE 1-B



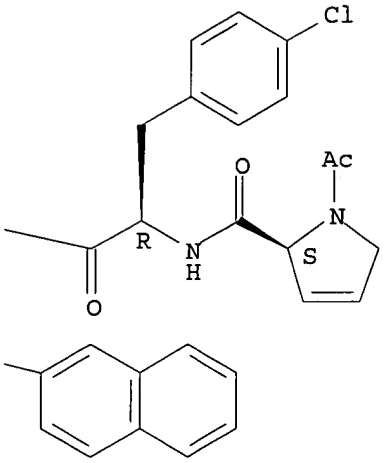
RN 164332-57-4 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-3-(2-naphthalenyl)-D-alanyl-L-seryl-N5-[(cyanoamino)(ethylamino)methylene]-L-ornithyl-6-nitro-D-tryptophyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

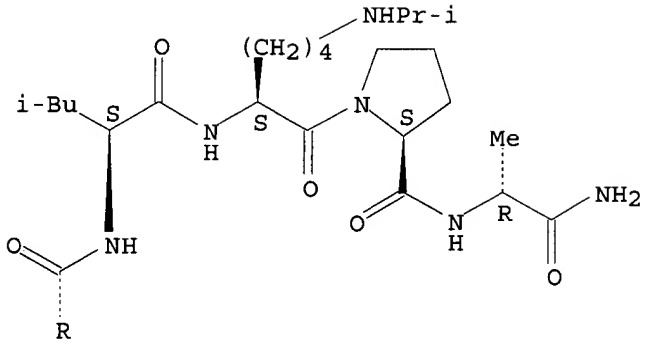
PAGE 1-A



PAGE 1-B



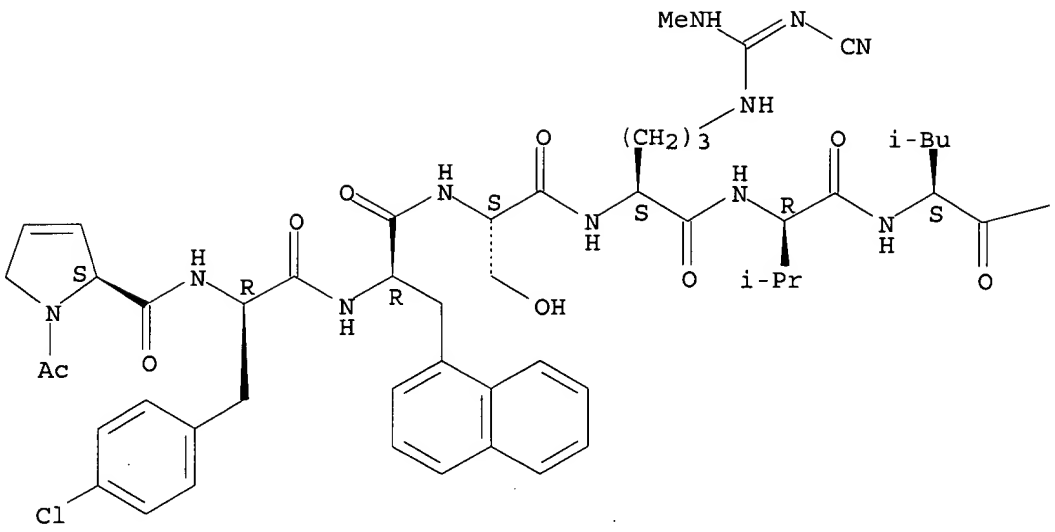
PAGE 2-A



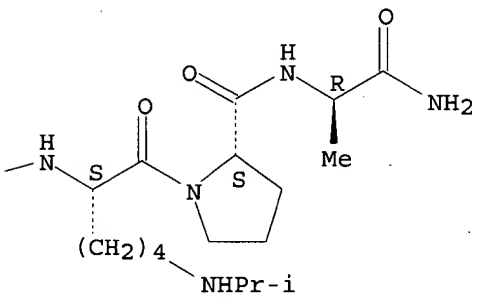
RN 164332-58-5 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-3-(1-naphthalenyl)-D-alanyl-L-seryl-N5-[(cyanoamino)(methylamino)methylene]-L-ornithyl-D-valyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



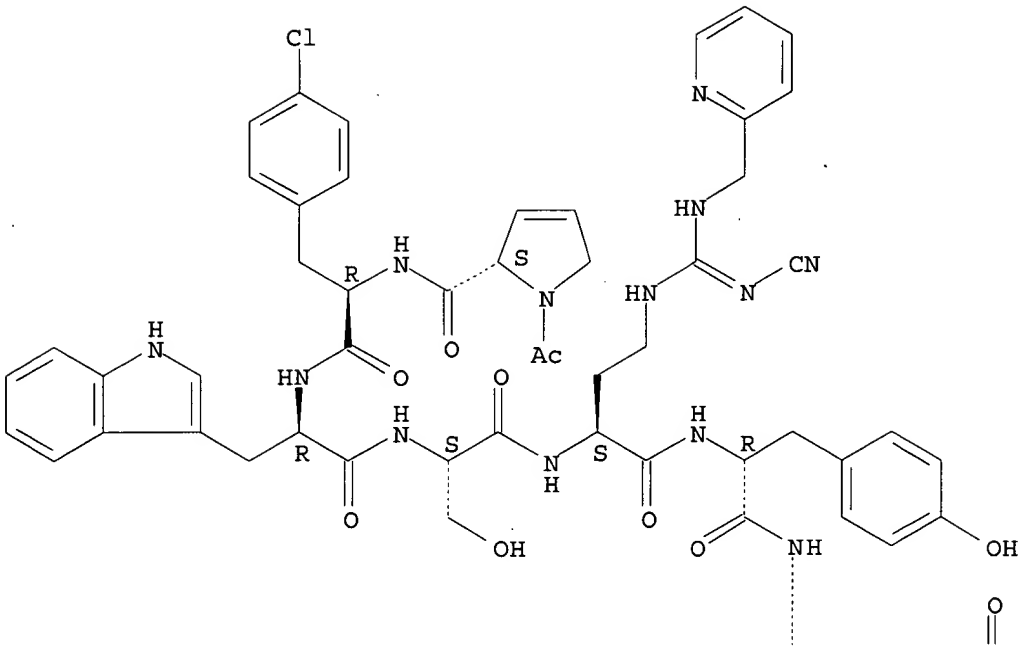
PAGE 1-B



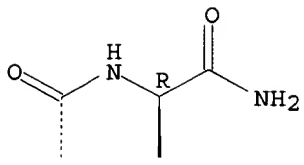
RN 164332-59-6 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-D-tryptophyl-L-seryl-(2S)-2-amino-4-[[(cyanoamino)[(2-pyridinylmethyl)amino]methylene]amino]butanoyl-D-tyrosyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

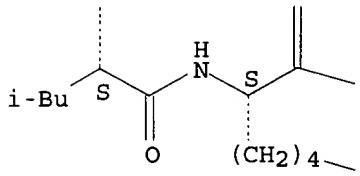
PAGE 1-A



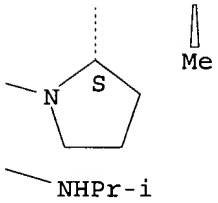
PAGE 1-B



PAGE 2-A



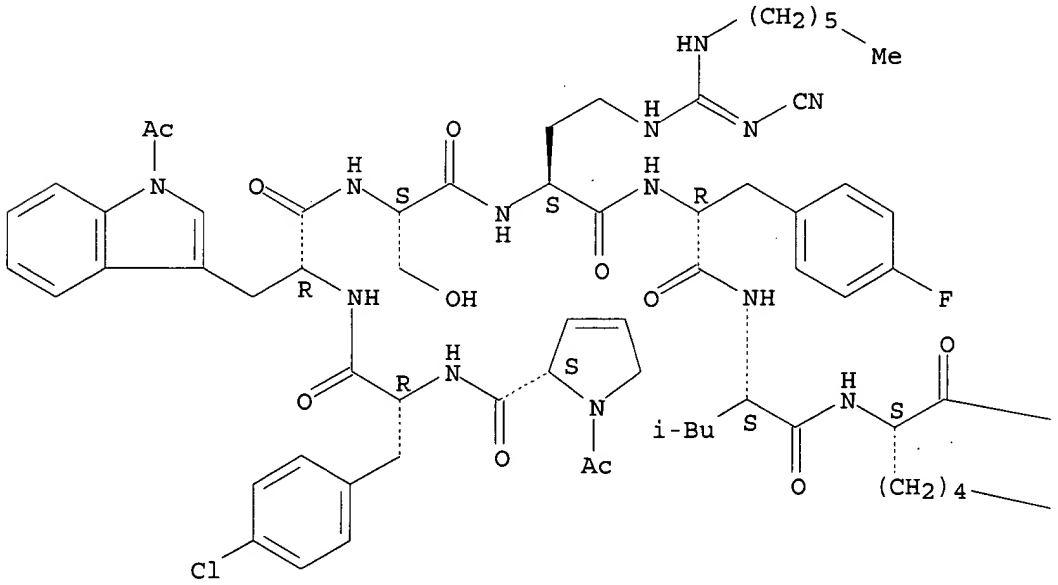
PAGE 2-B



RN 164332-61-0 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-1-acetyl-D-tryptophyl-L-seryl-(2S)-2-amino-4-[[(cyanoamino)(hexylamino)methylene]amino]butanoyl-4-fluoro-D-phenylalanyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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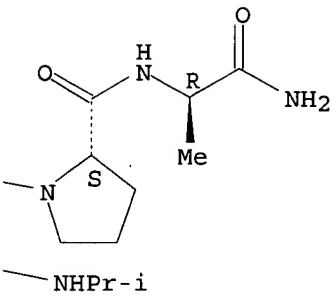

RN 164332-63-2 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-D-tryptophyl-L-seryl-L-tyrosyl-N6-[(butylamino)(cyanoamino)methylene]-D-lysyl-L-leucyl-N6-[(butylamino)(cyanoamino)methylene]-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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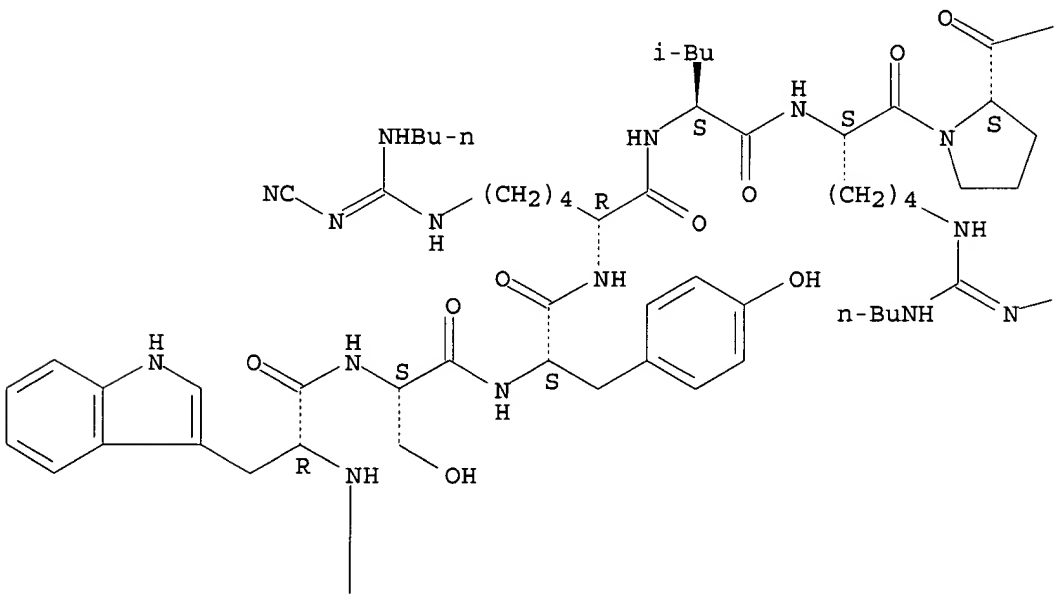

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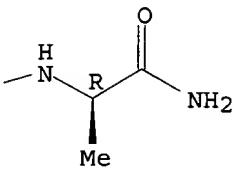

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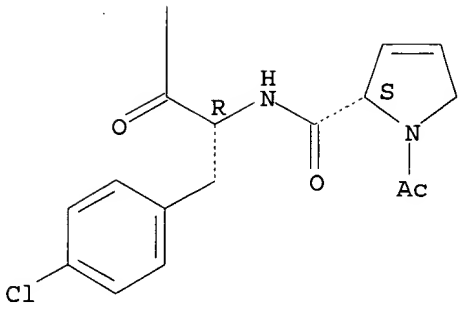
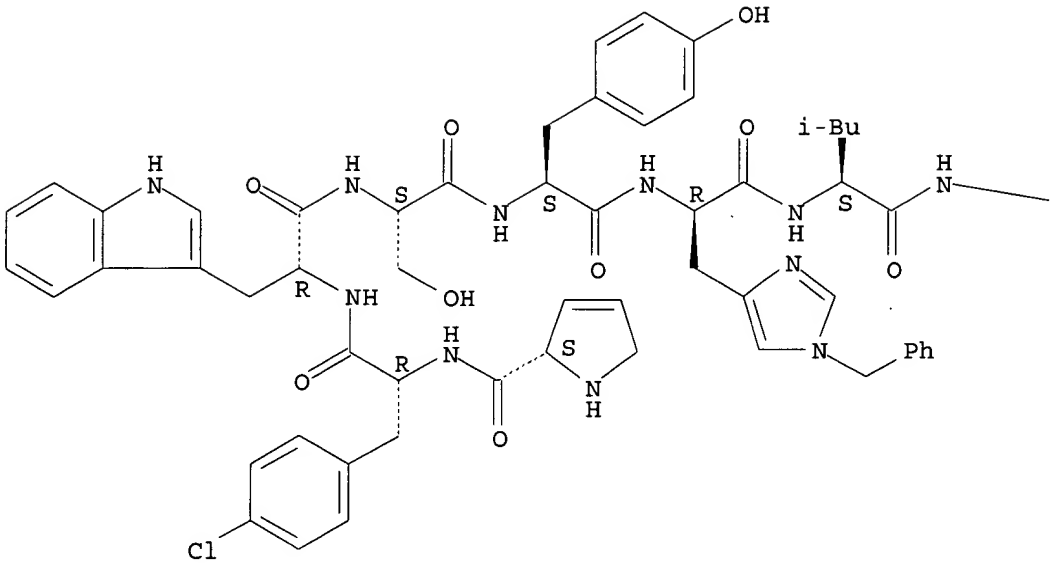


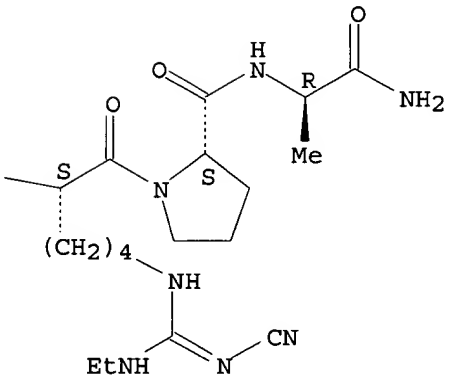
RN 164332-64-3 CAPLUS
CN D-Alaninamide, 3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-D-tryptophyl-L-seryl-L-tyrosyl-1-(phenylmethyl)-D-histidyl-L-leucyl-N6-[(cyanoamino)(ethylamino)methylene]-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



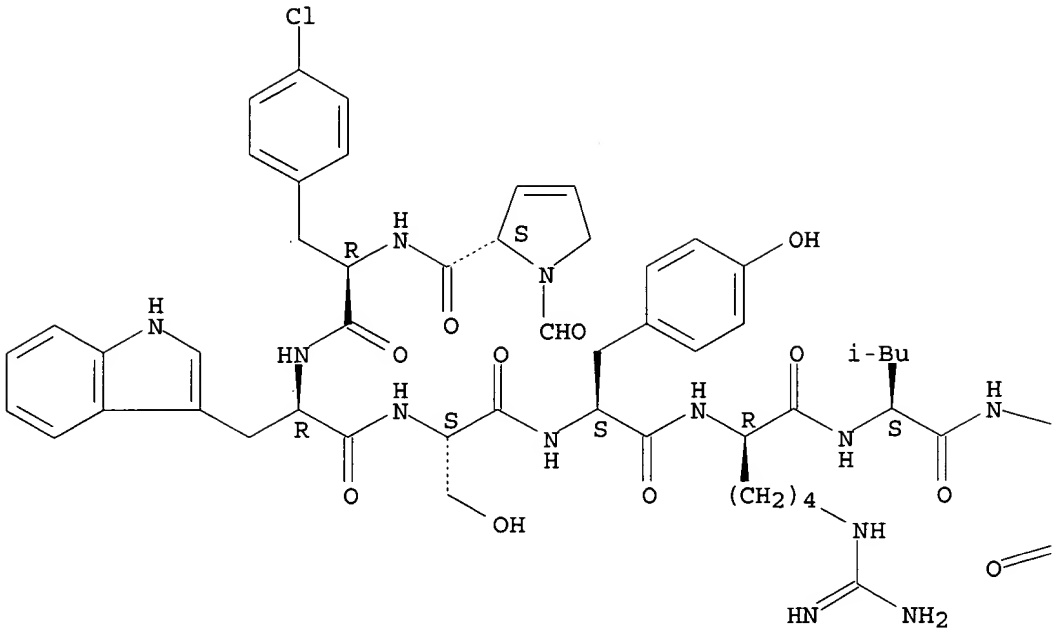
PAGE 1-B



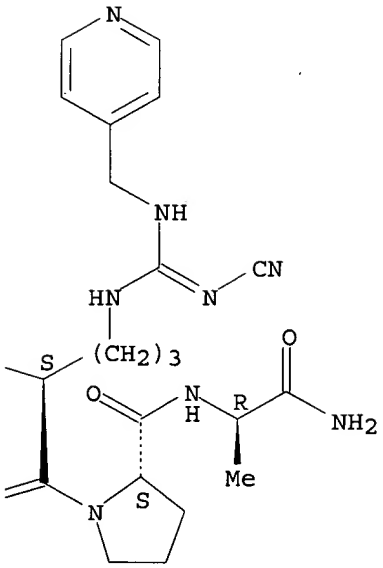
RN 164332-66-5 CAPLUS
CN D-Alaninamide, 3,4-didehydro-1-formyl-L-prolyl-4-chloro-D-phenylalanyl-D-tryptophyl-L-seryl-L-tyrosyl-N6-(aminoiminomethyl)-D-lysyl-L-leucyl-N5-[(cyanoamino)[(4-pyridinylmethyl)amino]methylene]-L-ornithyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



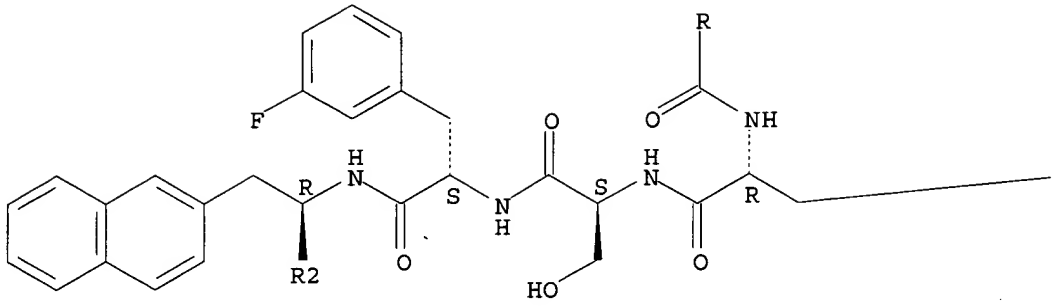
PAGE 1-B



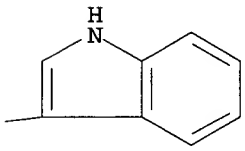
RN 185624-76-4 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-2,4-dichloro-D-phenylalanyl-D-tryptophyl-L-seryl-3-fluoro-L-phenylalanyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-3-[[(cyanoamino)[[2-(1H-indol-3-yl)ethyl]amino]methylene]amino]-L-alanyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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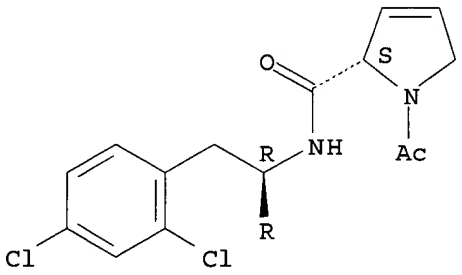
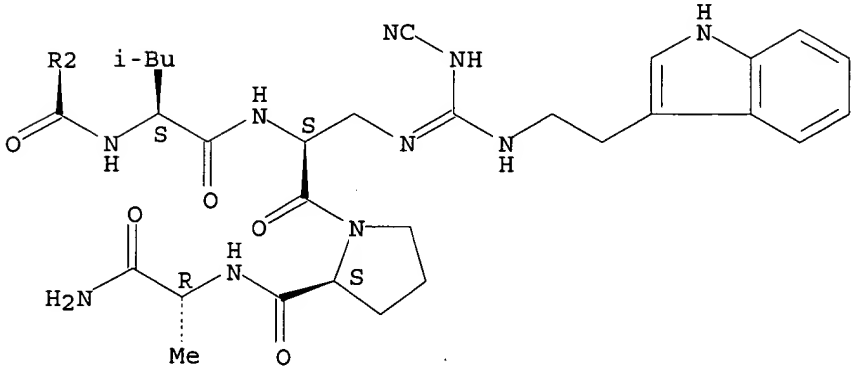


PAGE 3-A



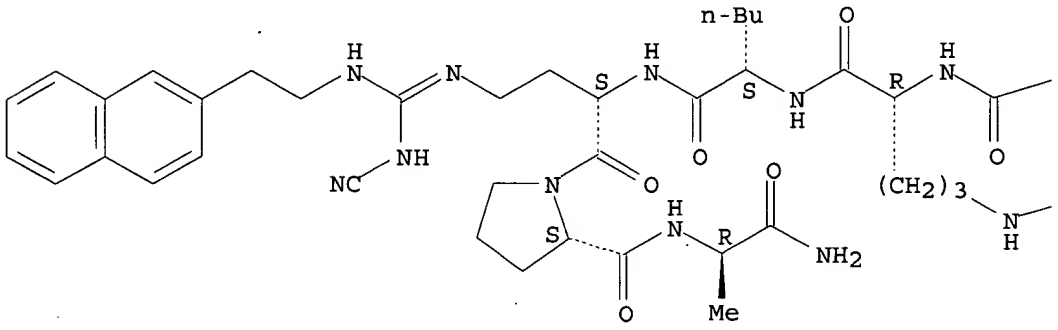
RN 185624-81-1 CAPLUS

09/ 964,161

CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-D-arginyl-L-norleucyl-(2S)-2-amino-4-[[(cyanoamino)[[2-(2-naphthalenyl)ethyl]amino]methylene]amino]butanoyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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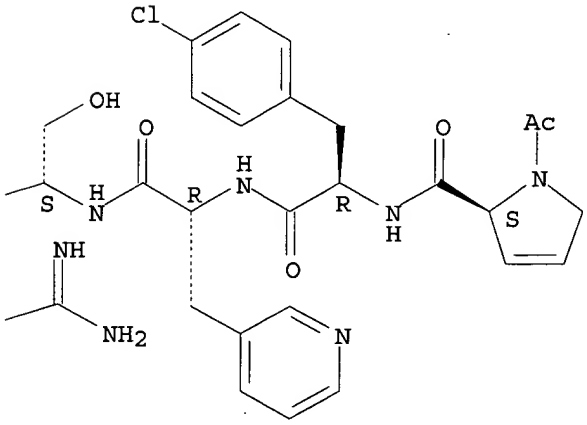
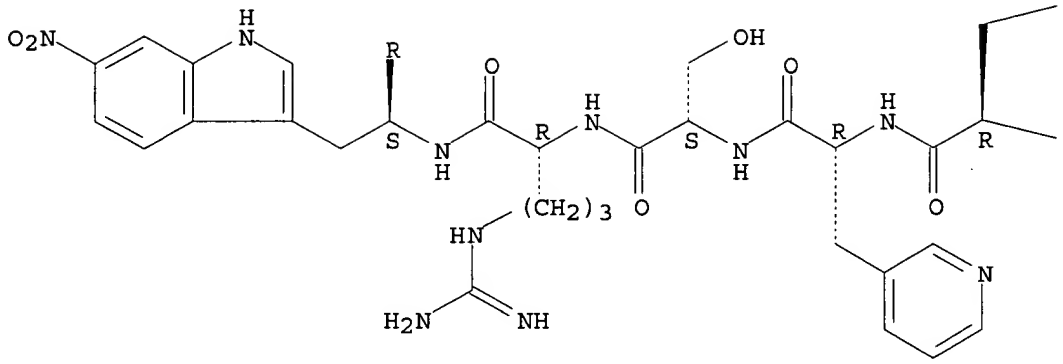


RN 185624-94-6 CAPLUS

CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-D-arginyl-6-nitro-D-tryptophyl-(2S)-2-amino-4-[[(cyanoamino)[[2-(1H-imidazol-4-yl)ethyl]amino]methylene]amino]butanoyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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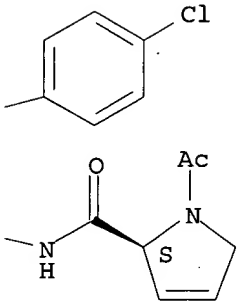

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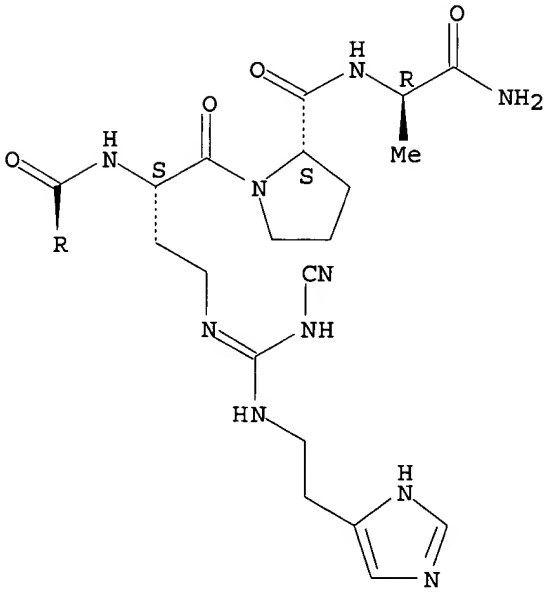
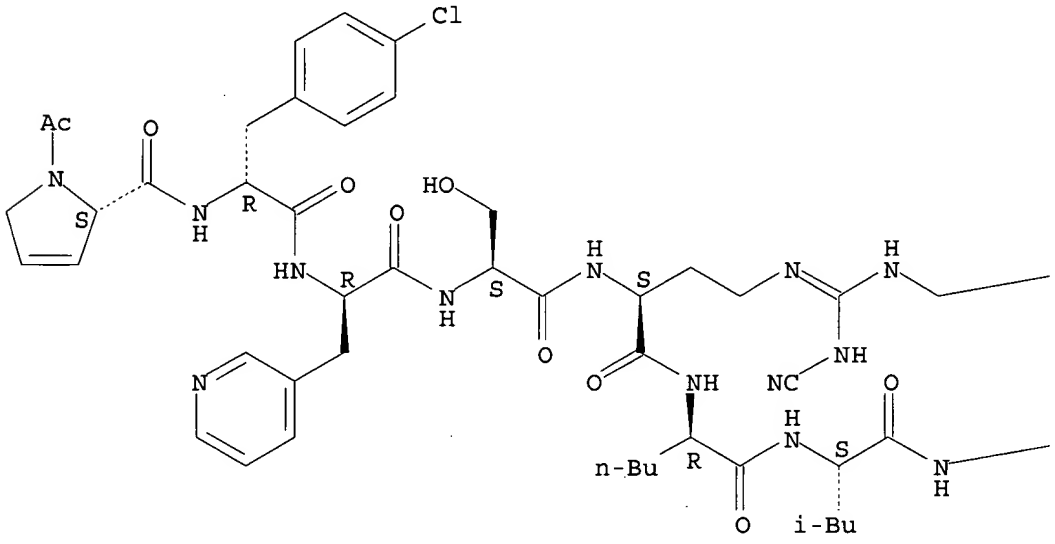


RN 185625-06-3 CAPLUS
CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-(2S)-2-amino-4-[[(cyanoamino)[(4-pyridinylmethyl)amino]methylene]amino]butanoyl-D-norleucyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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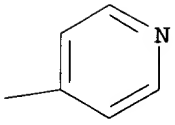

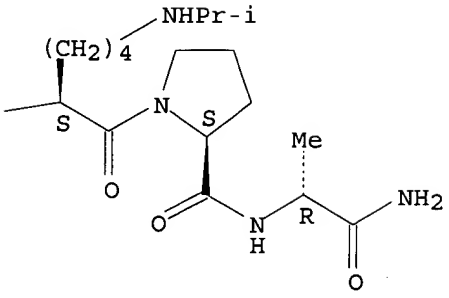

RN 185625-20-1 CAPLUS
CN D-Alaninamide, 3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-D-tryptophyl-

09/ 964,161

L-seryl-L-tyrosyl-D-alanyl-L-leucyl-N6-(5-amino-1H-1,2,4-triazol-3-yl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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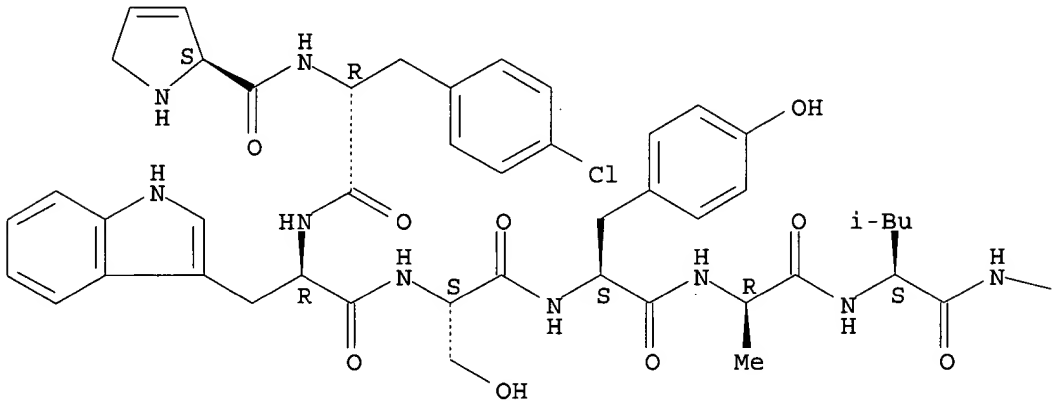
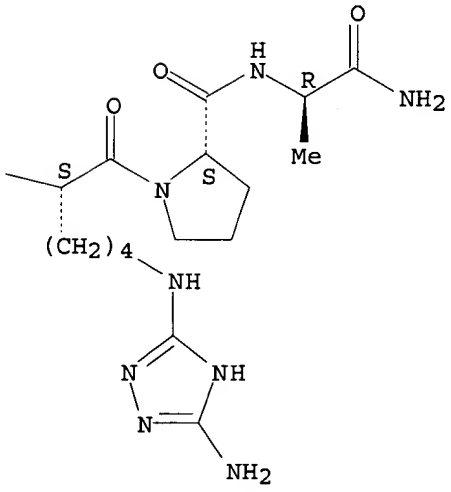


PAGE 1-B



L10 ANSWER 42 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:907619 CAPLUS
DOCUMENT NUMBER: 123:313557
TITLE: Preparation of phenoxyacetic acid derivatives and analogs as cell adhesion inhibitors
INVENTOR(S): Alig, Leo; Hadvary, Paul; Huerzeler Mueller, Marianne; Mueller, Marcel; Steiner, Beat; Weller, Thomas'
PATENT ASSIGNEE(S): F. Hoffman-La Roche AG, Switz.
SOURCE: Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

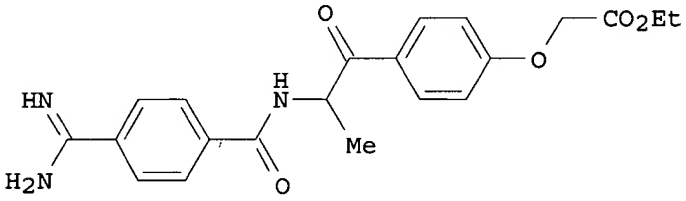
09/ 964,161

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 656348	A2	19950607	EP 1994-118645	19941126
EP 656348	A3	19950906		
EP 656348	B1	20000503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ZA 9409397	A	19950605	ZA 1994-9397	19941125
AT 192430	E	20000515	AT 1994-118645	19941126
ES 2147210	T3	20000901	ES 1994-118645	19941126
AU 9479090	A1	19950608	AU 1994-79090	19941129
AU 687905	B2	19980305		
HU 71332	A2	19951128	HU 1994-3441	19941130
SK 282058	B6	20011008	SK 1994-1458	19941130
US 5726185	A	19980310	US 1994-347736	19941201
FI 9405688	A	19950604	FI 1994-5688	19941202
NO 9404650	A	19950606	NO 1994-4650	19941202
CN 1112104	A	19951122	CN 1994-112842	19941202
CN 1075062	B	20011121		
LV 11318	B	19961020	LV 1994-234	19941202
RU 2151768	C1	20000627	RU 1994-42929	19941202
TW 472042	B	20020111	TW 1994-83111231	19941202
CZ 290024	B6	20020515	CZ 1994-3011	19941202
PL 183793	B1	20020731	PL 1994-306085	19941202
BR 9404867	A	19950801	BR 1994-4867	19941205
JP 07196592	A2	19950801	JP 1994-300553	19941205
JP 2901509	B2	19990607		
US 5973188	A	19991026	US 1997-963413	19971103
FI 2001001980	A	20011011	FI 2001-1980	20011011

PRIORITY APPLN. INFO.: CH 1993-3609 A 19931203
CH 1994-3198 A 19941025
US 1994-347736 A3 19941201

OTHER SOURCE(S): MARPAT 123:313557
GI



I

AB LCOMZCH2COT [L = ACOZ1CH(G), ACH2Z2CH(G), ANHCOCH(G), etc.; A = aryl or cycloalkylalkyl groups Q1,Q2, etc.; D = (CH2)1-4, (CH2)0-3O; G = H, amino acid side chain; M = 1,4-piperidinylene, (un)substituted 1,4-phenylene; R = R1NHC(:NR2), R1NHCH2, etc.; R1,R2 = H, alkyl, alkoxy, etc.; R1R2 = atoms to complete a 5,5-dimethyl- or 5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl group; T = NH2, OH, alkoxy, etc.; 1 of X,Y = CH and the other = CH, N, etc.; Z = O, CH2, NH, etc.; Z1 = (alkyl- or alkoxycarbonyl-substituted) CH2, (alkyl)imino, etc.; Z2 = O, (acyl)imino; m,n = 0-5] were prepd. Thus, (S)-4-(HO)C6H4COCHMeNHCO2CMe3 was etherified by BrCH2CO2Et and the deprotected product N-acylated by 4-[H2N(Me3CMe2SiON:)C]C6H4CO2H to give, after deprotection, title compd. (S)-I which had ED50 of 0.2mg/kg orally in mice for prodn. of plasma capable of inhibiting aggregation of human platelet-rich plasma.

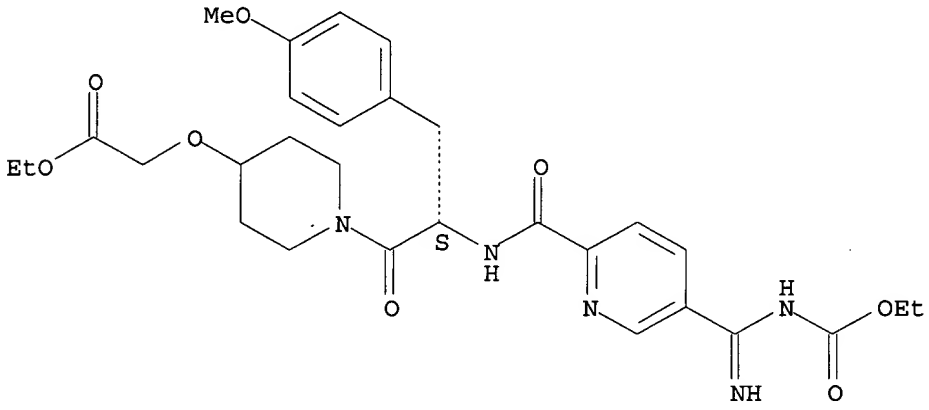
IT **170094-42-5P 170094-59-4P 170094-60-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenoxyacetic acid derivs. and analogs as cell adhesion inhibitors)

RN 170094-42-5 CAPLUS

CN Acetic acid, [[1-[2-[[[5-[[(ethoxycarbonyl)amino]iminomethyl]-2-pyridinyl]carbonyl]amino]-3-(4-methoxyphenyl)-1-oxopropyl]-4-piperidinyl]oxy]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

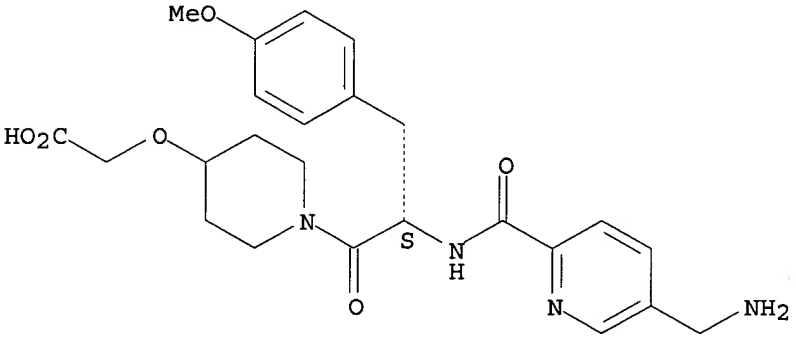
Absolute stereochemistry.



RN 170094-59-4 CAPLUS

CN Acetic acid, [[1-[2-[[[5-(aminomethyl)-2-pyridinyl]carbonyl]amino]-3-(4-methoxyphenyl)-1-oxopropyl]-4-piperidinyl]oxy]-, (S)- (9CI) (CA INDEX NAME)

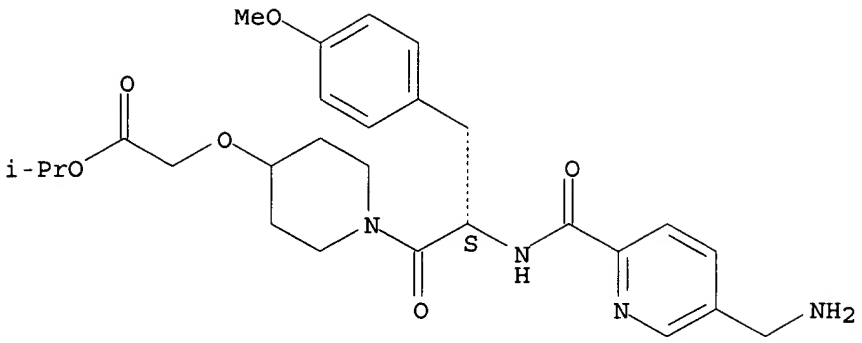
Absolute stereochemistry.



RN 170094-60-7 CAPLUS

CN Acetic acid, [[1-[2-[[[5-(aminomethyl)-2-pyridinyl]carbonyl]amino]-3-(4-methoxyphenyl)-1-oxopropyl]-4-piperidinyl]oxy]-, 1-methylethyl ester, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

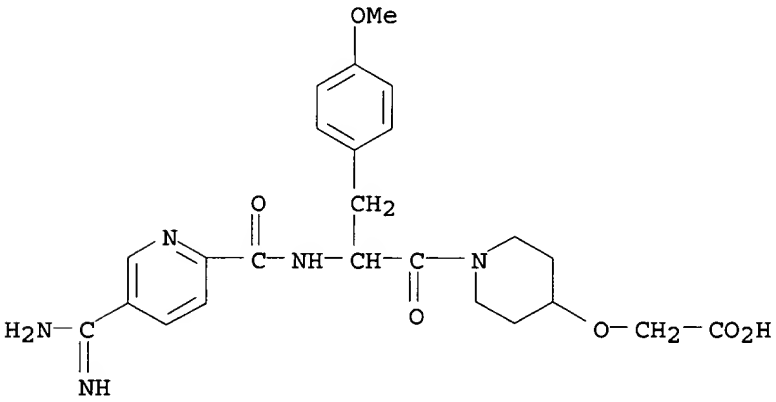
Absolute stereochemistry.



● HCl

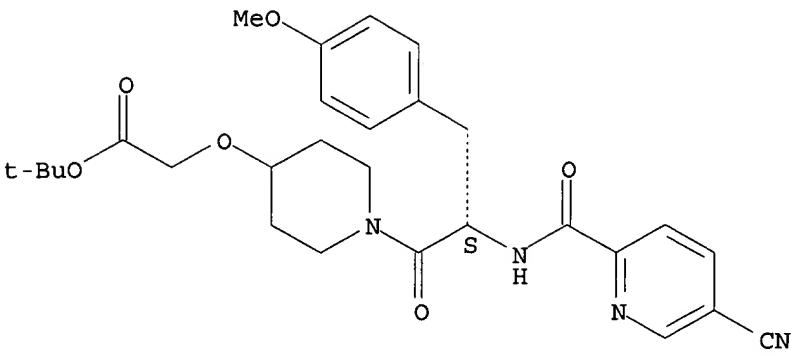
IT **146119-20-2 170097-74-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of phenoxyacetic acid derivs. and analogs as cell adhesion inhibitors)

RN 146119-20-2 CAPLUS
CN Acetic acid, [[1-[2-[[[5-(aminoiminomethyl)-2-pyridinyl]carbonyl]amino]-3-(4-methoxyphenyl)-1-oxopropyl]-4-piperidinyl]oxy]-, (S)- (9CI) (CA INDEX NAME)



RN 170097-74-2 CAPLUS
CN Acetic acid, [[1-[2-[[(5-cyano-2-pyridinyl)carbonyl]amino]-3-(4-methoxyphenyl)-1-oxopropyl]-4-piperidinyl]oxy]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

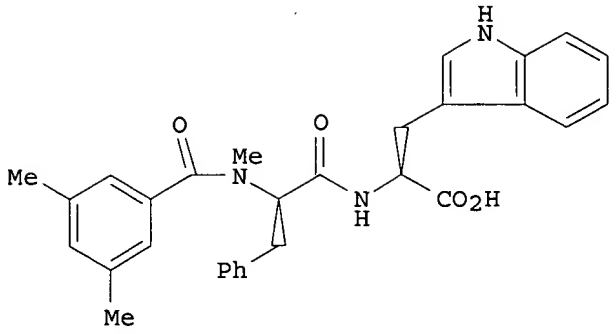
Absolute stereochemistry.



L10 ANSWER 43 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:887871 CAPLUS
DOCUMENT NUMBER: 123:340965
TITLE: Preparation of dipeptide analogs as endothelin receptor antagonists.
INVENTOR(S): Saika, Hideyuki; Murata, Toshiki; Pitterna, Thomas; Frueh, Thomas; Svensson, Lene D.; Urade, Yoshihiro; Yamamura, Takaki; Okada, Toshikazu
PATENT ASSIGNEE(S): Japat Ltd., Switz.; Ciba-Geigy Japan Ltd.
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9512611	A1	19950511	WO 1994-EP3418	19941017
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2173875	AA	19950511	CA 1994-2173875	19941017
AU 9478565	A1	19950523	AU 1994-78565	19941017
AU 691201	B2	19980514		
EP 728145	A1	19960828	EP 1994-929557	19941017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9407933	A	19961126	BR 1994-7933	19941017
JP 09504302	T2	19970428	JP 1994-512982	19941017
RU 2126418	C1	19990220	RU 1996-112148	19941017
ZA 9408541	A	19950502	ZA 1994-8541	19941031
FI 9601804	A	19960430	FI 1996-1804	19960426
NO 9601725	A	19960429	NO 1996-1725	19960429
US 5780498	A	19980714	US 1996-637720	19960430

PRIORITY APPLN. INFO.: EP 1993-810760 A 19931101
WO 1994-EP3418 W 19941017
OTHER SOURCE(S): MARPAT 123:340965
GI



I

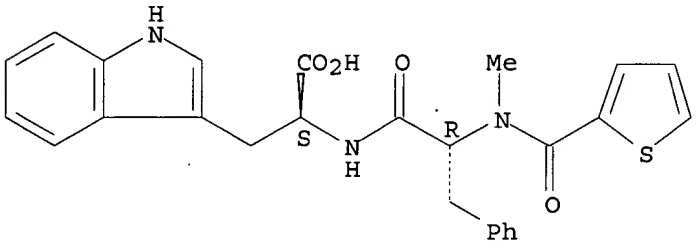
AB R1CONR2CH(CR3R31R311)C(X)YCHR4R5 [R1 = alkyl, cycloalkylalkyl, aralkyl, cycloalkyl, aryl, arylcycloalkyl, alkoxy, aryloxy, heteroaryl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl; R3, R31 = H, alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; R3R31 = atoms to form a ring; R311 = H, alkyl, aryl; R2R311 = (CH2)n, (CH2)pAr; n = 1, 2, 3; p = 0, 1, 2; Ar = (hetero)arylene; X = O, S, NH, NHOH, CH2, etc.; Y = bond, O, CH2, imino; or X = (H, OH) and Y = bond, CH2; R4 = (CH2)sAr1; s = 0, 1, 2, 3; Ar1 = (hetero)aryl; R5 = H, carboxy, (substituted) carboxamido, PO(OH)2, tetrazolyl, CH2OH, CN], were prepd. Thus, title compd. (I), prepd. by soln. phase means, inhibited endothelin-3 induced contraction of guinea pig trachea with pA2 = 6.3. Drug formulations contg. I are given.

IT **169545-05-5P 169545-06-6P 169545-07-7P**
169545-15-7P 169545-16-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dipeptide analogs as endothelin receptor antagonists)

RN 169545-05-5 CAPLUS

CN L-Tryptophan, N-[N-methyl-N-(2-thienylcarbonyl)-D-phenylalanyl]- (9CI) (CA INDEX NAME)

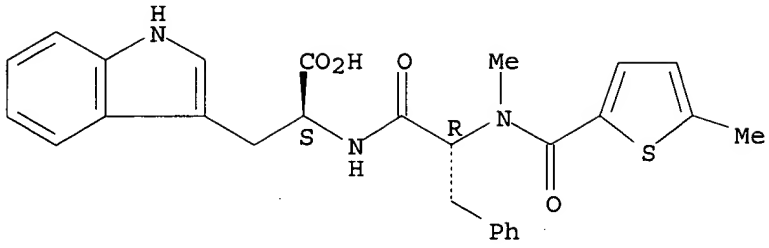
Absolute stereochemistry.



RN 169545-06-6 CAPLUS

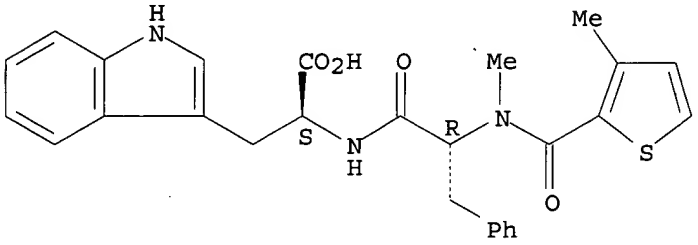
CN L-Tryptophan, N-[N-methyl-N-[(5-methyl-2-thienyl)carbonyl]-D-phenylalanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



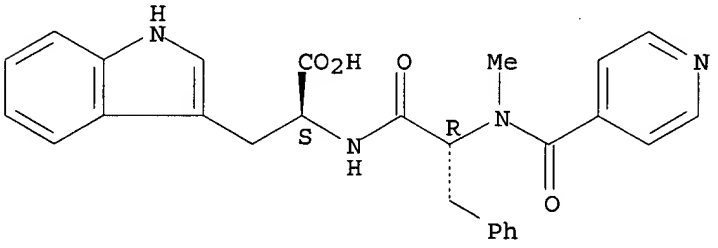
RN 169545-07-7 CAPLUS
CN L-Tryptophan, N-[N-methyl-N-[(3-methyl-2-thienyl)carbonyl]-D-phenylalanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



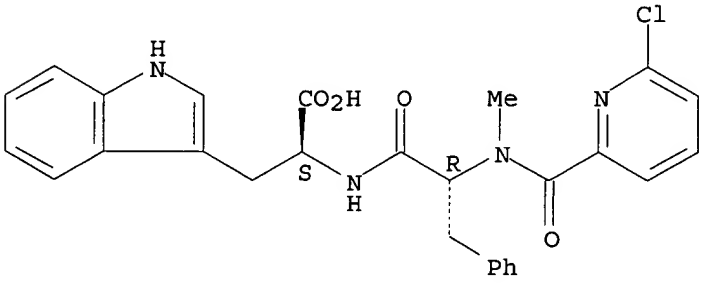
RN 169545-15-7 CAPLUS
CN L-Tryptophan, N-[N-methyl-N-(4-pyridinylcarbonyl)-D-phenylalanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169545-16-8 CAPLUS
CN L-Tryptophan, N-[N-[(6-chloro-2-pyridinyl)carbonyl]-N-methyl-D-phenylalanyl]- (9CI) (CA INDEX NAME)

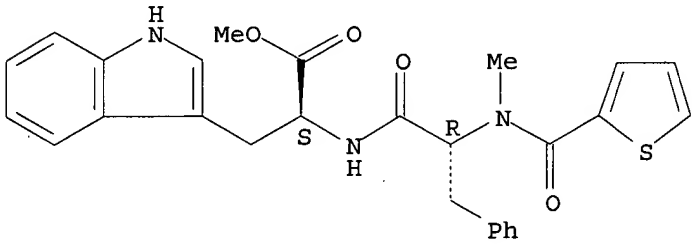
Absolute stereochemistry.



IT **169546-22-9P 169546-23-0P 169546-24-1P**
169546-32-1P 169546-33-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dipeptide analogs as endothelin receptor antagonists)

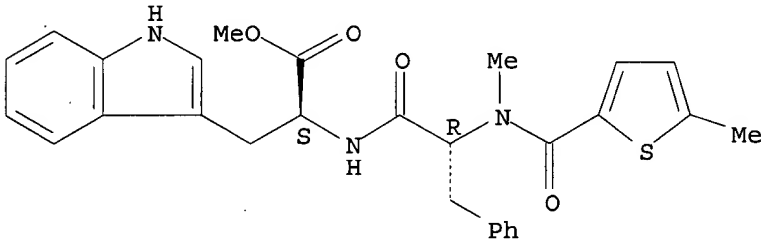
RN 169546-22-9 CAPLUS
CN L-Tryptophan, N-[N-methyl-N-(2-thienylcarbonyl)-D-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



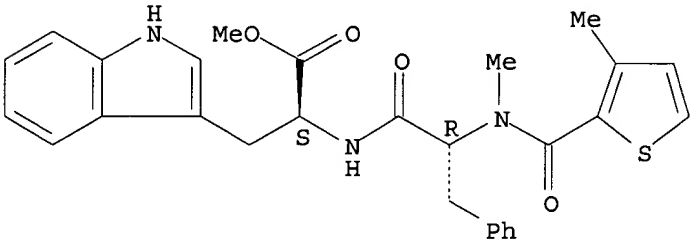
RN 169546-23-0 CAPLUS
CN L-Tryptophan, N-[N-methyl-N-[(5-methyl-2-thienyl)carbonyl]-D-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



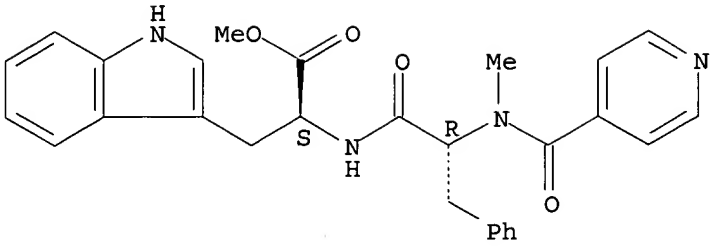
RN 169546-24-1 CAPLUS
CN L-Tryptophan, N-[N-methyl-N-[(3-methyl-2-thienyl)carbonyl]-D-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



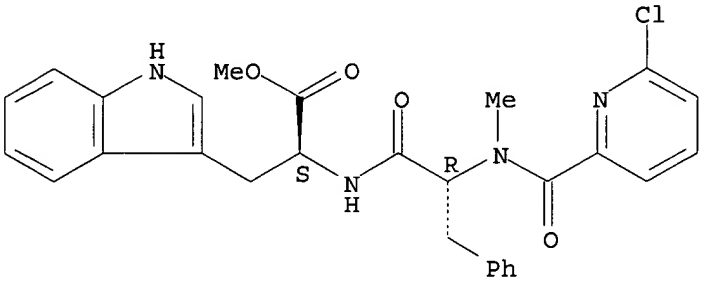
RN 169546-32-1 CAPLUS
CN L-Tryptophan, N-[N-methyl-N-(4-pyridinylcarbonyl)-D-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169546-33-2 CAPLUS
CN L-Tryptophan, N-[N-[(6-chloro-2-pyridinyl)carbonyl]-N-methyl-D-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

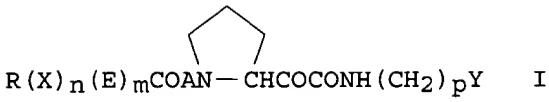
Absolute stereochemistry.



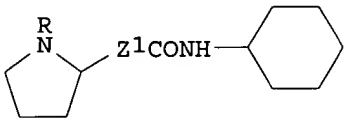
L10 ANSWER 44 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:794892 CAPLUS
DOCUMENT NUMBER: 124:9442
TITLE: Preparation of novel pyrrolidine derivative as prolyl endopeptidase inhibitor
INVENTOR(S): Takeuchi, Tomio; Aoyagi, Takaaki; Muraoka, Yasuhiko; Tsuda, Makoto
PATENT ASSIGNEE(S): Zaidan Hojin Biseibutsu KK, Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9503277	A1	19950202	WO 1994-JP1208	19940722
W: CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 709373	A1	19960501	EP 1994-921799	19940722
EP 709373	B1	20011017		
R: DE, FR, GB, IT				
US 5756763	A	19980526	US 1996-581507	19960111
US 5965556	A	19991012	US 1998-19535	19980205

PRIORITY APPLN. INFO.: JP 1993-182930 A 19930723
WO 1994-JP1208 W 19940722
OTHER SOURCE(S): MARPAT 124:9442
GI



I

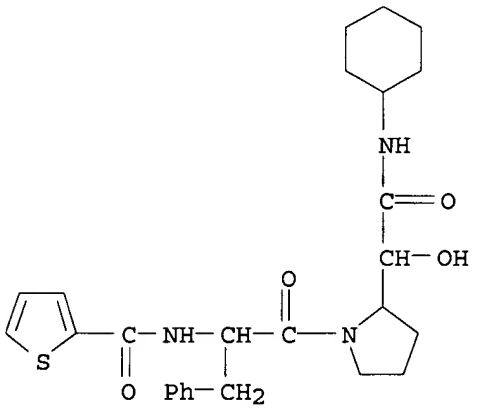


II

AB N-aminoacyl- or N-acylpyrrolidine derivs. represented by general formula [I; R1 = lower C1-6 alkyl, (un)substituted 3- to 15-membered monocyclic or fused hydrocarbon ring group; n, m = an integer;m + n = 0-2; X, E = O, NR' (wherein R' = H or C1-6 alkyl), S, phenylene, CH:CH, or CH2; A = single bond, an amino acid or imino acid residue (wherein the functional group(s) may be substituted), or a glycine residue (wherein the amino group may be substituted); Y1 = C3-8 cycloalkyl; Y2 = (un)substituted 3- to 15-membered monocyclic or fused hydrocarbon ring group contg. a heteroatom on the ring; some provisos are given], which are not hydrolyzed by various proteases in vivo and useful as active ingredients of antiamnetic agents for the treatment of amnesia and systemic lupus erythematodes, are prepd. Thus, pyrrolidine deriv. [II.HCl; R = H, Z1 = CH(OH)] was condensed with Z-Val-OH (Z = PhCH2O2C) by using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, 1-hydroxybenzotriazole, and N-methylmorpholine in DMF to give a precursor II [R = Z-Val, Z1 = CH(OH)], which was oxidized by DMSO, pyridine trifluoroacetate, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp. to give a title compd. II (R = Z-Val, Z1 = CO). The latter compd. showed IC50 of 0.0005 .mu.g/mL against pig kidney prolyl endopeptidase.

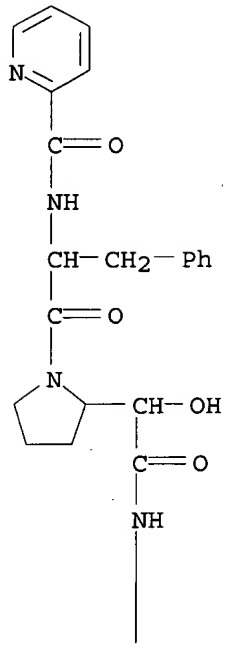
IT **167852-43-9P 167852-47-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for prepn. of N-(aminoacyl)- and N-acylpyrrolidine deriv. as prolyl endopeptidase inhibitor)

RN 167852-43-9 CAPLUS
CN 2-Pyrrolidineacetamide, N-cyclohexyl-.alpha.-hydroxy-1-[1-oxo-3-phenyl-2-[(2-thienylcarbonyl)amino]propyl]- (9CI) (CA INDEX NAME)

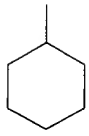


RN 167852-47-3 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[2-[2-(cyclohexylamino)-1-hydroxy-2-oxoethyl]-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



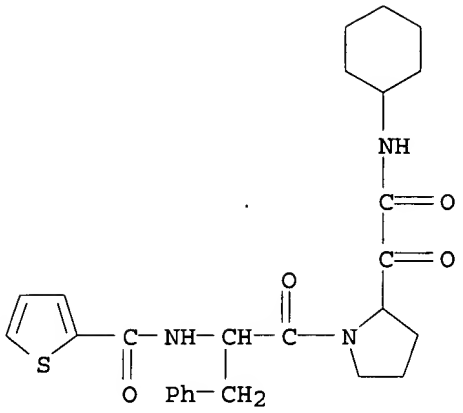
PAGE 2-A



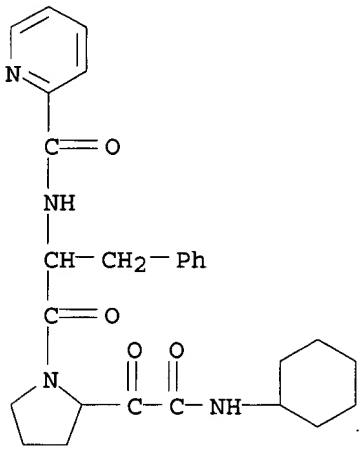
IT **167852-12-2P 167852-16-6P 167852-24-6P**
167852-26-8P 167853-38-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(aminoacyl)- and N-acylpyrrolidine deriv. as prolyl endopeptidase inhibitor)

RN 167852-12-2 CAPLUS

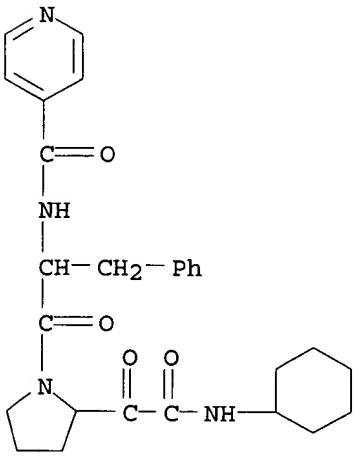
CN 2-Pyrrolidineacetamide, N-cyclohexyl-.alpha.-oxo-1-[1-oxo-3-phenyl-2-[(2-thienylcarbonyl)amino]propyl]- (9CI) (CA INDEX NAME)



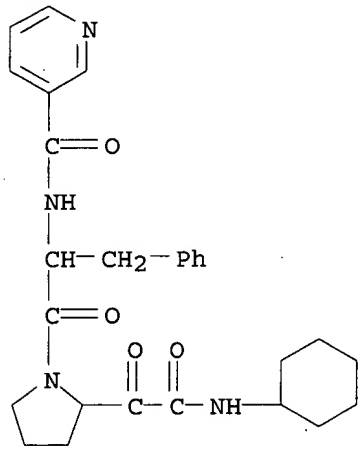
RN 167852-16-6 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[2-[(cyclohexylamino)oxoacetyl]-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)



RN 167852-24-6 CAPLUS
CN 4-Pyridinecarboxamide, N-[2-[2-[(cyclohexylamino)oxoacetyl]-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

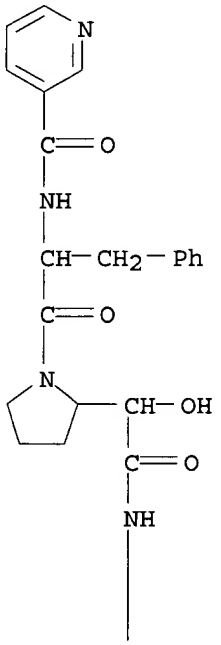


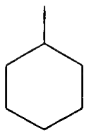
RN 167852-26-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[2-[(cyclohexylamino)oxoacetyl]-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)



RN 167853-38-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[2-[2-(cyclohexylamino)-1-hydroxy-2-oxoethyl]-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



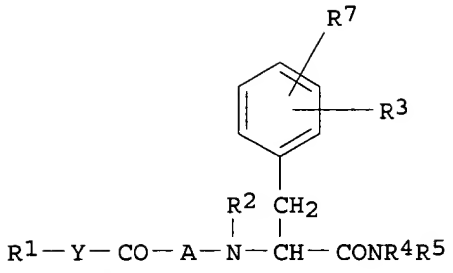


L10 ANSWER 45 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:661176 CAPLUS
DOCUMENT NUMBER: 123:314544
TITLE: Peptides having substance P antagonistic activity
INVENTOR(S): Matsuo, Masaaki; Hagiwara, Daijiro; Miyake, Hiroshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 30 pp. Cont.-in-part of U.S. Ser. No. 770,866, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5420297	A	19950530	US 1992-871723	19920421
WO 9321215	A1	19931028	WO 1993-JP470	19930409
W: AU, CA, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9339045	A1	19931118	AU 1993-39045	19930409
AU 674512	B2	19970102		
EP 640099	A1	19950301	EP 1993-908084	19930409
EP 640099	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07505879	T2	19950629	JP 1993-518181	19930409
HU 71397	A2	19951128	HU 1994-3062	19930409
RU 2119922	C1	19981010	RU 1994-45881	19930409
AT 205852	E	20011015	AT 1993-908084	19930409
ES 2160103	T3	20011101	ES 1993-908084	19930409
IL 105404	A1	19991130	IL 1993-105404	19930415
ZA 9302728	A	19931028	ZA 1993-2728	19930419
CN 1083074	A	19940302	CN 1993-105914	19930420
CN 1041830	B	19990127		
US 5633232	A	19970527	US 1994-258456	19940610
US 5654400	A	19970805	US 1996-699055	19960809

PRIORITY APPLN. INFO.:
GB 1990-23116 A 19901024
US 1991-770866 B2 19911004
US 1992-871723 A2 19920421
WO 1993-JP470 A 19930409
US 1994-307793 B1 19941017

OTHER SOURCE(S): MARPAT 123:314544
GI



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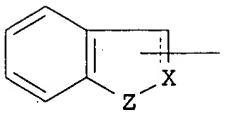

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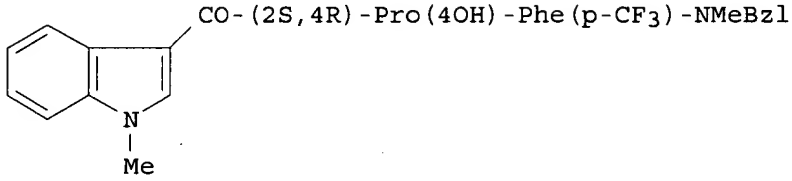


III

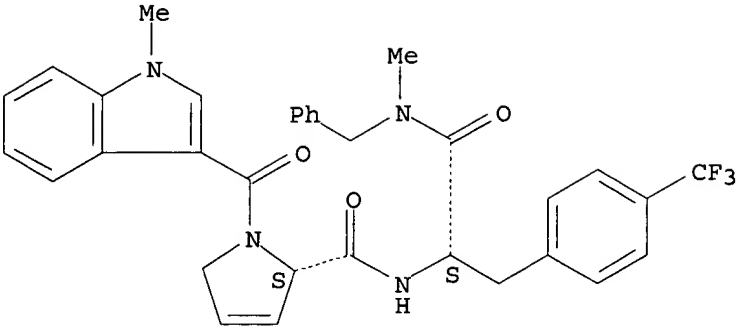
AB A substance P antagonistic peptide I wherein R1 is lower alkyl, aryl, arylamino, **pyridyl**, **pyrrolyl**, pyrazolopyridyl, quinolyl,or a group of the formula II wherein the symbol of a line and dotted line is a single bond or a double bond, X is CH or N, and Z is O, S or NH, each of which may have suitable substituent(s); R2 is hydrogen or lower alkyl; R3 is suitable substituent excepting hydroxy; R4 is lower alkyl which may have suitable substituent(s), and R5 is ar(lower)alkyl which may have suitable substituent(s) or **pyridyl**(lower)alkyl, or R4 and R5 are linked together to form benzene-condensed lower alkylene; R7 is hydrogen or suitable substituent; A is an amino acid residue excepting D-Trp, which may have suitable substituent(s); and Y is bond, lower alkylene or lower alkenylene, is disclosed. Thus, e.g., coupling of HCl.H-(2S,4R)-Pro(4OH)-Phe(p-CF3)-NMeBzl (prepn. given) with 1-methylindole-3-carboxylic acid afforded peptide III which displayed 96% inhibition of 3H-substance P receptor binding.

IT **142995-15-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptides having substance P antagonistic activity)

RN 142995-15-1 CAPLUS

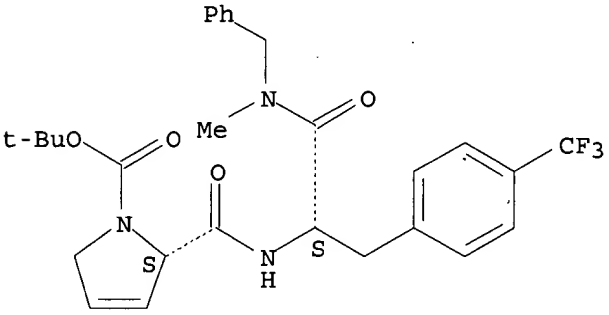
CN L-Phenylalaninamide, 3,4-didehydro-1-[(1-methyl-1H-indol-3-yl)carbonyl]-L-prolyl-N-methyl-N-(phenylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

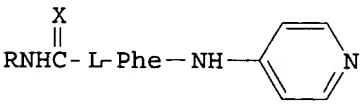


IT **142995-43-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(peptides having substance P antagonistic activity)
RN 142995-43-5 CAPLUS
CN L-Phenylalaninamide, 3,4-didehydro-1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-N-methyl-N-(phenylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 46 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:580148 CAPLUS
DOCUMENT NUMBER: 121:180148
TITLE: Synthesis and analgesic activities of urea derivatives of .alpha.-amino-N-**pyridyl** benzenepropanamide
AUTHOR(S): Sartori, E.; Camy, F.; Teulon, J. M.; Camborde, F.; Meignen, J.; Hertz, F.; Cloarec, A.
CORPORATE SOURCE: Carpibem, Rueil-Malmaison, 92500, Fr.
SOURCE: European Journal of Medicinal Chemistry (1994), 29(6), 431-9
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

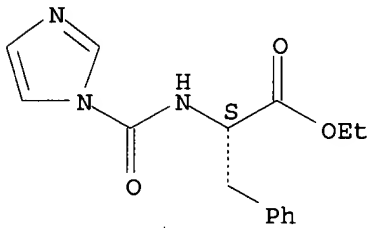
AB New urea L-phenylalanine 4-pyridylamides, e.g. I (X = O, S; R = Ph, substituted benzyl, phenylethyl, alkyl, etc.), were prepd. and evaluated for analgesic activity with the PBQ writing test in mice and the Randall-Selitto test in rats. Potent oral activity (ID50 < 10 mg/kg) and good tolerance were found in alkyl, arylalkyl and carboxyalkyl urea derivs. The analgesic activity was totally dependent on the pyridine moiety and was at least partly inhibited by pretreatment with .alpha.-methyltyrosine, as was the case for 4-aminopyridine. These compds. are therefore pharmacol. interesting as new analgesic derivs. of 4-aminopyridine. They have a higher oral activity and a better activity/tolerance profile.

IT **157560-20-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and addn. of, with phenylalanine pyridylamide, urea from)

RN 157560-20-8 CAPLUS

CN L-Phenylalanine, N-(1H-imidazol-1-ylcarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 47 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:612965 CAPLUS
DOCUMENT NUMBER: 117:212965
TITLE: Preparation of N-(pyrazolylcarbonyl)amino acids and analogs as antipsychotics
INVENTOR(S): Boigegrain, Danielle; Gully, Robert; Jeanjean, Francis; Molimard, Jean Charles
PATENT ASSIGNEE(S): SANOFI S. A., Fr.
SOURCE: Fr. Demande, 53 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2665898	A1	19920221	FR 1990-10486	19900820
FR 2665898	B1	19940311		
HU 59106	A2	19920428	HU 1991-2750	19910817
HU 217435	B	20000128		
FI 9103917	A	19920221	FI 1991-3917	19910819
NO 9103234	A	19920221	NO 1991-3234	19910819
BR 9103550	A	19920407	BR 1991-3550	19910819
IL 99225	A1	19951031	IL 1991-99225	19910819
PL 169085	B1	19960531	PL 1991-291463	19910819
RU 2066317	C1	19960910	RU 1991-5001452	19910819
CA 2049514	AA	19920221	CA 1991-2049514	19910820
CA 2049514	C	19970225		
AU 9182596	A1	19920227	AU 1991-82596	19910820
AU 646683	B2	19940303		

EP 477049	A1	19920325	EP 1991-402269	19910820
EP 477049	B1	19991201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9106583	A	19920527	ZA 1991-6583	19910820
JP 04244065	A2	19920901	JP 1991-208108	19910820
CZ 281864	B6	19970312	CZ 1991-2574	19910820
CA 2166903	C	19980901	CA 1991-2166903	19910820
CA 2166902	C	19990119	CA 1991-2166902	19910820
AT 187167	E	19991215	AT 1991-402269	19910820
ES 2142798	T3	20000501	ES 1991-402269	19910820
LV 10434	B	19951020	LV 1993-138	19930225
LT 3520	B	19951127	LT 1993-656	19930615
US 5420141	A	19950530	US 1993-119830	19930913
US 5635526	A	19970603	US 1995-393829	19950224
US 5607958	A	19970304	US 1995-394757	19950227
US 5616592	A	19970401	US 1995-394756	19950227
US 5744493	A	19980428	US 1996-775150	19961231
US 5744491	A	19980428	US 1997-778105	19970102

PRIORITY APPLN. INFO.:

FR 1990-10486	A	19900820
CA 1991-2049514	A3	19910820
US 1991-747359	B1	19910820
US 1993-119830	A3	19930913
US 1995-393829	A3	19950224
US 1995-394756	A3	19950227

OTHER SOURCE(S): MARPAT 117:212965

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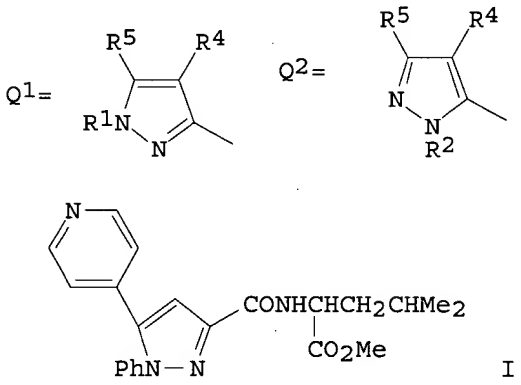


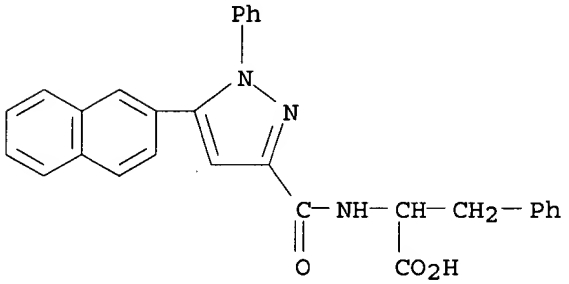
I

AB R3CONR(CH2)nCXX1COZ [R = H, alkyl; R3 = pyrazolyl group Q1 or Q2; R1 = (substituted) Ph, carboxyalkyl, alkoxycarbonylalkyl, **pyridyl**, etc.; R2 = (substituted) PhCH2; R4 = H, halo, alkyl; R5 = alkyl, (substituted) Ph, naphthyl, **pyridyl**, etc.; R4R5 = atoms to complete a benznellated ring; X = H, alkyl; X1 = H, (substituted) alkyl, (hetero)aralkyl, etc.; when n = 0, RX1 = (hydroxy substituted) (CH2)4-6; CXX1 = cycloalkylidene; Z = OH, NH2, alkoxy, etc.; n = 0-3] were prepd. as neurotensin receptor ligands (no data). Thus, R3CO2H (R3 = Q1; R1 = Ph, R4 = H, R5 = 4-**pyridyl**) was condensed with L-leucine Me ester in the presence of Et3N and R6OP(NMe2)3PF6 (R6 = benzotriazol-1-yl) to give title compd. I.

IT **144250-75-9P 144250-76-0P 144250-78-2P**
144250-80-6P 144250-86-2P 144250-96-4P
144250-97-5P 144250-98-6P 144250-99-7P
144251-00-3P 144251-01-4P 144251-02-5P
144251-03-6P 144251-04-7P 144251-05-8P
144251-06-9P 144251-07-0P 144251-08-1P
144251-09-2P 144251-10-5P 144251-11-6P

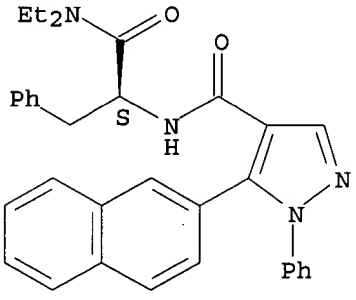
144251-12-7P 144251-13-8P 144251-14-9P
144251-15-0P 144251-16-1P 144251-17-2P
144251-18-3P 144251-19-4P 144251-20-7P
144251-21-8P 144251-22-9P 144251-23-0P
144251-24-1P 144251-25-2P 144251-26-3P
144251-27-4P 144251-28-5P 144251-29-6P
144251-30-9P 144251-64-9P 144251-65-0P
144251-70-7P 144251-71-8P 144251-72-9P
144251-73-0P 144251-74-1P 144251-83-2P
144251-87-6P 144251-94-5P 144251-99-0P
144252-00-6P 144269-36-3P 144278-00-2P
144278-01-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antipsychotic)

RN 144250-75-9 CAPLUS
CN L-Phenylalanine, N-[[5-(2-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

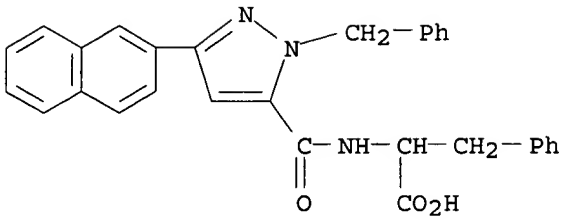


RN 144250-76-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[2-(diethylamino)-2-oxo-1-(phenylmethyl)ethyl]-5-(2-naphthalenyl)-1-phenyl-, (S)- (9CI) (CA INDEX NAME)

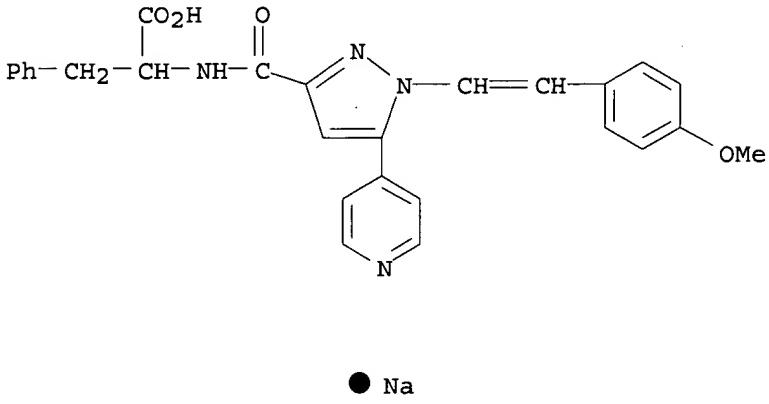
Absolute stereochemistry.



RN 144250-78-2 CAPLUS
CN L-Phenylalanine, N-[[3-(2-naphthalenyl)-1-(phenylmethyl)-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

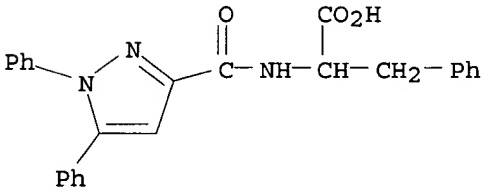


RN 144250-80-6 CAPLUS
CN L-Phenylalanine, N-[[1-[2-(4-methoxyphenyl)ethenyl]-5-(4-pyridinyl)-1H-pyrazol-3-yl]carbonyl]-, monosodium salt, (E)- (9CI) (CA INDEX NAME)

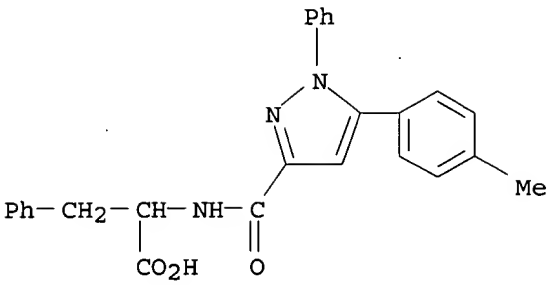


● Na

RN 144250-86-2 CAPLUS
CN L-Phenylalanine, N-[(1,5-diphenyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

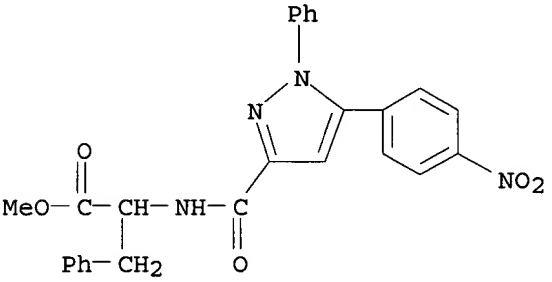


RN 144250-96-4 CAPLUS
CN L-Phenylalanine, N-[[5-(4-methylphenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

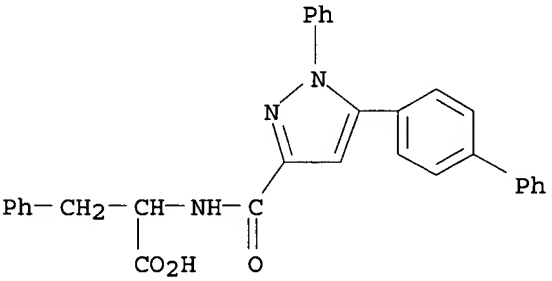


● Na

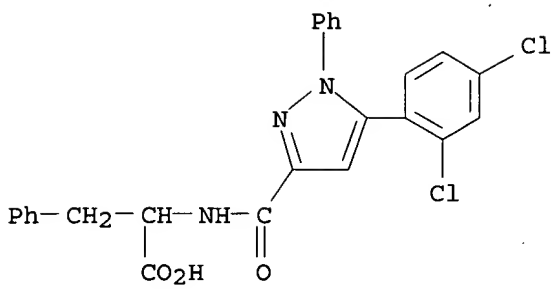
RN 144250-97-5 CAPLUS
CN L-Phenylalanine, N-[[5-(4-nitrophenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



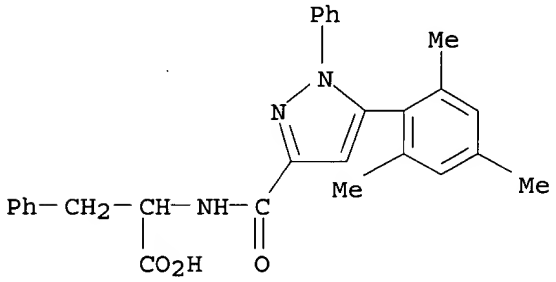
RN 144250-98-6 CAPLUS
CN L-Phenylalanine, N-[(5-[1,1'-biphenyl]-4-yl-1-phenyl-1H-pyrazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



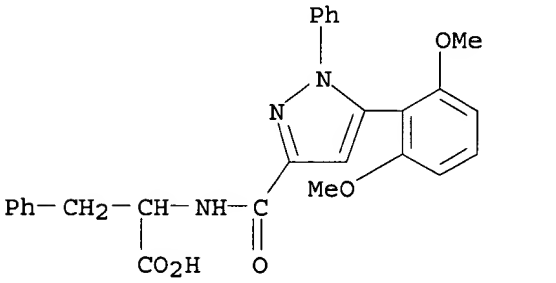
RN 144250-99-7 CAPLUS
CN L-Phenylalanine, N-[[5-(2,4-dichlorophenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



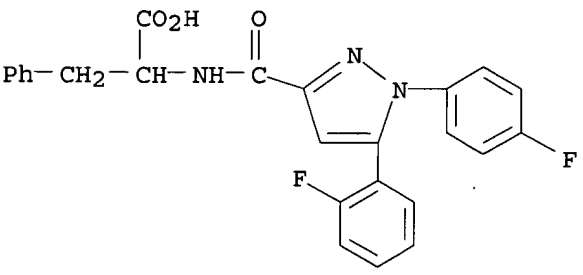
RN 144251-00-3 CAPLUS
CN L-Phenylalanine, N-[[1-phenyl-5-(2,4,6-trimethylphenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



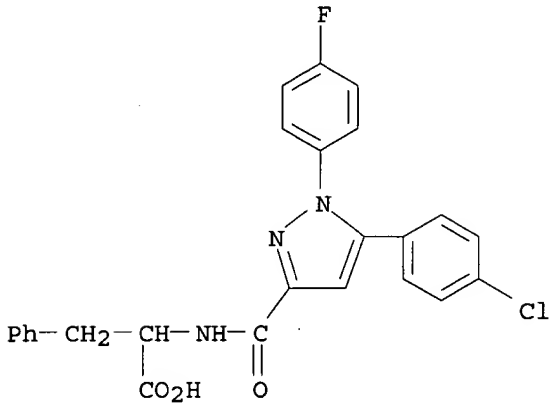
RN 144251-01-4 CAPLUS
CN L-Phenylalanine, N-[[5-(2,6-dimethoxyphenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



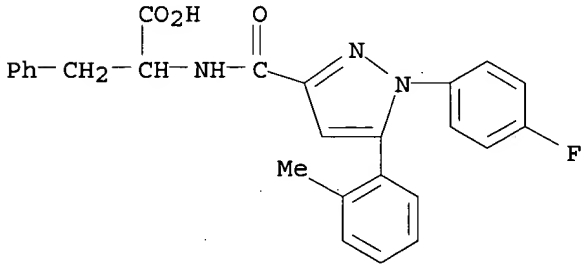
RN 144251-02-5 CAPLUS
CN L-Phenylalanine, N-[[5-(2-fluorophenyl)-1-(4-fluorophenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



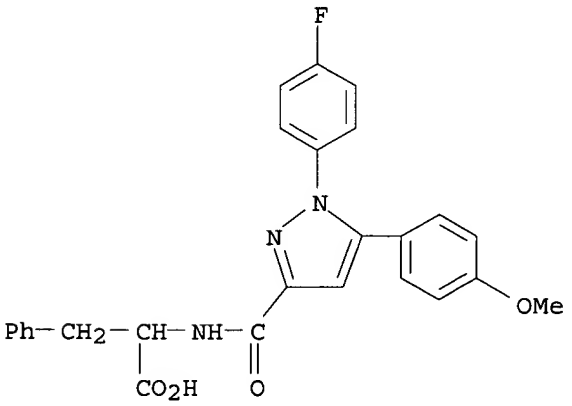
RN 144251-03-6 CAPLUS
CN L-Phenylalanine, N-[[5-(4-chlorophenyl)-1-(4-fluorophenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



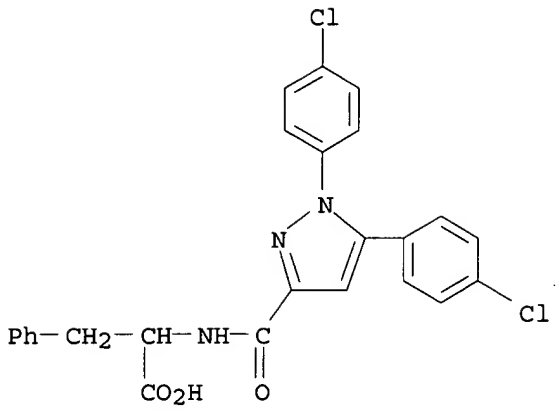
RN 144251-04-7 CAPLUS
CN L-Phenylalanine, N-[[1-(4-fluorophenyl)-5-(2-methylphenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



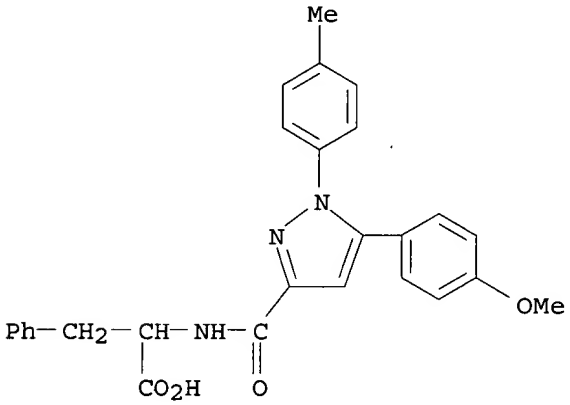
RN 144251-05-8 CAPLUS
CN L-Phenylalanine, N-[[1-(4-fluorophenyl)-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



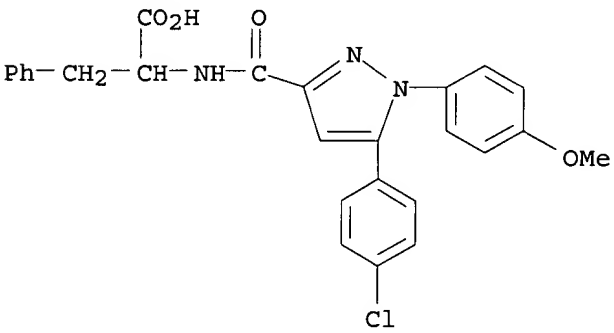
RN 144251-06-9 CAPLUS
CN L-Phenylalanine, N-[[1,5-bis(4-chlorophenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



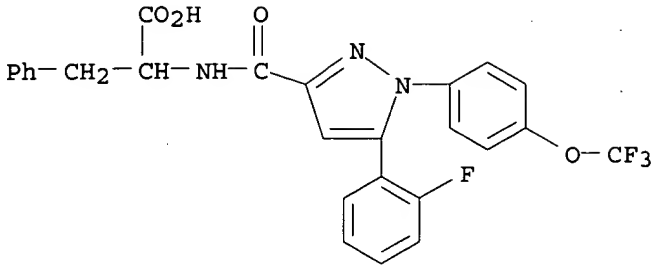
RN 144251-07-0 CAPLUS
CN L-Phenylalanine, N-[[5-(4-methoxyphenyl)-1-(4-methylphenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



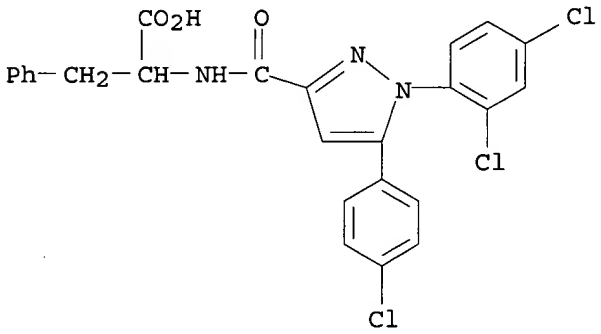
RN 144251-08-1 CAPLUS
CN L-Phenylalanine, N-[[5-(4-chlorophenyl)-1-(4-methoxyphenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



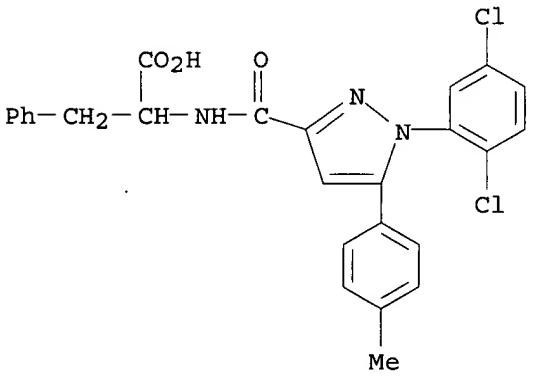
RN 144251-09-2 CAPLUS
CN L-Phenylalanine, N-[[5-(2-fluorophenyl)-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



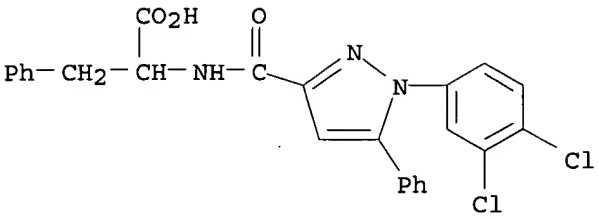
RN 144251-10-5 CAPLUS
CN L-Phenylalanine, N-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



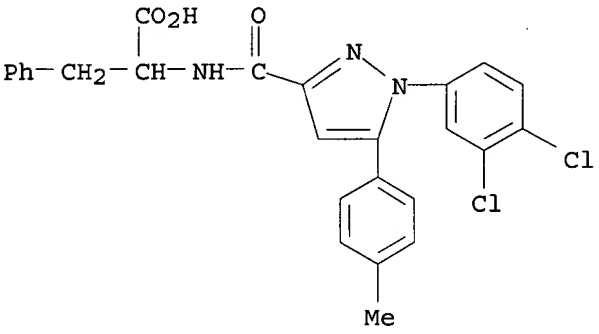
RN 144251-11-6 CAPLUS
CN L-Phenylalanine, N-[[1-(2,5-dichlorophenyl)-5-(4-methylphenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



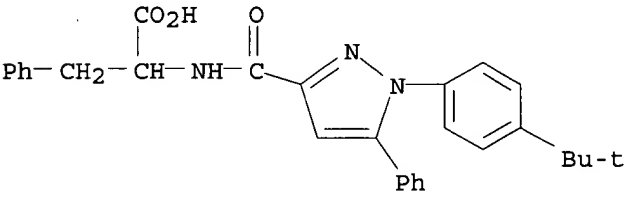
RN 144251-12-7 CAPLUS
CN L-Phenylalanine, N-[[1-(3,4-dichlorophenyl)-5-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



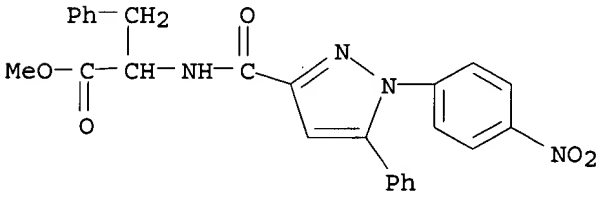
RN 144251-13-8 CAPLUS
CN L-Phenylalanine, N-[[1-(3,4-dichlorophenyl)-5-(4-methylphenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



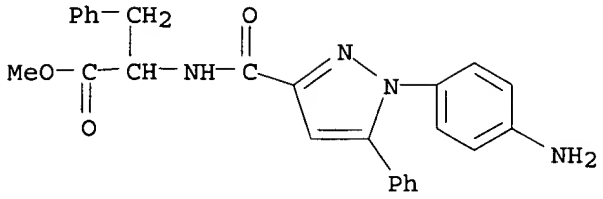
RN 144251-14-9 CAPLUS
CN L-Phenylalanine, N-[[1-[4-(1,1-dimethylethyl)phenyl]-5-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



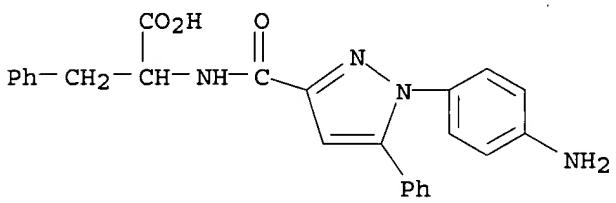
RN 144251-15-0 CAPLUS
CN L-Phenylalanine, N-[[1-(4-nitrophenyl)-5-phenyl-1H-pyrazol-3-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 144251-16-1 CAPLUS
CN L-Phenylalanine, N-[[1-(4-aminophenyl)-5-phenyl-1H-pyrazol-3-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

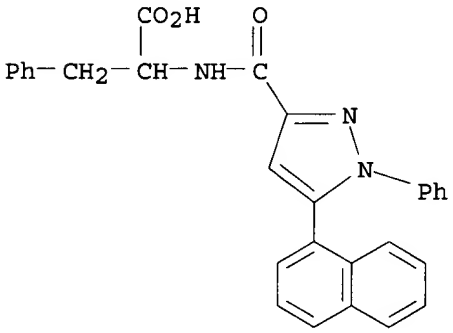


RN 144251-17-2 CAPLUS
CN L-Phenylalanine, N-[[1-(4-aminophenyl)-5-phenyl-1H-pyrazol-3-yl]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

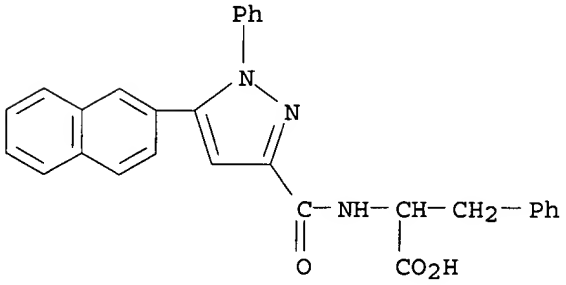


● Na

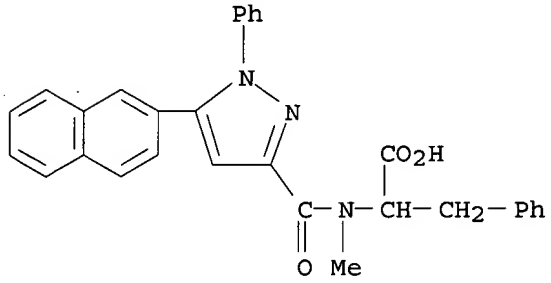
RN 144251-18-3 CAPLUS
CN L-Phenylalanine, N-[[5-(1-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



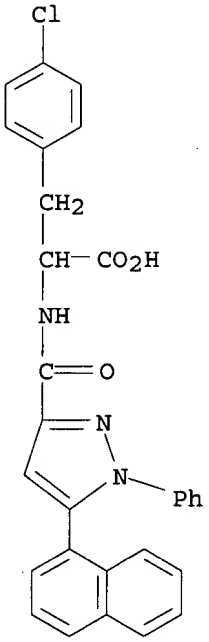
RN 144251-19-4 CAPLUS
CN D-Phenylalanine, N-[[5-(2-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



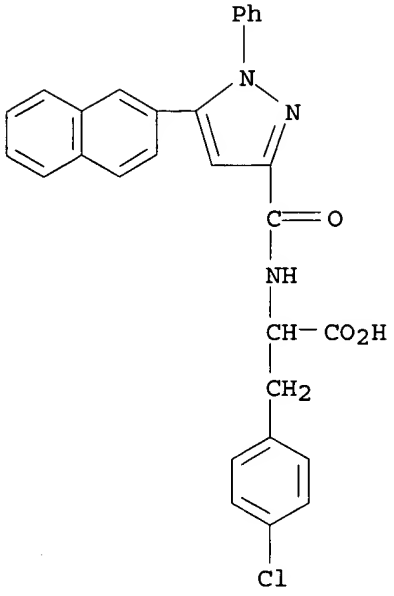
RN 144251-20-7 CAPLUS
CN L-Phenylalanine, N-methyl-N-[[5-(2-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



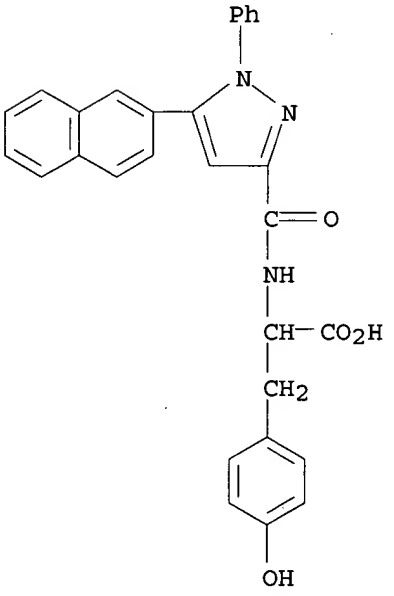
RN 144251-21-8 CAPLUS
CN Phenylalanine, 4-chloro-N-[[5-(1-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



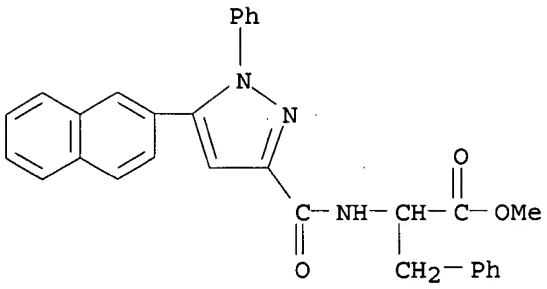
RN 144251-22-9 CAPLUS
CN Phenylalanine, 4-chloro-N-[[5-(2-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



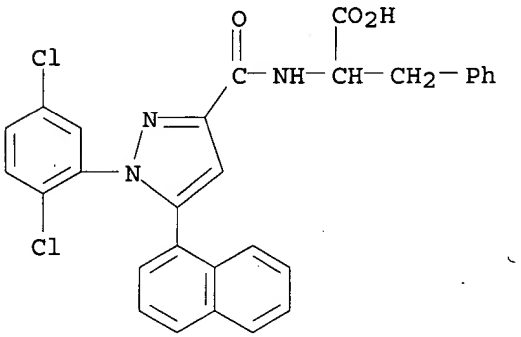
RN 144251-23-0 CAPLUS
CN L-Tyrosine, N-[[5-(2-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]-
(9CI) (CA INDEX NAME)



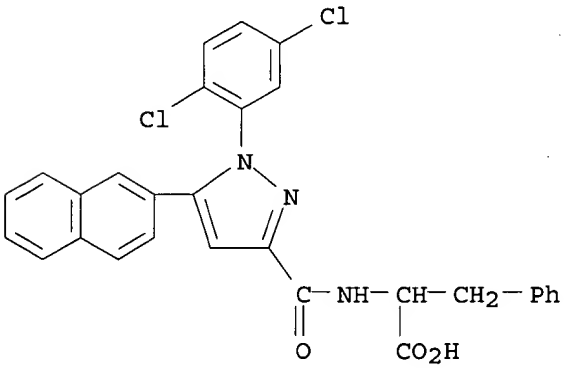
RN 144251-24-1 CAPLUS
CN L-Phenylalanine, N-[[5-(2-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]-
, methyl ester (9CI) (CA INDEX NAME)



RN 144251-25-2 CAPLUS
CN L-Phenylalanine, N-[[1-(2,5-dichlorophenyl)-5-(1-naphthalenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 144251-26-3 CAPLUS
CN L-Phenylalanine, N-[[1-(2,5-dichlorophenyl)-5-(2-naphthalenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 144251-27-4 CAPLUS
CN Phenylalanine, 4-chloro-N-[[1-(2,5-dichlorophenyl)-5-(1-naphthalenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

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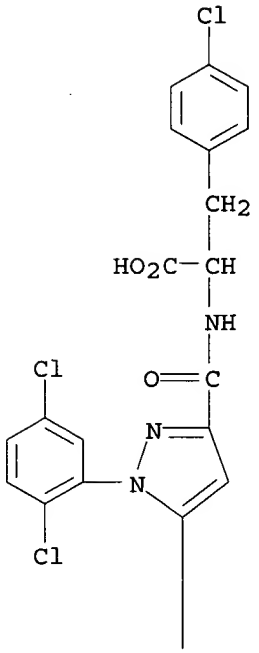

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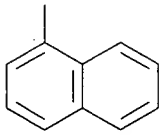

RN 144251-28-5 CAPLUS
CN Phenylalanine, 4-chloro-N-[[1-(2,5-dichlorophenyl)-5-(2-naphthalenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

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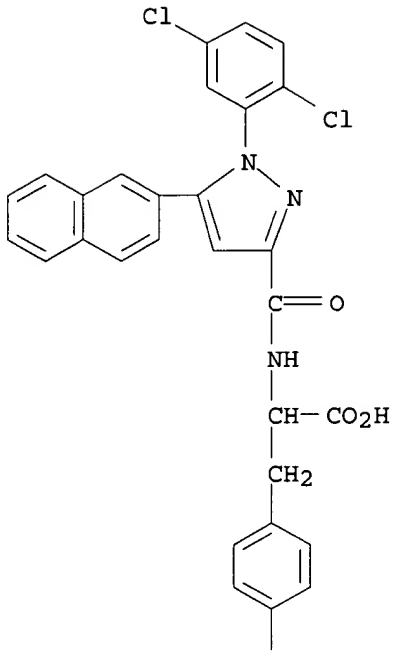

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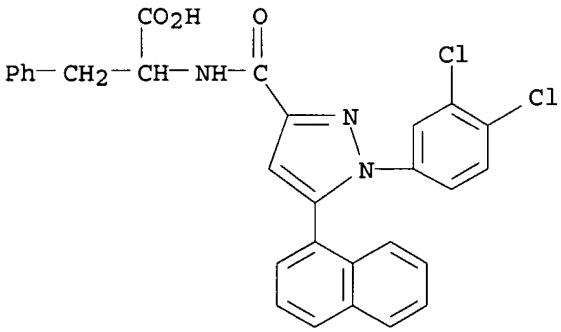
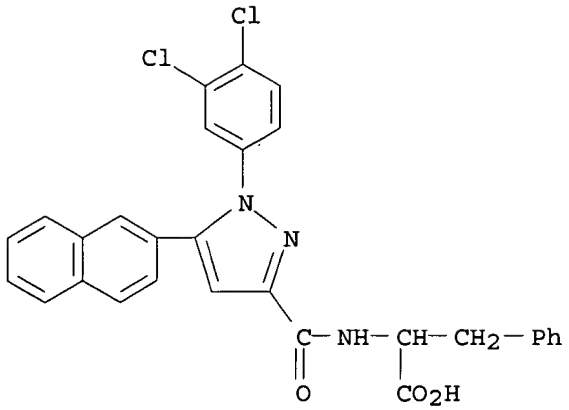
RN 144251-29-6 CAPLUS
CN L-Phenylalanine, N-[[1-(3,4-dichlorophenyl)-5-(1-naphthalenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

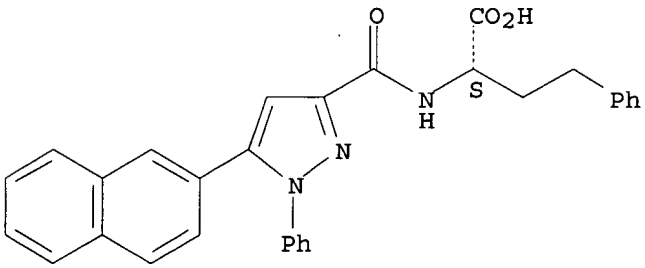


RN 144251-30-9 CAPLUS
CN L-Phenylalanine, N-[[1-(3,4-dichlorophenyl)-5-(2-naphthalenyl)-1H-pyrazol-3-yl]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

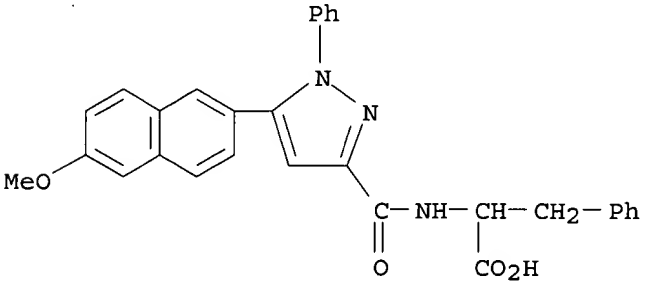


RN 144251-64-9 CAPLUS
CN Benzenebutanoic acid, .alpha.-[[[5-(2-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]amino]-, (S)- (9CI) (CA INDEX NAME)

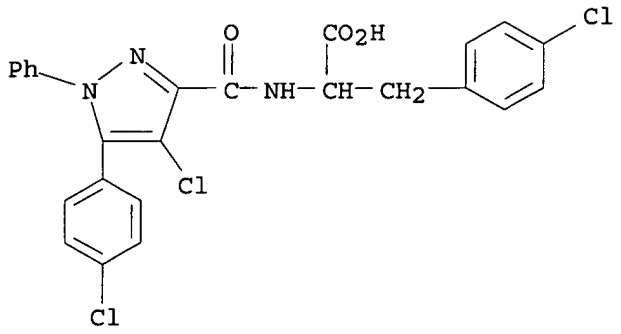
Absolute stereochemistry.



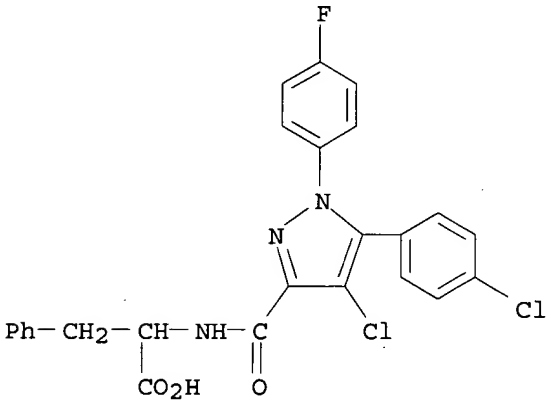
RN 144251-65-0 CAPLUS
CN L-Phenylalanine, N-[[5-(6-methoxy-2-naphthalenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



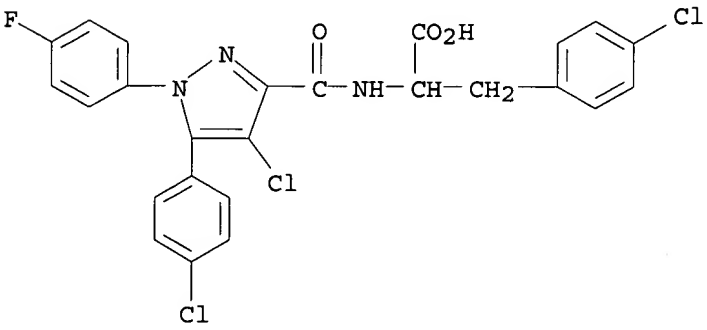
RN 144251-70-7 CAPLUS
CN Phenylalanine, 4-chloro-N-[[4-chloro-5-(4-chlorophenyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



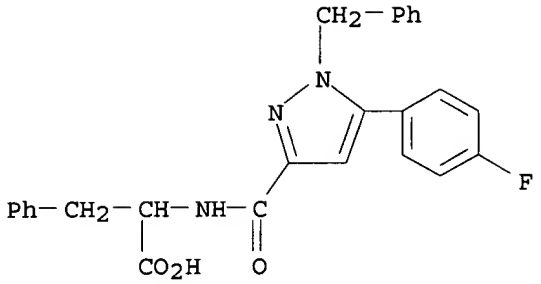
RN 144251-71-8 CAPLUS
CN L-Phenylalanine, N-[[4-chloro-5-(4-chlorophenyl)-1-(4-fluorophenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 144251-72-9 CAPLUS
CN Phenylalanine, 4-chloro-N-[[4-chloro-5-(4-chlorophenyl)-1-(4-fluorophenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



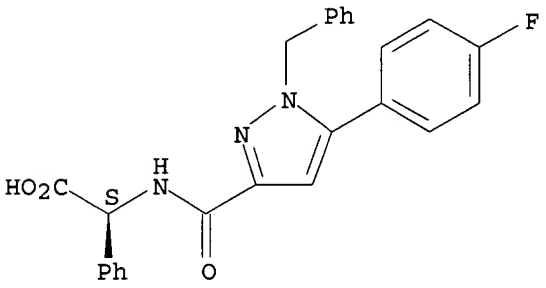
RN 144251-73-0 CAPLUS
CN L-Phenylalanine, N-[[5-(4-fluorophenyl)-1-(phenylmethyl)-1H-pyrazol-3-yl]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

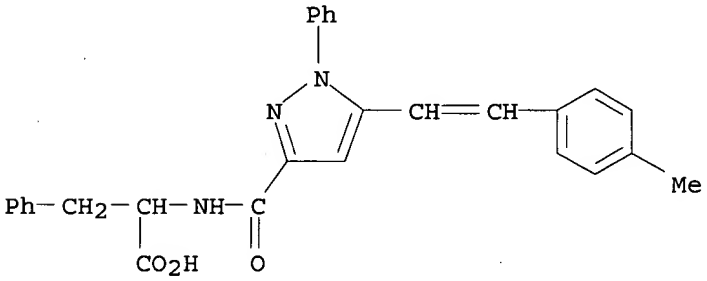
RN 144251-74-1 CAPLUS
CN Benzeneacetic acid, .alpha.-[[[5-(4-fluorophenyl)-1-(phenylmethyl)-1H-pyrazol-3-yl]carbonyl]amino]-, monosodium salt, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

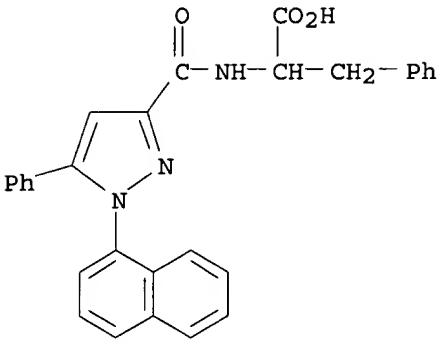


● Na

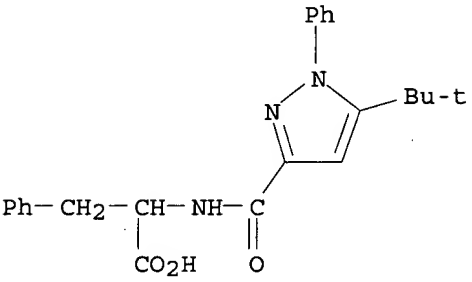
RN 144251-83-2 CAPLUS
CN L-Phenylalanine, N-[[5-[2-(4-methylphenyl)ethenyl]-1-phenyl-1H-pyrazol-3-yl]carbonyl]-, (E)- (9CI) (CA INDEX NAME)



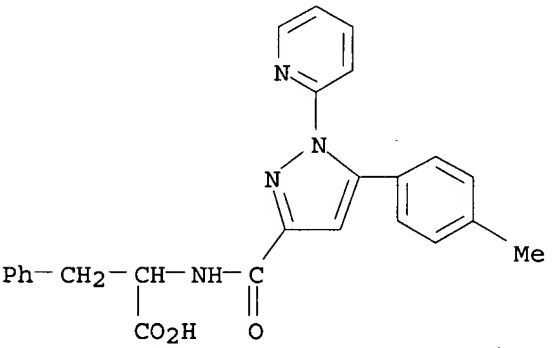
RN 144251-87-6 CAPLUS
CN L-Phenylalanine, N-[[1-(1-naphthalenyl)-5-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



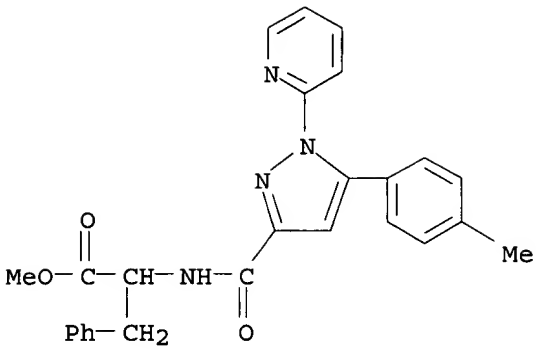
RN 144251-94-5 CAPLUS
CN L-Phenylalanine, N-[[5-(1,1-dimethylethyl)-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 144251-99-0 CAPLUS
CN L-Phenylalanine, N-[[5-(4-methylphenyl)-1-(2-pyridinyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

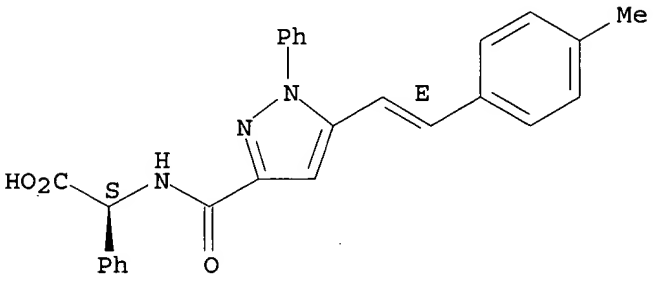


RN 144252-00-6 CAPLUS
CN L-Phenylalanine, N-[[5-(4-methylphenyl)-1-(2-pyridinyl)-1H-pyrazol-3-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



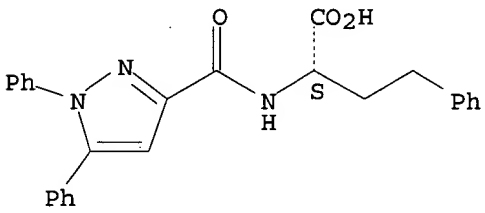
RN 144269-36-3 CAPLUS
CN Benzeneacetic acid, .alpha.-[[[5-[2-(4-methylphenyl)ethenyl]-1-phenyl-1H-pyrazol-3-yl]carbonyl]amino]-, [S-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

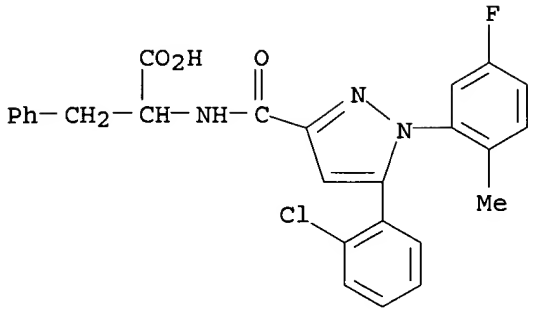


RN 144278-00-2 CAPLUS
CN Benzenebutanoic acid, .alpha.-[[(1,5-diphenyl-1H-pyrazol-3-yl)carbonyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



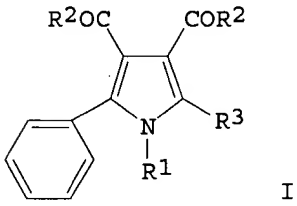
RN 144278-01-3 CAPLUS
CN L-Phenylalanine, N-[[5-(2-chlorophenyl)-1-(5-fluoro-2-methylphenyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 48 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:565938 CAPLUS
DOCUMENT NUMBER: 117:165938
TITLE: Pyrrole dicarboxylic acid derivatives and herbicides containing them
INVENTOR(S): Ishikawa, Hiromichi; Morita, Takeshi; Nakamura, Toshiki; Yoshizawa, Hirokazu
PATENT ASSIGNEE(S): Hokko Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04145078	A2	19920519	JP 1990-265232	19901004

PRIORITY APPLN. INFO.: JP 1990-265232 19901004
OTHER SOURCE(S): MARPAT 117:165938
GI



I

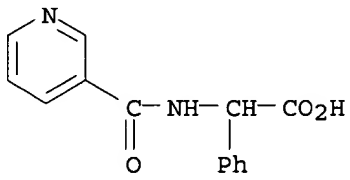
AB Pyrrole dicarboxylic acid derivs. I [R1 = H, lower alkyl, Ph lower alkyl; R2 = OH, lower alkoxy, lower alkylthio, NR4R5 (R4, R5 = H, lower alkyl, 2,6-diethylphenyl); R3 = **pyridyl**, thienyl, furyl, CF3] and herbicides contg. I as active ingredients are claimed. Thus, 7.1 g di-Me acetylenedicarboxylate, 12.8 g N-nicotinoylphenylglycine, and acetic anhydride were stirred at 140.degree. for 1 h to give 10.0 g I (R1 = H, R2 = OMe, R3 = **pyridyl**; II). II 15, white carbon 15, Ca ligninsulfonate 3, polyoxyethylene nonylphenyl ether 2, kieselguhr 5, and clay 60 parts were mixed to give an wettable powder. II at 50 g/10 are totally controlled Panicum Crus-galli, Alisma canaliculatum, etc., without damaging rice, vs. less effect for butachlor.

IT **143428-31-3**, N-Nicotinoylphenylglycine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with di-Me acetylenedicarboxylate)

RN 143428-31-3 CAPLUS

09/ 964,161

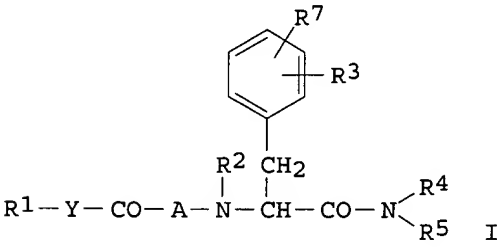
CN Benzeneacetic acid, .alpha.-[(3-pyridinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 49 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:512087 CAPLUS
DOCUMENT NUMBER: 117:112087
TITLE: Preparation of phenylalanine-containing peptides.
INVENTOR(S): Matsuo, Masaaki; Hagiwara, Daijiro; Miyake, Hiroshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 482539	A2	19920429	EP 1991-117889	19911020
EP 482539	A3	19920826		
EP 482539	B1	19961218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9108011	A	19920729	ZA 1991-8011	19911007
AU 9185925	A1	19920430	AU 1991-85925	19911018
AU 647534	B2	19940324		
AT 146480	E	19970115	AT 1991-117889	19911020
ES 2095283	T3	19970216	ES 1991-117889	19911020
FI 9104961	A	19920425	FI 1991-4961	19911022
HU 59163	A2	19920428	HU 1991-3331	19911022
CA 2054097	AA	19920425	CA 1991-2054097	19911023
NO 9104171	A	19920427	NO 1991-4171	19911023
CN 1060848	A	19920506	CN 1991-109851	19911023
CN 1038939	B	19980701		
RU 2073683	C1	19970220	RU 1991-5010105	19911023
JP 04297492	A2	19921021	JP 1991-343872	19911024
JP 3206764	B2	20010910		
CN 1148503	A	19970430	CN 1996-111367	19960814

PRIORITY APPLN. INFO.: GB 1990-23116 A 19901024
OTHER SOURCE(S): MARPAT 117:112087
GI



I

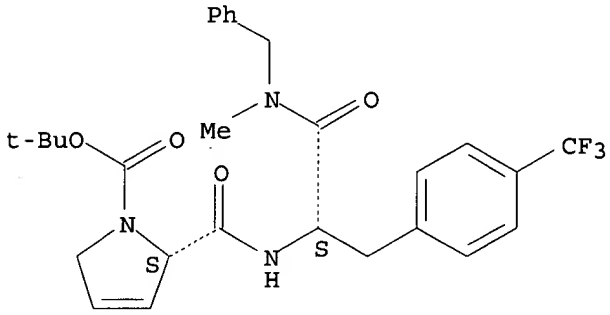
AB The title compds. [I; R1 = alkyl, aryl, arylamino, **pyridyl**, **pyrrolyl**, etc.; R2 = H, alkyl; R3 = (substituted) OH; R4 = (substituted) alkyl; R5 = (substituted) aralkyl; or R4R5 = alkylene; R7 = H, suitable substituent; A = (substituted) amino acid residue except D-Trp; Y = bond, alkylene, alkenylene] and their pharmaceutically acceptable salts are prepd. QH (Q = 1-methyl-1H-indol-3-ylcarbonyl) was condensed with H-(2S,4R)-Pro(4OH)-Phe(p-CF3)-NMeBzl-HCl (Bzl = benzyl) (prepn. given) in CH2Cl2 contg. HOBt to give, after washing with NaHCO3, Q-(2S,4R)-Pro(4OH)-Phe(p-CF3)-NMeBzl. In an in vitro test this at 0.1 .mu.g/mL showed 96% inhibition of 3H-substance P binding to crude lung membrane of guinea pigs.

IT **142995-43-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for tachykinin antagonists)

RN 142995-43-5 CAPLUS

CN L-Phenylalaninamide, 3,4-didehydro-1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-N-methyl-N-(phenylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

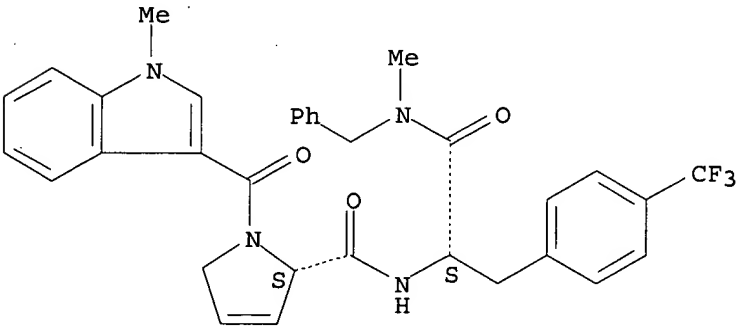


IT **142995-15-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as tachykinin antagonist)

RN 142995-15-1 CAPLUS

CN L-Phenylalaninamide, 3,4-didehydro-1-[(1-methyl-1H-indol-3-yl)carbonyl]-L-prolyl-N-methyl-N-(phenylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

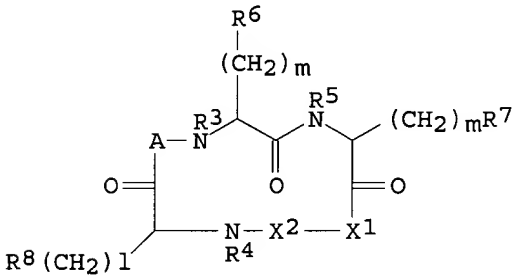


09/ 964,161

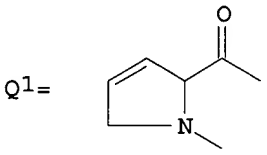
ACCESSION NUMBER: 1992:490798 CAPLUS
DOCUMENT NUMBER: 117:90798
TITLE: Preparation of cyclic hexapeptides as oxytocin antagonists
INVENTOR(S): Bock, Mark G.; Veber, Daniel F.; Tung, Roger D.; Williams, Peter D.; Freidinger, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 119 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

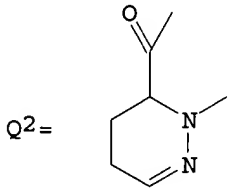
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 444898	A1	19910904	EP 1991-301582	19910227
R: CH, DE, FR, GB, IT, LI, NL				
US 5225528	A	19930706	US 1990-628986	19901217
CA 2036973	AA	19910828	CA 1991-2036973	19910225
JP 05112600	A2	19930507	JP 1991-216769	19910227

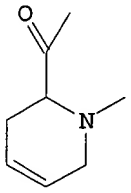
PRIORITY APPLN. INFO.: US 1990-486030 19900227
OTHER SOURCE(S): MARPAT 117:90798
GI



I







AB Title compds. [I; A = Gly, Ala, Ser, MeAla, Q1, etc.; X1 = Ala, Pro, Ser, Thr, Asn, Asp, Glu, Gln, Lys, Arg, His, Orn, 4-hydroxyproline, MeAla, cyclohexylalanine residue, Q2, Q3, etc.; X2 = Q2, Q3, Ala, Pro, Thr, His, cyclohexylalanine, MeAla, 4-hydroxyproline residue, etc.; R3, R4, R5 = H, Me, Et, Pr, allyl, dihydroxypropyl, CH2CO2H; R6 = H, styryl, **pyridyl**, aminopropyl, benzothienyl, (substituted) Ph, naphthyl, indolyl; R7 = H, Me2CH, Pr, Bu, EtMeCH, cyclopentyl, cyclohexyl, Ph, 4-(PhCH2O)C6H4, 4-HOC6H4, CH2OH, etc.; R8 = H, OH, SH, indolyl, imidazolyl, Ph, naphthyl, aminopropyl, guanidinylethyl, **pyridyl**, imidazolylalkyl, CONH2, CH2CONH2, etc.; l = 1,2; m = 0-2], were prepd. I are useful in treatment of preterm labor and dysmenorrhea, and for stoppage of labor preparatory to caesarian delivery. Thus, cyclo[D-Phe-L-Ile-D-pipecolyl-L-pipecolyl-D-MePhe-L-Pro] was prepd. by solid-phase peptide coupling on a phenylacetamidomethyl resin using

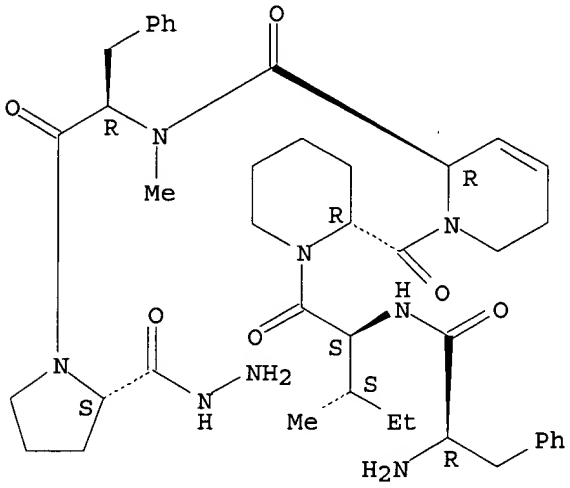
fluoroenylmethoxycarbonyl-protected amino acids followed by hydrazinolysis to cleave the resin and cyclization of the resulting hydrazide using isoamyl nitrite in 5N HCl/THF. I inhibited receptor binding of 3H-oxytocin with IC50 = 1.2->10,000 nM.

IT **138775-70-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, in prepn. of oxytocin antagonist)

RN 138775-70-9 CAPLUS

CN L-Proline, D-phenylalanyl-L-isoleucyl-(2R)-2-piperidinecarbonyl-(2R)-1,2,5,6-tetrahydro-2-pyridinecarbonyl-N-methyl-D-phenylalanyl-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

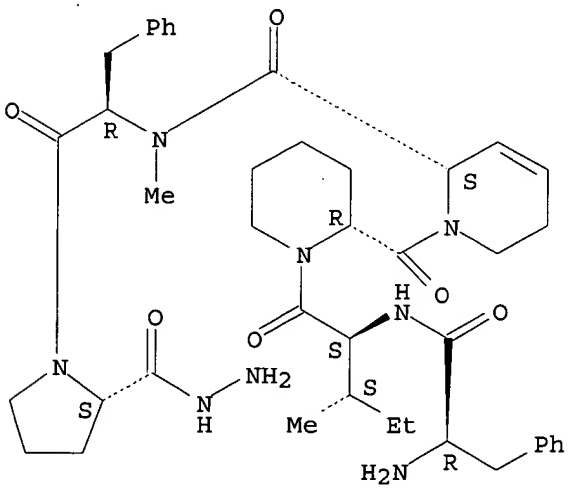


IT **138776-11-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, in prepn. of oxytocin antagonists)

RN 138776-11-1 CAPLUS

CN L-Proline, D-phenylalanyl-L-isoleucyl-(2R)-2-piperidinecarbonyl-(2S)-1,2,5,6-tetrahydro-2-pyridinecarbonyl-N-methyl-D-phenylalanyl-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 51 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:427158 CAPLUS
DOCUMENT NUMBER: 117:27158
TITLE: Method of preparing N-acylated peptides
INVENTOR(S): Hoeger, Carl A.; Theobald, Paula Guess; Porter, John S.; Rivier, Jean Edouard Frederic
PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9119737	A1	19911226	WO 1991-US4470	19910620
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
US 5169935	A	19921208	US 1990-541810	19900620
AU 9180593	A1	19920107	AU 1991-80593	19910620

PRIORITY APPLN. INFO.: US 1990-541810 19900620
WO 1991-US4470 19910620

OTHER SOURCE(S): MARPAT 117:27158

AB A method for synthesis of peptides G-Z1-(A1)-D-Phe-Z3-Ser-Z5-Z6-Z7-Z8-Pro-Z10 [G = H, C1-7 acyl; Z1 = dehydroprolyl, (A)-D-Phe, (B)-D-Trp, Pro-.beta.-(naphthyl)-D-Ala; A = H, Cl, F, NO2, etc.; B = H, NO2 NH2, OMe, F, Cl, Br, etc.; A1 = Cl, F, NO2, Me, OMe, etc.; Z3 = .beta.-(naphthyl)-D-Ala, .beta.-**pyridyl**-D-Ala, D-Trp(B); Z5 = Lys(C), Orn(C), etc.; C = acyl; Z6 = D-Lys(C), D-Orn(C), etc.; Z7 = Nle, Leu, Met, Tyr, Phe(A), etc.; Z8 = Arg(D), Lys(Me2CH), homoArg(D); D = H, di-lower alkyl, Z10 = D-Ala-NH2-Gly-NH2, NHNHCONH2, NHR; R = lower alkyl] comprises constructing a resin-bound peptide intermediate contg. N-protecting groups, removing primary N-protecting groups on Z5 and Z6, acylating the deprotected peptide, deprotecting the acylated resin-bound peptide, and cleaving the peptide from the resin. Thus, the known peptide antide was synthesized using solid-phase methods and a methylbenzhydrylamine resin. Nicotinic acid was used as the acylating agent.

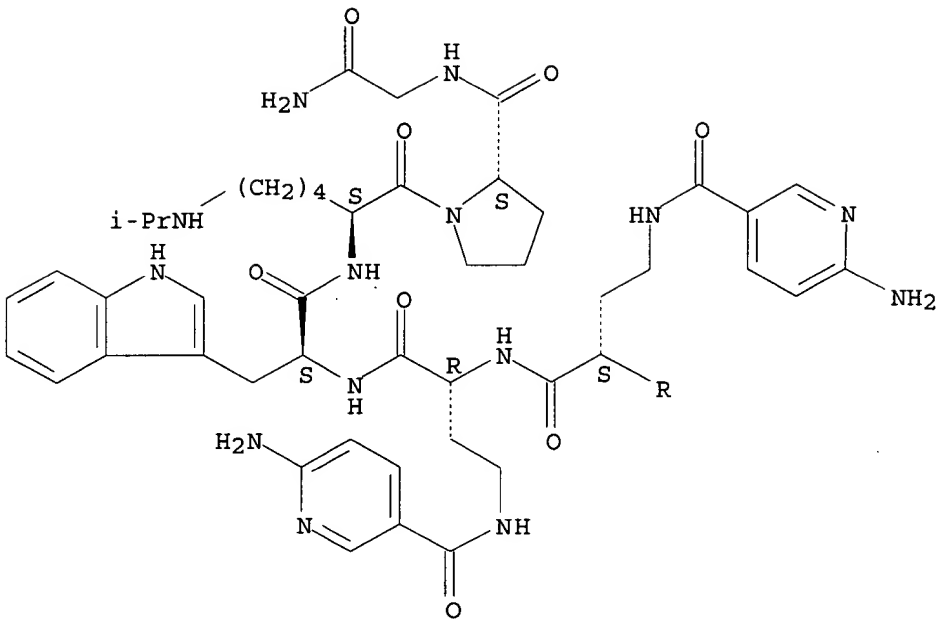
IT **142154-13-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as LH-RH antagonist)

RN 142154-13-0 CAPLUS

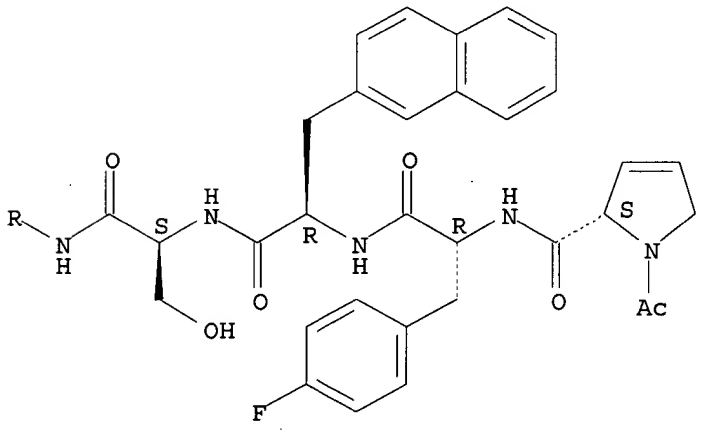
CN Glycinamide, 1-acetyl-3,4-didehydro-L-prolyl-4-fluoro-D-phenylalanyl-3-(2-naphthalenyl)-D-alanyl-L-seryl-N4-[(6-amino-3-pyridinyl)carbonyl]-L-2,4-diaminobutanoyl-N4-[(6-amino-3-pyridinyl)carbonyl]-D-2,4-diaminobutanoyl-L-tryptophyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



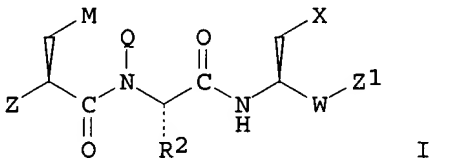
PAGE 2-A



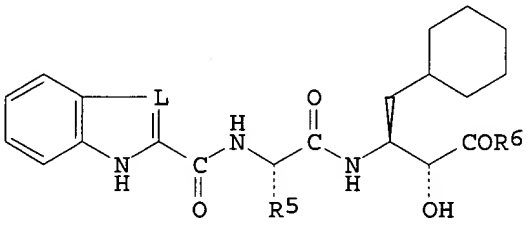
L10 ANSWER 52 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:262513 CAPLUS
DOCUMENT NUMBER: 116:262513
TITLE: Norstatine- and norcyclostatine-containing peptides in the treatment of ocular hypertension and glaucoma
INVENTOR(S): LaMattina, John L.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 5 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 473337	A2	19920304	EP 1991-307545	19910815
EP 473337	A3	19920527		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
JP 04243835	A2	19920831	JP 1991-190097	19910730
CA 2050049	AA	19920301	CA 1991-2050049	19910827

PRIORITY APPLN. INFO.: US 1990-574635 19900829
OTHER SOURCE(S): MARPAT 116:262513
GI



I



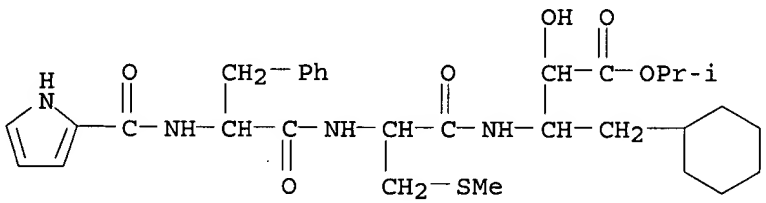
II

AB The title peptides [I, II; Z = R1-Ym-Ap; R1 = C1-6 alkyl, C1-4 alkoxy, (un)substituted amino, morpholino, piperidyl, piperazino, (un)substituted piperidino, thiomorpholino, **pyridyl**, etc; Y = CO, P(O)OMe, SO2; A = NMe, NH, O; m, p = 0, 1; M = Ph, PhCH2, naphthyl, thienyl, MeOC6H4, ClC6H4, HOC6H4, C6-7 cycloalkyl; Q = Me, H; R2 = C1-5 alkyl, substituted C1-2 alkyl, PhCH2, 4-aminobutyl, imidazol-4-ylmethyl, etc.; X = cyclohexyl, Me2CH, Ph; W = CHOH, CO, CHN3, CHNH2, CMeOH, etc.; Z1 = CH2OH, R-X1-T; R = CO; X1 = O, NH, NMe, CH2, bond; T = C1-5 alkyl, C1-4 hydroxyalkyl, C1-4 alkylcarbamoyl, H, trifluoroethyl, Ph, PhCH2, morpholino, etc.; L = CH, N; R5 = imidazol-4-ylmethyl, C2-5 alkyl; R6 = C1-4 alkoxy, C1-4 alkylamino, etc] are effective for the treatment of ocular hypertension or glaucoma (no data given).

IT **141715-85-7**
RL: BIOL (Biological study)
(glaucoma and ocular hypertension treatment with)

RN 141715-85-7 CAPLUS

CN L-Cysteinamide, 2,3,4,5-tetradehydroprolyl-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-(1-methylethoxy)-3-oxopropyl]-S-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)



L10 ANSWER 53 OF 56 CAPLUS COPYRIGHT 2003 ACS

09/ 964,161

ACCESSION NUMBER: 1992:128972 CAPLUS
DOCUMENT NUMBER: 116:128972
TITLE: Preparation of azinylphthalides and related compounds as herbicides
INVENTOR(S): Anderson, Richard James; Cloudsdale, Ian Stuart; Hokama, Takeo
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 461079	A2	19911211	EP 1991-810428	19910605
EP 461079	A3	19920304		
EP 461079	B1	19970716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 61153	A2	19921228	HU 1991-1771	19910527
HU 212435	B	19960628		
AU 9178204	A1	19911212	AU 1991-78204	19910605
AU 649448	B2	19940526		
RU 2040522	C1	19950725	RU 1991-4895617	19910605
IL 98378	A1	19951127	IL 1991-98378	19910605
AT 155466	E	19970815	AT 1991-810428	19910605
ES 2107447	T3	19971201	ES 1991-810428	19910605
CA 2043976	AA	19911208	CA 1991-2043976	19910606
CN 1057837	A	19920115	CN 1991-104849	19910606
CN 1033735	B	19970108		
JP 04235967	A2	19920825	JP 1991-163978	19910606
PL 170729	B1	19970131	PL 1991-290573	19910606
SK 278746	B6	19980204	SK 1991-1737	19910606
BR 9102386	A	19920114	BR 1991-2386	19910607
ZA 9104382	A	19930224	ZA 1991-4382	19910607
US 5506192	A	19960409	US 1994-201150	19940223
US 5561101	A	19961001	US 1995-457544	19950601
US 5627137	A	19970506	US 1995-457907	19950601
US 5627138	A	19970506	US 1995-457909	19950601

PRIORITY APPLN. INFO.:
US 1990-534794 A 19900607
US 1990-633592 A 19901221
US 1991-804150 B2 19911206
US 1993-36006 B1 19930323
US 1994-201150 A1 19940223

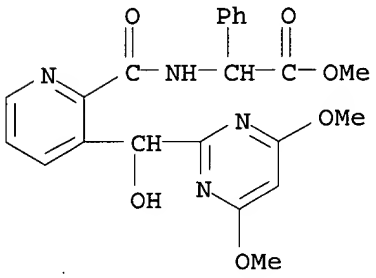
OTHER SOURCE(S): MARPAT 116:128972
GI For diagram(s), see printed CA Issue.
AB Title compds. I [ring A = Ph, naphthyl, (benzo)**pyridyl** (oxide), pyrazinyl oxide, pyrimidinyl, pyrazinyl, cinnolinyl, quinoxalinyl, (benzo-fused) 5-membered heteroaryl; R = cyano, CHO, CX1X2X3, ketone-forming group, (modified) (thio)carboxyl, carbamoyl, hydroxyalkyl, CH2O2C bridged to an adjacent A-ring carbon, etc.; Y1-Y3 = H, halo, OH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylsulfonyloxy, etc.; Y1Y2 = 3-5-membered bridge; Y1R = C(S)O, other bridging group; X, Y = H, OH, halo, cyano, (substituted) alkyl, alkoxy, alkoxycarbonyl, hydroxyalkyl, haloalkyl, acyl, acyloxy, carbamoyl, carbamoyloxy, alkylthio, aryloxy, aryl, etc.; XR = CO2, C(O)S, CONH, etc.; X1, X2, X3 = H, OH, alkoxy, alkylthio, hydroxyalkyl, hydroxybenzyl; X1X2 = 4-5 membered bridge; R1, R3 = H, halo, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkylthio, cycloalkyl, heterocyclylalkoxy, aryloxy, etc.; W1-W4 = CH, N, NR3] were prepd. as herbicides (no data). Thus, 7-chlorophthalide in THF at -70.degree. was treated with LiN(CHMe2)2

and then 2-methylsulfonyl-4,6-dimethoxypyrimidine followed by 4 h stirring to give title compd. II.

IT **139511-95-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 139511-95-8 CAPLUS

CN Benzeneacetic acid, .alpha.-[[[3-[(4,6-dimethoxy-2-pyrimidinyl)hydroxymethyl]-2-pyridinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 54 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:656652 CAPLUS
DOCUMENT NUMBER: 115:256652
TITLE: Preparation of LH-RH analogs
INVENTOR(S): Hoeger, Carl A.; Rivier, Jean Edouard Frederic; Theobald, Paula Guess; Porter, John S.; Rivier, Catherine Laure; Vale, Wylie Walker, Jr.
PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9106543	A1	19910516	WO 1990-US6309	19901030
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5169932	A	19921208	US 1990-545239	19900627
IL 96094	A1	19950315	IL 1990-96094	19901024
ZA 9008575	A	19910828	ZA 1990-8575	19901025
CA 2066184	AA	19910501	CA 1990-2066184	19901030
AU 9067392	A1	19910531	AU 1990-67392	19901030
AU 633384	B2	19930128		
EP 500695	A1	19920902	EP 1990-917025	19901030
EP 500695	B1	19980225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05503689	T2	19930617	JP 1990-515871	19901030
AT 163430	E	19980315	AT 1990-917025	19901030

PRIORITY APPLN. INFO.: US 1989-428827 A 19891030
US 1990-545239 A 19900627
WO 1990-US6309 A 19901030

OTHER SOURCE(S): MARPAT 115:256652
GI

Ac-D-Ala-(2-napthyl)-D-Phe(4-Cl)-D-Ala(3-pyridyl)-Ser-

Lys[C(:NCN)NHCHMe$_2$]-D-Lys[C(:NCN)NHCHMe$_2$]-Leu-

Lys(CHMe$_2$)-Pro-D-Ala-NH$_2$ I

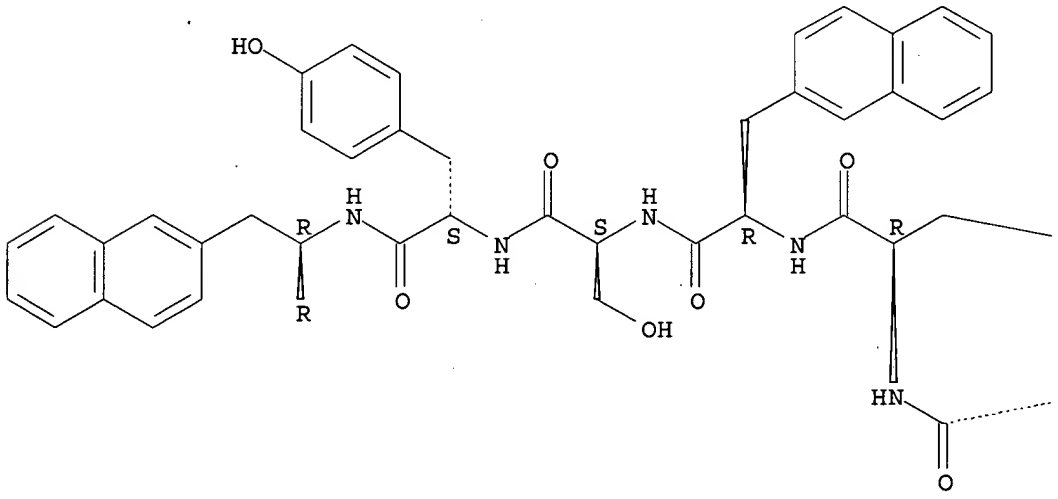
AB LH-RH analogs G-Z-Z1-Z2-Ser-Z3-Z4-Z5-Z6-Pro-R [G = H, C1-7 acyl; Z = Z7, pyroGlu; Z7 = D-pyroGlu, Pro, (substituted) D-Phe, etc.; Z1 = His, (substituted) D-Phe; Z2 = Z8, Trp; Z8 = U, (substituted) D-Trp, etc.; U = COCH(NH)(CH2)nNR1C(:Y)XR2; R1 = H, alkyl, (CH2)pCH2NH2, etc.; R1, OH, NH2, NHR1, etc.; Y = NC.tplbond.N, NCONHR3, S, O, CHNO2; R3 = H, acyl, alkyl, naphthyl, **pyridyl**, etc.; X = NH, O, S, N3, etc.; n = 1-6; Z3 = U, Tyr, His, etc.; Z4 = U, D-Tyr, D-Leu, etc.; Z5 = Nle, Leu, Met, etc.; Z6 = U (substituted) Arg, etc.; R = D-Ala-NH2, Gly-NH2, NHNHCONH2, alkylamino; at least one of Z8,Z3,Z4,Z6 = U; other provisos], useful as LH-RH antagonists, were prepd. Thus, title compd. I was prepd. via solid phase methods starting with methylbenzhydrylamine resin-bound Boc-D-Ala-OH and the appropriate protected amino acids. Formation of the isopropylcyanoguanidino groups was accomplished by condensation of the resin-bound protected peptide contg. deprotected Lys residues with di-Ph cyanocarbonimidate followed by Me2CHNH2. Resin cleavage and deprotection by HF gave I. A 2.5 .mu.g dose of I prevented ovulation in all female rats (225-250 g body wt.) tested.

IT **137280-90-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as LH-RH antagonist)

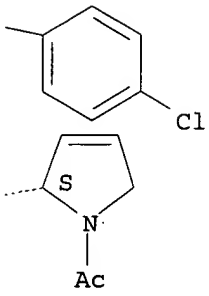
RN 137280-90-1 CAPLUS

CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-3-(2-naphthalenyl)-D-alanyl-L-seryl-L-tyrosyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-N6-[(butylamino)(cyanoamino)methylene]-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

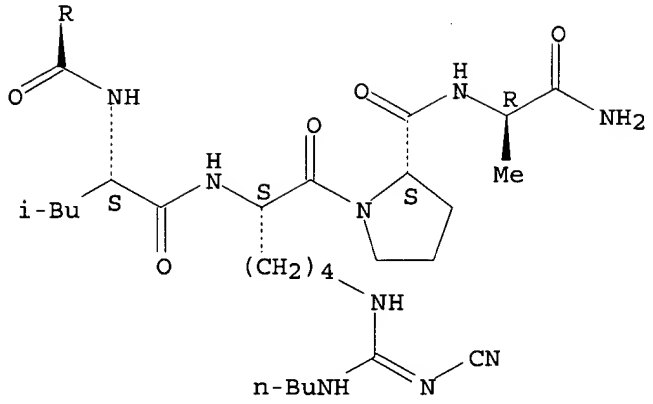
Absolute stereochemistry.
Double bond geometry unknown.



PAGE 1-B



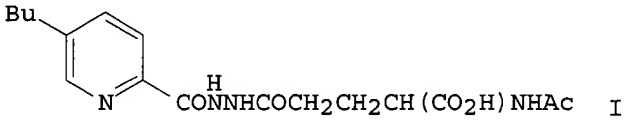
PAGE 2-A



L10 ANSWER 55 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:583950 CAPLUS
DOCUMENT NUMBER: 115:183950
TITLE: Preparation of amino acid conjugates as renal-selective prodrugs for the treatment of hypertension
INVENTOR(S): Reitz, David B.; Koepke, John P.; Blaine, Edward H.; Schuh, Joseph R.; Manning, Robert E.; Smits, Glenn J.
PATENT ASSIGNEE(S): Searle, G. D., and Co., USA
SOURCE: PCT Int. Appl., 459 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9101724	A1	19910221	WO 1990-US4168	19900725
W: CA, JP, KR, US				

RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE
EP 484437 A1 19920513 EP 1990-912307 19900725
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE
JP 04506967 T2 19921203 JP 1990-511397 19900725
WO 9201667 A1 19920206 WO 1991-US611 19910128
W: CA, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
PRIORITY APPLN. INFO.: US 1989-386527 19890727
WO 1990-US4168 19900725
OTHER SOURCE(S): MARPAT 115:183950
GI



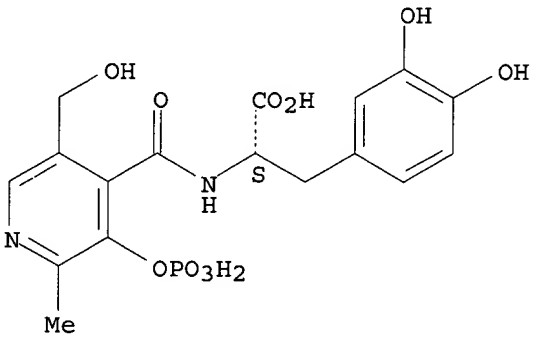
I

AB Title compds., conjugates comprising a 1st residue and a 2nd residue connected by a cleavable bond, wherein the 1st residue is an inhibitor of the biosynthesis of an adrenergic neurotransmitter and the 2nd residue is cleaved by an enzyme located predominantly in the kidney, are prepd. 5-[(5-Butyl-2-**pyridinyl**)carbonyl]-L-glutamic acid hydrazide (prepn. given) in MeCN/H2O was treated with 2 equiv of 1M K2CO3 followed by Ac2O and K2CO3 to give the L-glutamic hydrazide I. In spontaneously hypertensive rats, I at 8 mg/h lowered blood pressure from 146 to 122 mm Hg on day 1 and to 115 mm Hg on day 5. Addnl. compds. were prepd. and tested. A large no. of compds. are claimed.

IT **136486-36-7DP**, kidney enzyme-cleavable conjugate
136486-37-8DP, kidney enzyme-cleavable conjugate
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as prodrug antihypertensive)

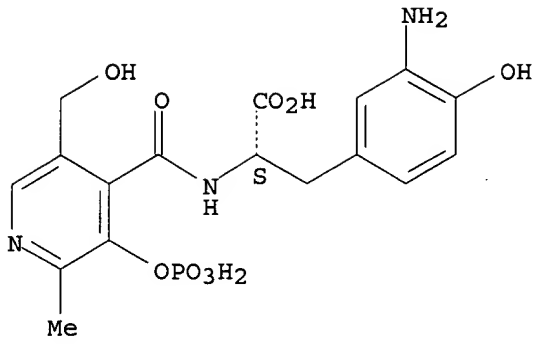
RN 136486-36-7 CAPLUS
CN L-Tyrosine, 3-hydroxy-N-[[5-(hydroxymethyl)-2-methyl-3-(phosphonooxy)-4-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 136486-37-8 CAPLUS
CN L-Tyrosine, 3-amino-N-[[5-(hydroxymethyl)-2-methyl-3-(phosphonooxy)-4-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

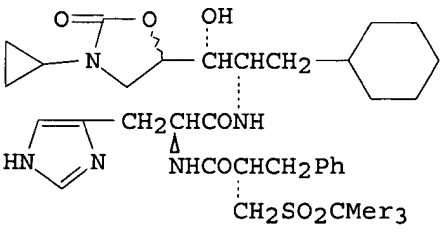
Absolute stereochemistry.



L10 ANSWER 56 OF 56 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:472226 CAPLUS
DOCUMENT NUMBER: 115:72226
TITLE: Amino acid derivatives
INVENTOR(S): Branca, Quirico; Neidhart, Werner; Ramuz, Henri; Stadler, Heinz; Wostl, Wolfgang
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 71 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 416373	A2	19910313	EP 1990-116088	19900822
EP 416373	A3	19920527		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2023099	AA	19910305	CA 1990-2023099	19900810
AU 9061360	A1	19910307	AU 1990-61360	19900827
AU 646640	B2	19940303		
ZA 9006856	A	19910626	ZA 1990-6856	19900828
HU 58060	A2	19920128	HU 1990-5676	19900829
JP 03099047	A2	19910424	JP 1990-228473	19900831
NO 9003832	A	19910305	NO 1990-3832	19900903
US 5688946	A	19971118	US 1994-277111	19940719

PRIORITY APPLN. INFO.: CH 1989-3192 19890904
CH 1990-2336 19900712
US 1990-571689 19900823
OTHER SOURCE(S): MARPAT 115:72226
GI



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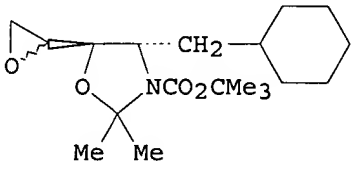


II

AB Amino acid derivs. RCONR1CH(CH2R2)CONHCHR3CHR4CR5R6R7 (R-R7 = substituents) were prepd. for use as antihypertensives and renin

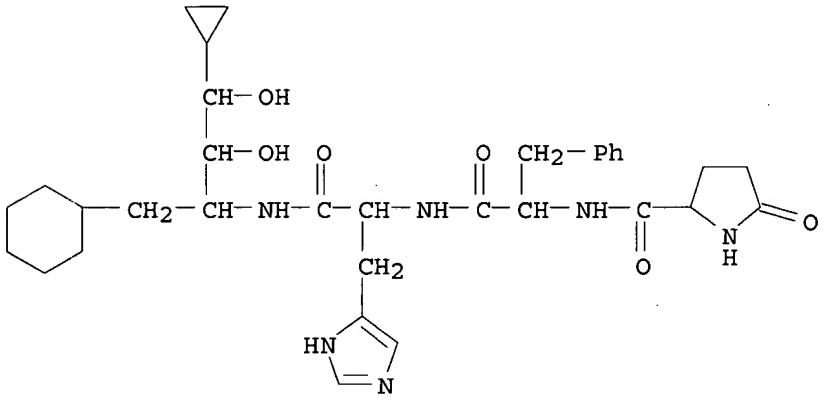
09/ 964,161

inhibitors. Thus, amide I was prepd. from epoxide II, H-His-OMe.2HCl, and (S)-PhCH2CH(CO2H)CH2SO2CMe3 in 5 steps. I had a renin-inhibiting ED50 of 0.0009 .mu.M/L.

IT **134391-96-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 134391-96-1 CAPLUS

CN L-Histidinamide, 5-oxo-L-prolyl-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-, [1S-(1R*,2S*,3R*)]- (9CI) (CA INDEX NAME)



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L2 6 S L1
L3 1840 S L1 FUL

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FILE 'REGISTRY' ENTERED AT 14:21:13 ON 15 APR 2003
L4 961376 S PMS/CI
L5 1 S L1 SUB=L4 FUL
L6 1840 S L3 NOT L5

FILE 'CAPLUS' ENTERED AT 14:24:24 ON 15 APR 2003
L7 547 S L6
L8 493 S L7 NOT (POLY? OR POLYMER?)
L9 546 S L8/THU
L10 56 S L9 AND (PYRIDINYL OR PYRIDYL OR PYRROL OR PYRROLYL)

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	275.41	578.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-36.46	-36.46

STN INTERNATIONAL LOGOFF AT 14:30:11 ON 15 APR 2003